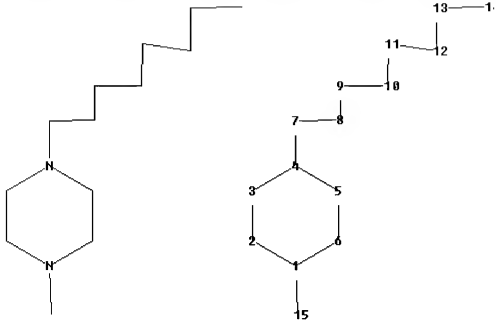
http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10528437_piperazin.str

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chain nodes :
7  8  9  10  11  12  13  14  15
ring nodes :
1  2  3  4  5  6
chain bonds :
1-15  4-7  7-8  8-9  9-10  10-11  11-12  12-13  13-14
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
1-15  4-7
exact bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  8-9  9-10  10-11  11-12  12-13  13-14


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS
9:CLASS  10:CLASS  11:CLASS  12:CLASS  13:CLASS  14:CLASS  15:CLASS



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
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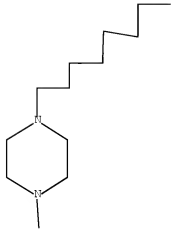
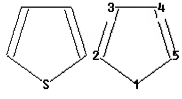


Structure attributes must be viewed using STN Express query preparation.

=>
Uploading C:\Program Files\Stnexp\Queries\10528437_thiophene.str



ring nodes :
1 2 3 4 5
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5

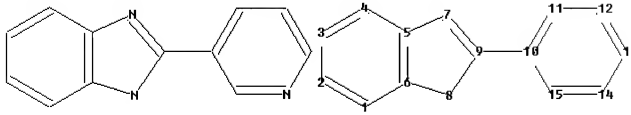
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom

L2 STRUCTURE UPLOADED

=> d l2
L2 HAS NO ANSWERS
L2 STR

Structure attributes must be viewed using STN Express query preparation.

=>
Uploading C:\Program Files\Stnexp\Queries\10528437_BENZOIMIDAZOL.str

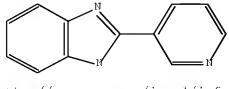


ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-8 7-9 8-9 10-11 10-15 11-12
12-13 13-14 14-15
exact/norm bonds :
5-7 6-8 7-9 8-9
exact bonds :
9-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom

L3 STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3 STR

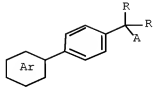


```
This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l4 or l5 or l6 and (PDE4 or adenosin? or sperm? or ovulat? or
oogen?)
TOO MANY TERMS FOR FILE CROSSOVER IN L5
There are limits on the size of an answer set being crossed over from
one file to another.  Enter HELP CROSSOVER at an arrow prompt (=>)
for specific information.

=> s l4 or l5 and (adenosin? or PDE4 or sperm? or ovulat? or FSH)
TOO MANY TERMS FOR FILE CROSSOVER IN L5
There are limits on the size of an answer set being crossed over from
one file to another.  Enter HELP CROSSOVER at an arrow prompt (=>)
for specific information.

=> s l4 and (adenosin? or PDE4 or sperm? or ovulat? or FSH)
           947 L4
        101729 ADENOSIN?
          1614 PDE4
         84329 SPERM?
         23615 OVULAT?
         30415 FSH
L7          14 L4 AND (ADENOSIN? OR PDE4 OR SPERM? OR OVULAT? OR FSH)

=> s l7 and (py<2002 or ay<2002 or pry<2002)
      21992753 PY<2002
       4221262 AY<2002
       3688696 PRY<2002
L8          10 L7 AND (PY<2002 OR AY<2002 OR PRY<2002)

=> s l5 and (adenosin? or PDE4 or sperm? or ovulat? or FSH)
TOO MANY TERMS FOR FILE CROSSOVER IN L5
There are limits on the size of an answer set being crossed over from
one file to another.  Enter HELP CROSSOVER at an arrow prompt (=>)
for specific information.

=> s l5 and (adenosin? or PDE4 or sperm? or ovulat? or FSH)
TOO MANY TERMS FOR FILE CROSSOVER IN L5
There are limits on the size of an answer set being crossed over from
one file to another.  Enter HELP CROSSOVER at an arrow prompt (=>)
for specific information.

=> s l5 and (adenosin? or PDE4)
TOO MANY TERMS FOR FILE CROSSOVER IN L5
There are limits on the size of an answer set being crossed over from
one file to another.  Enter HELP CROSSOVER at an arrow prompt (=>)
for specific information.

=> d l8 ibib abs ti hit 1-10

L8   ANSWER 1 OF 10  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2002:695961  CAPLUS  Full-text
DOCUMENT NUMBER:         137:216961
TITLE:                   Preparation of bisaryl derivatives having FSH
                         receptor modulatory activity
```

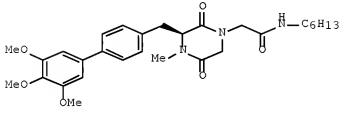
INVENTOR(S): Guo, Tao; Ho, Koc-Kan; McDonald, Edward; Dolle, Roland
Ellwood; Saionz, Kurt W.; Kultgen, Steven G.; Liu,
Ruiyan; Dong, Guizhen; Geng, Peng; Adang, Anton Egbert
Peter; Van Straten, Nicole Corine Renee
PATENT ASSIGNEE(S): Pharmacopeia, Inc., USA
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070493	A1	20020912	WO 2002-US3777	20020118 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2434184	A1	20020912	CA 2002-2434184	20020118 <--
AU 2002248410	A1	20020919	AU 2002-248410	20020118 <--
AU 2002248410	B2	20070301		
EP 1351941	A1	20031015	EP 2002-717403	20020118 <--
EP 1351941	B1	20040929		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 277913	T	20041015	AT 2002-717403	20020118 <--
JP 2005505496	T	20050224	JP 2002-569813	20020118 <--
IL 156811	A	20080120	IL 2002-156811	20020118 <--
US 20040152703	A1	20040805	US 2003-623640	20030721 <--
US 6900213	B2	20050531		

PRIORITY APPLN. INFO.: EP 2001-200194 A
20010119 <--
WO 2002-US3777 W
20020118
OTHER SOURCE(S): MARPAT 137:216961
GI



I



II

AB Title compds. I [R,R = H/H, O, H/Me, H/OH, H/CN; A = pyridazindione, etc.; Ar = (un)substituted phenyl] were prepared For example, a photolabile-supported 1-hexylamine derivative was acylated with (3S)-1-carboxymethyl-3-(4-iodobenzyl)-4-methyl-2,5-dioxopiperazine (preparation given) followed by coupling of the resulting aryl iodide with 3,4,5-trimethoxybenzeneboronic acid (DME/EtOH, Pd2(dba)3, Ph3As, CsF). The resulting resin was irradiated at 365 nm at 50° (MeOH/TFA) to yield II. II had EC50 < 10 μM for the FSH receptor. I are useful in the treatment for the control of fertility, for contraception or for treatment of hormone-dependent disorders.

TI Preparation of bisaryl derivatives having FSH receptor modulatory activity

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Preparation of bisaryl derivatives having FSH receptor modulatory activity

PRAI EP 2001-200194 A 20010119 <--
WO 2002-US3777 W 20020118

AB Title compds. I [R,R = H/H, O, H/Me, H/OH, H/CN; A = pyridazindione, etc.; Ar = (un)substituted phenyl] were prepared For example, a photolabile-supported 1-hexylamine derivative was acylated with (3S)-1-carboxymethyl-3-(4-iodobenzyl)-4-methyl-2,5-dioxopiperazine (preparation given) followed by coupling of the resulting aryl iodide with 3,4,5-trimethoxybenzeneboronic acid (DME/EtOH, Pd2(dba)3, Ph3As, CsF). The resulting resin was

irradiated at 365 nm at 50° (MeOH/TFA) to yield II. II had EC50 < 10 μM for the FSH receptor. I are useful in the treatment for the control of fertility, for contraception or for treatment of hormone-dependent disorders.

ST bisaryl piperazinedione FSH receptor modulatory prepn

IT Hormone receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(mediated disorders; preparation of pyridazinedione-substituted bisaryl derivs. having FSH receptor modulatory activity)

IT Contraceptives
Fertility
Human
(preparation of pyridazinedione-substituted bisaryl derivs. having FSH receptor modulatory activity)

IT FSH receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of pyridazinedione-substituted bisaryl derivs. having FSH receptor modulatory activity)

IT 457614-35-6P 457614-36-7P 457614-37-8P 457614-38-9P 457614-39-0P
457614-40-3P 457614-41-4P 457614-42-5P 457614-43-6P 457614-44-7P
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457615-68-8P 457615-69-9P 457615-70-2P 457615-71-3P 457615-72-4P
457615-73-5P 457615-74-6P 457615-75-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(FSH receptor modulator; preparation of pyridazinedione-substituted bisaryl derivs. having FSH receptor modulatory activity)
IT 138571-42-3P 172975-69-8P 176199-35-2P 186840-98-2P 301699-39-8P
331746-84-0P 457615-76-8P 457615-77-9P 457615-78-0P 457615-79-1P
457615-80-4P 457615-81-5P 457615-82-6P 457615-83-7P 457615-84-8P
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457615-90-6P 457615-91-7P 457615-92-8P 457615-93-9P
457615-94-0P 457615-95-1P 457615-96-2P 457615-97-3P
457615-98-4P 457616-00-1P 457616-01-2P 457616-02-3P 457616-03-4P
457616-04-5P 457616-05-6P 457616-06-7P 457616-07-8P 457616-08-9P
457616-09-0P 457616-10-3P 457616-11-4P 457616-12-5P
457616-13-6P 457616-14-7P 457616-15-8P 457616-16-9P 457616-17-0P
457616-18-1P 457616-19-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation of pyridazinedione-substituted bisaryl derivs.
having FSH receptor modulatory activity)
IT 1694-92-4, 2-Nitrobenzenesulfonyl chloride 55715-03-2, 4-(Bromomethyl)-3-nitrobenzoic acid 78081-87-5 309964-23-6
RL: RGT (Reagent); RACT (Reactant or reagent)
(linker component; preparation of pyridazinedione-substituted bisaryl
derivs. having FSH receptor modulatory activity)
IT 60-12-8, Phenethyl alcohol 64-04-0, Phenethylamine 78-81-9, Isobutylamine 109-73-9, Butylamine, reactions 109-85-3, 2-Methoxyethylamine 110-58-7, Pentylamine 111-26-2, Hexylamine 111-27-3, Hexanol, reactions 111-68-2, Heptylamine 111-70-6, 1-Heptanol 111-86-4, Octylamine 111-87-5, Octanol, reactions 112-20-9, Nonylamine 122-97-4, 3-Phenylpropanol 123-72-8, Butyraldehyde 124-13-0, Octanal 124-22-1, Dodecylamine 142-83-6
143-08-8, 1-Nonanol 156-41-2, 4-Chlorophenethyl amine 556-96-7,

5-Bromo-m-xylene 557-48-2 619-58-9, 4-Iodobenzoic acid 624-83-9,
Methylisocyanate 629-27-6, 1-Iodooctane 638-45-9, 1-Iodohexane
693-16-3, 2-Octylamine 702-23-8 928-51-8 1118-02-1,
Trimethylsilylisocyanate 1711-02-0, 4-Iodobenzoyl chloride 1846-68-0,
2-Octynal 2009-83-8 2016-57-1, Decylamine 2430-16-2, Benzenehexanol
2430-22-0 2491-20-5 2516-47-4, Cyclopropylmethylamine 2906-12-9
3017-32-1 3208-25-1, Benzeneheptanol 3360-41-6, 4-Phenylbutanol
4442-79-9, Cyclohexaneethanol 4659-45-4, 2,6-Dichlorobenzoyl chloride
5259-98-3 5292-43-3, tert-Butyl bromoacetate 5406-18-8 5427-26-9
5649-08-1 5680-79-5, Glycine methyl ester hydrochloride 5910-87-2
6290-05-7, Diethyliminodiacetate 6291-85-6 6728-26-3 7307-55-3,
Undecylamine 10065-72-2 10160-24-4 10472-97-6, Benzeneoctanol
10521-91-2, Benzenepentanol 13214-66-9, 4-Phenylbutylamine 13257-67-5
14173-41-2 14804-38-7, 4-Bromo-2,6-dimethylanisole 16004-15-2,
4-Iodobenzyl bromide 16499-88-0 18829-56-6 19617-43-7 19967-22-7
21705-13-5 29022-11-5, Fmoc-gly-oh 35661-39-3 35737-10-1
39959-59-6, 4-Iodobenzylamine 52244-70-9 62129-44-6 62561-75-5
71989-33-8 77284-32-3 79990-15-1 82565-68-2 87199-16-4,
3-Formylbenzeneboronic acid 103882-09-3 105254-44-2 116339-45-8
122775-35-3, 3,4-Dimethoxybenzeneboronic acid 135112-27-5 135112-28-6
142855-79-6 147291-69-8 182163-96-8, 3,4,5-Trimethoxyphenylboronic
acid 186320-18-3 186320-19-4 457616-20-5 457616-21-6 457616-22-7
457616-23-8, 4-(3,4,5-Trimethoxyphenyl)benzaldehyde 457616-24-9
457616-25-0 457616-26-1 457616-27-2 457616-28-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of pyridazinedione-substituted bisaryl derivs. having
FSH receptor modulatory activity)

L8 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:608442 CAPLUS Full-text
DOCUMENT NUMBER: 133:190197
TITLE: Use of polycations in the stabilization and extraction
of nucleic acids
INVENTOR(S): Erbacher, Christoph; Bastian, Helge; Wyrich, Ralf;
Oelmuller, Uwe; Manz, Thomas
PATENT ASSIGNEE(S): Qiagen G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 49 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1031626	A1	20000830	EP 2000-103816	20000223 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2299119	A1	20000823	CA 2000-2299119	20000222 <--
JP 2000342259	A	20001212	JP 2000-45524	20000223 <--
PRIORITY APPLN. INFO.:			EP 1999-103457 A	19990223 <--

AB Polycations that can be used to stabilize nucleics during extraction and purification are described. The compds. have two closely-linked cationic centers, preferably nitrogens. Complexes between these polycations and nucleic acids are larger and sediment more rapidly than those prepared with prior art cationic polymers such as tetradecyltrimethylammonium oxalate. Use of the reagents to purify DNA and RNA from a number of sources is demonstrated.

TI Use of polycations in the stabilization and extraction of nucleic acids

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PI EP 1031626 A1 20000830

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1031626	A1	20000830	EP 2000-103816	20000223 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2299119	A1	20000823	CA 2000-2299119	20000222 <--
JP 2000342259	A	20001212	JP 2000-45524	20000223 <--
PRAI EP 1999-103457	A	19990223 <--		

IT Blood analysis
Sperm
Sputum
Urine analysis
(isolation of nucleic acids for; use of polycations in stabilization and extraction of nucleic acids)

IT 6309-01-9P 15590-93-9P 18464-23-8P 21948-95-8P 21948-96-9P 29104-93-6P 29908-17-6P 40661-04-9P 40661-10-7P 71753-44-1P 71753-45-2P 75174-83-3P 86009-95-2P 87723-15-7P 87723-20-4P 114669-76-0P 114669-77-1P 157782-11-1P 207726-16-7P 207726-

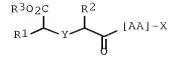
17-8P
207726-18-9P 207726-19-0P 215647-95-3P 254106-19-9P
289618-09-3P 289618-10-6P 289618-11-7P 289618-12-8P
289618-13-9P 289618-14-0P 289618-15-1P
RL: MOA (Modifier or additive use); SPN (Synthetic preparation);
PREP
(Preparation); USES (Uses)
(preparation and use in nucleic acid purification of; use of
polycations in
stabilization and extraction of nucleic acids)

L8 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:640244 CAPLUS Full-text
DOCUMENT NUMBER: 127:293642
ORIGINAL REFERENCE NO.: 127:57407a,57410a
TITLE: Preparation of carboxy-peptidyl derivatives as
antidegenerative active agents
INVENTOR(S): Chapman, Kevin; Hagmann, William; Durette,
Philippe;
Esser, Craig; Kopka, Ihor; Caldwell, Charles
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 48 pp., Cont.-in-part of U.S. Ser. No.
981,970,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

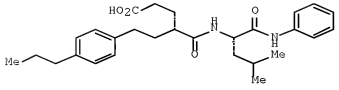
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5672583	A	19970930	US 1995-436347	19950517 <--
WO 9412169	A1	19940609	WO 1993-US11207	19931118 <--

W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN,
MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1992-981970 B2 19921125 <--
WO 1993-US11207 W 19931118 <--
OTHER SOURCE(S): MARPAT 127:293642
GI



I



II

AB Novel carboxy-peptidyl compds. I [Y = CH2, O, S, CH(C1-3 alkyl), S(O), SO2; R1 = H, C1-10 alkyl or C2-8 alkenyl substituted by H or CO2H, optionally substituted aryl, NRaCORb, N(CORa)CORb, NRaCO2Rb, NRaCONRbRc, NRaSO2Rb, CONRaRb, SO2RaRb; Ra, Rb, Rc = independently H, C1-6 alkyl, aryl-C0-6 alkyl, etc., or Ra, Rb, and/or Rc may form optionally benzo-fused ring; R2 = optionally substituted aryl-C1-4 alkyl, (aryl-C1-4 alkyl)-aryl-C1-4 alkyl, biaryl-C1-4 alkyl; R3 = H, C1-10 alkyl, aryl, aryl-C1-3 alkyl, pharmaceutical counterion such as Na, K, Ca, Mg; AA = single bond or amino acid residue; X = cyclic or acyclic amino-containing group] are useful inhibitors of matrix metalloendoproteinase-mediated diseases including osteoarthritis, rheumatoid arthritis, septic arthritis, tumor invasion in certain cancers, periodontal disease, corneal ulceration, proteinuria, dystrophobic epidermolysis bullosa, coronary thrombosis associated with atherosclerotic plaque rupture, and aneurysmal aortic disease. The matrix metalloendoproteinases are a family of zinc-containing proteinases including but not limited to stromelysin, collagenase, and gelatinase, that are capable of degrading the major components of articular cartilage and basement membranes. The inhibitors claimed herein may also be useful in preventing the pathol. sequelae following a traumatic injury that could lead to a permanent disability. These compds. may also have utility as a means for birth control by preventing ovulation or implantation. Thus, carboxy-peptidyl derivative II was prepared in 6 steps from propylbenzene, succinic anhydride, tert-Bu acrylate, and L-leucine phenylamide. II and 53 related compds. were prepared and tested for inhibition of human fibroblast stromelysin, human fibroblast collagenase, and human gelatinase A. All prepared compds. have Ki ≤ 6 μM against stromelysin, approx. ≤ 10 μM against gelatinase A, and were active (although less so) against collagenase.

TI Preparation of carboxy-peptidyl derivatives as antidegenerative active agents

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PI US 5672583 A 19970930

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI US 5672583 A 19970930 US 1995-436347 19950517 <--
WO 9412169 A1 19940609 WO 1993-US11207 19931118 <--
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN,
MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
PRAI US 1992-981970 B2 19921125 <--
WO 1993-US11207 W 19931118 <--
AB Novel carboxy-peptidyl compds. I [Y = CH2, O, S, CH(C1-3 alkyl), S(O), SO2; R1 = H, C1-10 alkyl or C2-8 alkenyl substituted by H or CO2H, optionally substituted aryl, NRaCORb, N(CORa)CORb, NRaCO2Rb, NRaCONRbRc, NRaSO2Rb, CONRaRb, SO2RaRb; Ra, Rb, Rc = independently H, C1-6 alkyl, aryl-C0-6 alkyl, etc., or Ra, Rb, and/or Rc may form optionally benzo-fused ring; R2 = optionally substituted aryl-C1-4 alkyl, (aryl-C1-4 alkyl)-aryl-C1-4 alkyl, biaryl-C1-4 alkyl; R3 = H, C1-10 alkyl, aryl, aryl-C1-3 alkyl, pharmaceutical counterion such as Na, K, Ca, Mg; AA = single bond or amino acid residue; X = cyclic or acyclic amino-containing group] are useful inhibitors of matrix metalloendoproteinase-mediated diseases including osteoarthritis, rheumatoid arthritis, septic arthritis, tumor invasion in certain cancers, periodontal disease, corneal ulceration, proteinuria, dystrophobic epidermolysis bullosa, coronary thrombosis associated with atherosclerotic plaque rupture, and aneurysmal aortic disease. The matrix metalloendoproteinases are a family of zinc-containing proteinases including but not limited to stromelysin, collagenase, and gelatinase, that are capable of degrading the major components of articular cartilage and basement membranes. The inhibitors claimed herein may also be useful in preventing the pathol. sequelae following a traumatic injury that could lead to a permanent disability. These compds. may also have utility as a means for birth control by preventing ovulation or implantation. Thus, carboxy-peptidyl derivative II was prepared in 6 steps from propylbenzene, succinic anhydride, tert-Bu acrylate, and L-leucine phenylamide. II and 53 related compds. were prepared and tested for inhibition of human fibroblast stromelysin, human fibroblast collagenase, and human gelatinase A. All prepared compds. have Ki ≤ 6 μM against stromelysin, approx. ≤ 10 μM against gelatinase A, and were active (although less so) against collagenase.
IT 162733-73-5P 162733-74-6P 162733-75-7P 162733-76-8P 162733-77-9P
162733-78-0P 162733-79-1P 162733-82-6P 162733-83-7P 162733-84-8P
162733-97-3P 162733-98-4P 162733-99-5P 162734-00-1P 162734-01-2P
162734-19-2P 162734-20-5P 162868-82-8P 162868-83-9P 197228-84-5P
197228-85-6P 197228-86-7P 197228-87-8P 197228-88-9P 197228-90-3P
197228-92-5P 197228-93-6P 197228-94-7P 197228-95-8P 197228-96-9P
197228-97-0P 197228-98-1P 197228-99-2P 197229-00-8P 197229-

01-9P
197229-02-0P 197229-03-1P 197229-04-2P 197229-05-3P 197229-06-4P
197229-07-5P 197229-08-6P 197229-10-0P 197229-12-2P 197229-13-3P
197229-18-8P 197229-21-3P 197229-22-4P 197229-23-5P 197229-24-6P
197229-25-7P 197229-26-8P 197229-28-0P 197229-29-1P
197229-30-4P 197229-31-5P 197229-33-7P 197229-35-9P 197229-37-1P
197229-40-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carboxy-peptidyl derivs. as antidegenerative active agents)

L8 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:218607 CAPLUS Full-text
DOCUMENT NUMBER: 108:218607
ORIGINAL REFERENCE NO.: 108:35823a,35826a
TITLE: Investigations of the extraction of adenosine phosphates with N,N'-dioctadecyl-1,4-diazabicyclo-[2.2.2]octane and N,N,N',N'-tetramethyl-N,N'-dioctadecyldiammonium alkanes
AUTHOR(S): Fujii, Yukio; Pacey, Gilbert E.
CORPORATE SOURCE: Fac. Eng., Gifu Univ., Gifu, Japan
SOURCE: Analytica Chimica Acta (1987), 200(1), 181-9
CODEN: ACACAM; ISSN: 0003-2670
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The extract of adenosine phosphates with hydrophobic cyclic diammonium or alkyl diammonium salts is described. The selectivity of these compds. is governed by 2 factors, the length of the spacer arm between the 2 ammonium nitrogens and the pH of the system. The cyclic compound exhibits less selectivity than the similar noncyclic alkyl compds. Several of the compds. are fairly selective for ATP. The best of these N,N,N',N'-tetramethyl-N,N-dioctadecyldiammoniumethane, is tested for assay of ATP in spiked urines.
TI Investigations of the extraction of adenosine phosphates with N,N'-dioctadecyl-1,4-diazabicyclo-[2.2.2]octane and N,N,N',N'-tetramethyl-N,N'-dioctadecyldiammonium alkanes
TI Investigations of the extraction of adenosine phosphates with N,N'-dioctadecyl-1,4-diazabicyclo-[2.2.2]octane and N,N,N',N'-tetramethyl-N,N'-dioctadecyldiammonium alkanes
SO Analytica Chimica Acta (1987), 200(1), 181-9
CODEN: ACACAM; ISSN: 0003-2670
AB The extract of adenosine phosphates with hydrophobic cyclic diammonium or alkyl diammonium salts is described. The selectivity of these compds. is governed by 2 factors, the length of the spacer arm between the 2 ammonium nitrogens and the pH of

the system. The cyclic compound exhibits less selectivity than the similar noncyclic alkyl compds. Several of the compds. are fairly selective for ATP. The best of these N,N,N',N'-tetramethyl-N,N-dioctadecyldiammoniumethane, is tested for assay of ATP in spiked urines.

ST extn adenosine phosphate diammonium salt; ATP detn urine tetramethyldioctadecyldiammoniumethane

IT Extraction
(of adenosine phosphates, with dioctadecyldiazabicyclooctane and tetramethyldioctadecyldiammonium alkanes)

IT 86009-95-2 97938-91-5 114669-75-9 114669-76-0 114669-77-1
RL: ANST (Analytical study)
(extraction of adenosine phosphates with)

L8 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1985:185441 CAPLUS Full-text
DOCUMENT NUMBER: 102:185441
ORIGINAL REFERENCE NO.: 102:29109a,29112a
TITLE: Nucleotide derivatives
PATENT ASSIGNEE(S): Research Development Corp. of Japan, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59152398	A	19840831	JP 1983-24758	19830218 <--
JP 02007597	B	19900219		

PRIORITY APPLN. INFO.: JP 1983-24758 19830218 <--

AB Nucleotide derivs. having NH2 groups were prepared by treatment of nucleotides with phosphorylating agents in the presence of N,N-dialkyl-, N,N-dialkenyl-, N-alkyl-, or N-alkenyl-1,4-diazabicyclo[2.2.2]octane salts and nonpolar solvents followed by treatment with (NH4)2CO3 (I). Thus, reaction of 1,4-diazabicyclo[2.2.2]octane with Me(CH2)17I in DMF at 70° for 2 days followed by treatment with AgCl gave N,N-distearyl-1,4-diazabicyclo[2.2.2]octane diiodide, treatment of which with AMP di-Na salt and CHCl3/H2O at pH 8 followed by POCl3 and I at 35° gave the 5'-diphosphorylamidate with 51% conversion from AMP di-Na salt.

TI Nucleotide derivatives

PI JP 59152398 A 19840831 Showa

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59152398	A	19840831	JP 1983-24758	19830218 <--
JP 02007597	B	19900219		

PRAI JP 1983-24758 19830218 <--

ST nucleotide phosphorylamidate; adenosine diphosphorylamidate; phosphorylation nucleotide

IT 68254-31-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for nucleotide phosphorylation)

L8 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1981:603242 CAPLUS Full-text
DOCUMENT NUMBER: 95:203242
ORIGINAL REFERENCE NO.: 95:33953a,33956a
TITLE: Synthesis of new polyamine derivatives for cancer
chemotherapeutic studies
AUTHOR(S): Weinstock, Louis T.; Rost, William J.; Cheng, C. C.
CORPORATE SOURCE: Midwest Res. Inst., Kansas City, MO, 64110, USA
SOURCE: Journal of Pharmaceutical Sciences (1981), 70(8), 956-9
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:203242
AB Selected homologs, analogs, and acylated derivs. of spermine and spermidine, together with several heterocyclic and aromatic compds. containing a novoldiamine side chain, were prepared and evaluated biol. Several compds. possessed activity against B-16 melanoma and human epidermoid carcinoma of the nasopharynx. Thus, HN(CH2CH2CN)2 was treated with palmitoyl chloride followed by catalytic reduction to give Me(CH2)14CON(CH2CH2NH2)2.
TI Synthesis of new polyamine derivatives for cancer chemotherapeutic studies
SO Journal of Pharmaceutical Sciences (1981), 70(8), 956-9
CODEN: JPMSAE; ISSN: 0022-3549
AB Selected homologs, analogs, and acylated derivs. of spermine and spermidine, together with several heterocyclic and aromatic compds. containing a novoldiamine side chain, were prepared and evaluated biol. Several compds. possessed activity against B-16 melanoma and human epidermoid carcinoma of the nasopharynx. Thus, HN(CH2CH2CN)2 was treated with palmitoyl chloride followed by catalytic reduction to give Me(CH2)14CON(CH2CH2NH2)2.
ST anticancer spermine spermidine deriv prepn; polyamine prepn chemotherapeutic
IT Neoplasm inhibitors
(acylated derivs. of spermine and spermidine)
IT 86-55-5P 1975-44-6P 34522-60-6P 42496-58-2P 54118-93-3P
79692-12-9P 79692-13-0P 79692-14-1P 79692-15-2P 79692-16-3P
79692-17-4P 79692-18-5P 79692-19-6P 79692-20-9P 79692-21-0P
79692-22-1P 79692-23-2P 79692-24-3P 79692-25-4P 79692-26-5P
79692-27-6P 79692-28-7P 79692-29-8P 79692-30-1P 79692-31-2P
79692-32-3P 79692-33-4P 79692-34-5P 79692-35-6P 79692-36-7P
79692-37-8P 79692-38-9P 79692-39-0P 79710-41-1P 79710-42-2P
79710-43-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antineoplastic activity of)
IT 79692-40-3P 79692-42-5P 79692-43-6P 79692-46-9P 79692-47-0P

79692-49-2P 79719-44-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L8 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1980:490307 CAPLUS Full-text
DOCUMENT NUMBER: 93:90307
ORIGINAL REFERENCE NO.: 93:14382h,14383a
TITLE: Molecular recognition of nucleotides by means of ionic
interaction in hydrophobic media
AUTHOR(S): Tabushi, I.; Kobuke, Y.; Imuta, J.
CORPORATE SOURCE: Dep. Synthetic Chem., Kyoto Univ., Kyoto, 606, Japan
SOURCE: Nucleic Acids Symposium Series (1979), 6(Symp. Nucleic Acids Chem., 7th), S175-S178
CODEN: NACSD8; ISSN: 0261-3166
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

I

AB AMP, ADP, and ATP were recognized and extracted from aqueous into an organic phase (CHCl3) by the newly prepared lipophilic diammonium salt, the N,N'-distearyldiammonium chloride of 1,4-diazabicyclo[2.2.2]octane (I). ADP and ATP were specifically bound by I under conditions in which no appreciable binding of AMP occurred. The conventional phase transfer reagent, trioctylmethylammonium chloride, was far less effective and lacked selectivity for the binding of adenosine phosphates. This diammonium salt was used as a specific carrier of ADP in the passive transport through a CHCl3 liquid membrane. A high selectivity was observed in the transport rate of ADP relative to that of AMP.
TI Molecular recognition of nucleotides by means of ionic interaction in
hydrophobic media
SO Nucleic Acids Symposium Series (1979), 6(Symp. Nucleic Acids Chem., 7th), S175-S178
CODEN: NACSD8; ISSN: 0261-3166
AB AMP, ADP, and ATP were recognized and extracted from aqueous into an organic phase (CHCl3) by the newly prepared lipophilic diammonium salt, the N,N'-distearyldiammonium chloride of 1,4-diazabicyclo[2.2.2]octane (I). ADP and ATP were specifically bound by I under conditions in which no appreciable binding of AMP occurred. The conventional phase transfer reagent, trioctylmethylammonium chloride, was far less effective and lacked selectivity for the binding of adenosine phosphates. This diammonium salt was used as a specific carrier of ADP in the passive transport through a CHCl3 liquid membrane. A high

selectivity was observed in the transport rate of ADP relative to that of AMP.

IT 68254-32-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of and adenine nucleotide extraction from aqueous to hydrophobic media by)

L8 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1978:592830 CAPLUS Full-text
DOCUMENT NUMBER: 89:192830
ORIGINAL REFERENCE NO.: 89:29895a,29898a
TITLE: Highly discriminative binding of nucleoside phosphates by a lipophilic diammonium salt embedded in a bicyclic skeleton
AUTHOR(S): Tabushi, Iwao; Imuta, Junichi; Seko, Norihiko; Kobuke, Yoshiaki
CORPORATE SOURCE: Fac. Eng., Kyoto Univ., Kyoto, Japan
SOURCE: Journal of the American Chemical Society (1978), 100(19), 6287-8
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English

AB AMP and ADP were recognized and extracted from an aqueous to an organic phase by the newly prepared lipophilic diammonium salt, N,N'-distearyldiammonium dichloride of 1,4-diazabicyclo[2.2.2]octane (I). ADP was specifically bound by I under the condition of no appreciable binding of AMP. The conventional phase transfer reagent, trioctylmethylammonium chloride, was far less effective and lacked selectivity for the binding of adenosine phosphates. Comparison of binding characteristics with those of a micellar reagent illuminated the nature of I as a highly effective phase transfer reagent but not a micelle forming reagent.

TI Highly discriminative binding of nucleoside phosphates by a lipophilic diammonium salt embedded in a bicyclic skeleton

SO Journal of the American Chemical Society (1978), 100(19), 6287-8
CODEN: JACSAT; ISSN: 0002-7863

AB AMP and ADP were recognized and extracted from an aqueous to an organic phase by the newly prepared lipophilic diammonium salt, N,N'-distearyldiammonium dichloride of 1,4-diazabicyclo[2.2.2]octane (I). ADP was specifically bound by I under the condition of no appreciable binding of AMP. The conventional phase transfer reagent, trioctylmethylammonium chloride, was far less effective and lacked selectivity for the binding of adenosine phosphates. Comparison of binding characteristics with those of a micellar reagent illuminated the nature of I as a highly effective phase transfer reagent but not a micelle forming reagent.

IT 58-64-0, biological studies 68254-32-0

RL: BIOL (Biological study)
(adenosine phosphate binding by)

IT 68254-31-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L8 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1967:475173 CAPLUS Full-text
DOCUMENT NUMBER: 67:75173
ORIGINAL REFERENCE NO.: 67:14203a,14206a
TITLE: Lubricants and motor fuels
PATENT ASSIGNEE(S): Rohm and Haas Co.
SOURCE: Neth., 29 pp.
CODEN: NEXXAH
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6512804		19670403	NL 1965-12804	19651001 <--
GB 1116227			GB	

AB The preparation of lubricant and motor-fuel compns. containing homopolymers or copolymers (I) of 1-vinyl-2-piperazinone as stabilizer is described. Fuels containing I are stable and show corrosion-protective activity and lubricants containing I have a good dispersing-detergent activity, a decreased pour point, and improved viscosity. Thus, a mixture of hexadecyl methacrylate 15, 3,3-dimethyl-4-dodecyl-1-vinyl-2-piperazinone 5, and azodiisobutyronitrile (II) 0.02 part was heated under N at 70° for 24 hrs. The Sundstrand pump test (Nelson, et al., Ind. English Chemical 48, 1892(1956)) indicated a deposit of 27 mg. on the screen when 0.01 g. of the copolymer in 100 ml. oil was used. The deposit was 210 mg. when no copolymer was added to the oil. A mixture of lauryl-myristyl methacrylate 46, 1-vinyl-3,3-dimethyl-2-piperazinone 4, PhMe 3, and II 0.1 part was polymerized at 80-5°; 0.01 part II in 5.0 parts PhMe was added after 2.67, 3.33, 4.67, and 5.33 hrs., and 25.0 parts PhMe was added after 6 hrs., and the reaction stopped after 6.5 hrs. to yield a PhMe solution (III) containing 42.8% copolymer, corresponding to a polymer yield of 83.7%. III was diluted with 100 viscosity neutral oil, and PhMe evaporated at 125°/10 mm. during 1 hr. to give a 25% copolymer solution in oil with a viscosity of 165.3 centistokes at 99°. N analysis showed that 96% of the N-containing monomer was in the polymer. III (0.06%) dispersed 0.2% asphalthenes in an oil test mixture at 150°. The Sundstrand test (0.04 g. III in 100 ml. oil) gave 16 mg. deposit, while no addition of III gave 210 mg. deposit. The Panel Coker Test gave, for a mixture containing 1% III, 23 mg. deposit, while no addition of III gave 322 mg. deposit. III (4 parts) was mixed with a com. Zn dialkyl dithiophosphate and 96 parts 170-Saybolt Universal Sec. (SUS) Mid-Continent solvent-extracted neutral oil. The mixture had a viscosity of 7.31 centistokes at 99° and 45.74 centistokes at 38°, and a viscosity index of 127. The mixture was tested with the Sequence V-A engine test, indicating a total deposit after 100 hrs. of 65.3 (70.0 = clean). No addition of III gave a value of 98.1 after 100 hrs. The A.S.T.M. pour point of the lubricant was

-40° (-18° when no III was added). III (4 parts) was mixed with 0.7 part 4,4-methylenebis(2,6-di-tert-butylphenol), 1.0 part tricresyl phosphate, and 0.30 part sulfated spermaceti oil with 94 parts 170 SUS Mid-Continent solvent-extracted neutral oil. The viscosity of the mixture was 7.21 centistokes at 99° and 44-85 centistokes at 38°, with a viscosity index of 127. A part of the PhMe solution of III was diluted with bis(2-ethylhexyl) sebacate, the PhMe evaporated at 125° and 10 mm. during 1 hr. to yield a solution containing 30% III, with a viscosity of 598.3 centistokes at 99°. Two parts III in the diester were mixed with 1 part phenothiazine, and 1 part tricresyl phosphate with 96 parts di-2-ethylhexyl sebacate. The liquid tested with the corrosion and oxidation stability test at 175° (Federal Test Method Number 5308) showed that the oxidation tubes were clean compared with the same test without III. III (4 parts). was mixed with 5 parts Lubrizol 280 in 91 parts of a 95 viscosity-index base oil with a viscosity of 4.0 centistokes at 99°. The solution had a viscosity of 7.5 centistokes at 99°. Spot tests on paper indicated that the deposit in the liquid was still dispersed after 300 hrs. A similar test with a liquid containing a nondispersing viscosity improver instead of III gave a neg. result after 72 hrs. More results for a composition containing I were given.

TI Lubricants and motor fuels

PI NL 6512804 19670403

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI NL 6512804		19670403	NL 1965-12804	19651001 <--
GB 1116227			GB	

AB The preparation of lubricant and motor-fuel compns. containing homopolymers or copolymers (I) of 1-vinyl-2-piperazinone as stabilizer is described. Fuels containing I are stable and show corrosion-protective activity and lubricants containing I have a good dispersing-detergent activity, a decreased pour point, and improved viscosity. Thus, a mixture of hexadecyl methacrylate 15, 3,3-dimethyl-4-dodecyl-1-vinyl-2-piperazinone 5, and azodiisobutyronitrile (II) 0.02 part was heated under N at 70° for 24 hrs. The Sundstrand pump test (Nelson, et al., Ind. English Chemical 48, 1892(1956)) indicated a deposit of 27 mg. on the screen when 0.01 g. of the copolymer in 100 ml. oil was used. The deposit was 210 mg. when no copolymer was added to the oil. A mixture of lauryl-myristyl methacrylate 46, 1-vinyl-3,3-dimethyl-2-piperazinone 4, PhMe 3, and II 0.1 part was polymerized at 80-5°; 0.01 part II in 5.0 parts PhMe was added after 2.67, 3.33, 4.67, and 5.33 hrs., and 25.0 parts PhMe was added after 6 hrs., and the reaction stopped after 6.5 hrs. to yield a PhMe solution (III) containing 42.8% copolymer, corresponding to a polymer yield of 83.7%. III was diluted with 100 viscosity neutral oil, and PhMe evaporated at 125°/10 mm. during 1 hr. to give a 25% copolymer solution in oil with a viscosity of 165.3 centistokes at 99°. N analysis showed that 96% of the N-containing monomer was in the polymer. III (0.06%) dispersed 0.2% asphalthenes in an oil test mixture at 150°. The Sundstrand test (0.04 g. III in 100 ml. oil) gave 16 mg. deposit, while no addition of III gave 210 mg. deposit. The Panel Coker Test gave, for a mixture containing 1% III, 23 mg. deposit, while no addition of III gave 322 mg.

deposit. III (4 parts) was mixed with a com. Zn dialkyl dithiophosphate and 96 parts 170-Saybolt Universal Sec. (SUS) Mid-Continent solvent-extracted neutral oil. The mixture had a viscosity of 7.31 centistokes at 99° and 45.74 centistokes at 38°, and a viscosity index of 127. The mixture was tested with the Sequence V-A engine test, indicating a total deposit after 100 hrs. of 65.3 (70.0 = clean). No addition of III gave a value of 98.1 after 100 hrs. The A.S.T.M. pour point of the lubricant was -40° (-18° when no III was added). III (4 parts) was mixed with 0.7 part 4,4-methylenebis(2,6-di-tert-butylphenol), 1.0 part tricresyl phosphate, and 0.30 part sulfated spermaceti oil with 94 parts 170 SUS Mid-Continent solvent-extracted neutral oil. The viscosity of the mixture was 7.21 centistokes at 99° and 44-85 centistokes at 38°, with a viscosity index of 127. A part of the PhMe solution of III was diluted with bis(2-ethylhexyl) sebacate, the PhMe evaporated at 125° and 10 mm. during 1 hr. to yield a solution containing 30% III, with a viscosity of 598.3 centistokes at 99°. Two parts III in the diester were mixed with 1 part phenothiazine, and 1 part tricresyl phosphate with 96 parts di-2-ethylhexyl sebacate. The liquid tested with the corrosion and oxidation stability test at 175° (Federal Test Method Number 5308) showed that the oxidation tubes were clean compared with the same test without III. III (4 parts). was mixed with 5 parts Lubrizol 280 in 91 parts of a 95 viscosity-index base oil with a viscosity of 4.0 centistokes at 99°. The solution had a viscosity of 7.5 centistokes at 99°. Spot tests on paper indicated that the deposit in the liquid was still dispersed after 300 hrs. A similar test with a liquid containing a nondispersing viscosity improver instead of III gave a neg. result after 72 hrs. More results for a composition containing I were given.

IT 30580-29-1P 30580-44-0P 30580-46-2P 30580-48-4P, Methacrylic acid, hexadecyl ester, polymer with 4-dodecyl-3,3-dimethyl-1-vinyl-2-piperazinone
RL: PREP (Preparation)
(preparation of)

L8 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1967:9676 CAPLUS Full-text
DOCUMENT NUMBER:	66:9676
ORIGINAL REFERENCE NO.:	66:1843a,1846a
TITLE:	Inhibition by guanidino compounds of platelet aggregation induced by adenosine diphosphate
AUTHOR(S):	Jerushalmy, Z.; Skoza, Lorant; Zucker, Marjorie B.; Grant, R.
CORPORATE SOURCE:	New York Univ., New York, NY, USA
SOURCE:	Biochemical Pharmacology (1966), 15(11), 1791-803 CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB Various guanidino and related compds. were tested for their ability to inhibit platelet aggregation induced by ADP. The effect of the more active compds. on several platelet functions and on thrombic activity was also determined Some amino alkyl guanidines, alkylenediguanidines, and substituted alkylenediguanidines proved to be quite potent inhibitors, with no

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     antithrombic activity or damaging effect on platelets.  The most
     active compound tested was 1,4-diguanidinodiphenyl sulfone, of
     which 0.038mM caused 50% inhibition.  24 references.
TI   Inhibition by guanidino compounds of platelet aggregation induced
by
     adenosine diphosphate
TI   Inhibition by guanidino compounds of platelet aggregation induced
by
     adenosine diphosphate
SO   Biochemical Pharmacology (1966), 15(11), 1791-803
     CODEN: BCPCA6; ISSN: 0006-2952
IT   Blood platelets
        (aggregation of, effect of guanidine derivs. on adenosine
        diphosphate-induced)
IT   51-17-2   51-67-2   55-57-2   55-97-0   60-02-6   114-85-2   142-
65-4
     154-92-7   156-28-5   301-15-5   306-67-2   333-93-7   462-93-1
541-20-8
     627-75-8   637-15-0   637-43-4   637-72-9   744-46-7   834-28-6
     1119-34-2   1159-15-5   1188-84-7   1476-39-7   1670-14-0   1784-
03-8
     1784-04-9   1926-80-3   2016-94-6   2219-31-0   2482-00-0   2551-
72-6
     2551-73-7   2645-08-1   3633-17-8   3844-53-9   3858-78-4   4299-
03-0
     4998-76-9   6055-52-3   7757-21-3   7761-69-5   7761-70-8   7761-
71-9
     7761-72-0   7761-73-1   7761-74-2   7776-26-3   7776-41-2   13333-
59-0
     14279-64-2   14279-68-6   14279-69-7   14279-70-0   14279-72-2
     14279-76-6   14279-79-9   14279-80-2   14279-81-3   14279-82-4
     14279-84-6   14279-86-8   14279-90-4   14279-91-5   14279-92-6
     14279-94-8   14279-96-0   14279-98-2   14279-99-3 14286-82-9
     14923-17-2   14975-30-5   16046-49-4   26340-89-6
     RL: BIOL (Biological study)
        (blood platelet agglutination inhibition by)


=> s l6 and (adenosin? or PDE4 or sperm? or ovulat? or FSH)
          519 L6
       101729 ADENOSIN?
         1614 PDE4
        84329 SPERM?
        23615 OVULAT?
        30415 FSH
L9          9 L6 AND (ADENOSIN? OR PDE4 OR SPERM? OR OVULAT? OR FSH)

=> s l9 and (py<2002 or ay<2002 or pry<2002)
     21992753 PY<2002
      4221262 AY<2002
      3688696 PRY<2002
L10         0 L9 AND (PY<2002 OR AY<2002 OR PRY<2002)

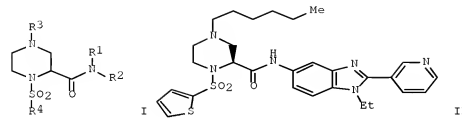
=> d l9 ibib abs ti hit 9

L9   ANSWER 9 OF 9  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2004:308436  CAPLUS  Full-text
```

DOCUMENT NUMBER: 140:339340
TITLE: Preparation of piperazine derivatives for the treatment of mammalian infertility
INVENTOR(S): Magar, Sharad; Goutopoulos, Andreas; Liao, Yihua;
Schwarz, Matthias; Russell, Thomas J.
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth.
Antilles
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031182	A1	20040415	WO 2003-EP50640	20030919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2499732	A1	20040415	CA 2003-2499732	20030919
AU 2003299124	A1	20040423	AU 2003-299124	20030919
EP 1542993	A1	20050622	EP 2003-798936	20030919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006503857	T	20060202	JP 2004-540809	20030919
NO 2005001844	A	20050415	NO 2005-1844	20050415
US 20060223813	A1	20061005	US 2006-528437	20060410
PRIORITY APPLN. INFO.:			US 2002-412308P P	20020920

20030919
OTHER SOURCE(S): MARPAT 140:339340
GI



AB The invention provides piperazine-2-carboxamides I [R1, R2 = H, alkyl, aryl, etc.; R3 = alkyl, alkenyl, aryl, etc.; R4 = alkyl, alkenyl, aryl] that are potent FSH receptor (FSH) agonists. E.g., a 5-step synthesis of the carboxamide II, starting from (2R)-piperazine-2-carboxylic acid.2HCl, which showed ED50 of 40 nM in FSH assay, was given. The pharmaceutical composition comprising the compound I is claimed.

TI Preparation of piperazine derivatives for the treatment of mammalian infertility

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB The invention provides piperazine-2-carboxamides I [R1, R2 = H, alkyl, aryl, etc.; R3 = alkyl, alkenyl, aryl, etc.; R4 = alkyl, alkenyl, aryl] that are potent FSH receptor (FSH) agonists. E.g., a 5-step synthesis of the carboxamide II, starting from (2R)-piperazine-2-carboxylic acid.2HCl, which showed ED50 of 40 nM in FSH assay, was given. The pharmaceutical composition comprising the compound I is claimed.

ST piperazinecarboxamide prepn mammalian infertility FSH receptor agonist

IT Transport proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(adenosine transporter; preparation of piperazine-2-carboxamides for the treatment of a subject suffering from disease associated with phosphodiesterase PDE4, adenosine transporters, or prostanoid receptors)

IT Prostanoid receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of piperazine-2-carboxamides for the treatment of a subject suffering from disease associated with phosphodiesterase PDE4, adenosine transporters, or prostanoid receptors)

IT Spermatogenesis
(preparation of piperazine-2-carboxamides for the treatment of

male
suffering from spermatogenesis disorder)
IT FSH receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of piperazine-2-carboxamides for the treatment of
male
suffering from spermatogenesis disorder)
IT Ovulation
(preparation of piperazine-2-carboxamides for the treatment of
ovulatory disorder)
IT 9036-21-9, PDE4
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of piperazine-2-carboxamides for the treatment of a
subject
suffering from disease associated with phosphodiesterase PDE4,
adenosine transporters, or prostanoid receptors)
IT 66-25-1, n-Hexanal
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperazine-2-carboxamides for the treatment of
male
suffering from spermatogenesis disorder)
IT 679795-44-9P 679795-45-0P 679795-46-1P 679795-47-2P
679795-48-3P 679795-49-4P 679795-50-7P 679795-51-8P 679795-
52-9P
679795-53-0P 679795-54-1P 679795-55-2P 679795-56-3P
679795-57-4P 679795-58-5P 679795-59-6P 679795-60-9P 679795-
61-0P
679795-62-1P 679795-63-2P 679795-64-3P
679795-65-4P 679795-66-5P 679795-67-6P 679795-68-7P
679795-69-8P 679795-70-1P 679795-71-2P 679795-72-3P
679795-73-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation);
USES
(Uses)
(preparation of piperazine-2-carboxamides for the treatment of
mammalian
infertility)
IT 2762-32-5, 2-Piperazinecarboxylic acid 16629-19-9, 2-
Thiophenesulfonyl
chloride 679795-76-7, 1-Ethyl-2-(pyridin-3-yl)-1H-benzimidazol-5-
ylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperazine-2-carboxamides for the treatment of
mammalian
infertility)
IT 219312-90-0P 679795-74-5P 679795-75-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT
(Reactant or reagent)
(preparation of piperazine-2-carboxamides for the treatment of
mammalian
infertility)

```
=> e thiophene/cn
E1            1     THIOPHENANTHRENECARBOXAMIDE/CN
E2            1     THIOPHENATINE/CN
E3            1 --> THIOPHENE/CN
E4            1     THIOPHENE A/CN
E5            1     THIOPHENE A DIOL/CN
E6            1     THIOPHENE AND FURAN DEGRADATION PROTEIN
(STREPTOCOCCUS MUTAN
                    S STRAIN UA159 GENE THDF)/CN
E7            1     THIOPHENE AND FURAN OXIDATION (THDF) (CHLAMYDOPHILA
CAVIAE S
                    TRAIN GPIC GENE THDF)/CN
E8            1     THIOPHENE AND FURAN OXIDATION PROTEIN (ANAPLASMA
MARGINALE S
                    TRAIN ST. MARIES GENE THDF)/CN
E9            1     THIOPHENE AND FURAN OXIDATION PROTEIN (AQUIFEX
AEOLICUS GENE
                     THDF)/CN
E10           1     THIOPHENE AND FURAN OXIDATION PROTEIN (BORRELIA
AFZELII STRA
                    IN PKO GENE THDF)/CN
E11           1     THIOPHENE AND FURAN OXIDATION PROTEIN (BORRELIA
GARINII STRA
                    IN PBI GENE THDF)/CN
E12           1     THIOPHENE AND FURAN OXIDATION PROTEIN (BUCHNERA
APHIDICOLA S
                    TRAIN SG GENE THDF)/CN

=> set expand continuous
SET COMMAND COMPLETED

=> s e1-e12
            1 THIOPHENANTHRENECARBOXAMIDE/CN
            1 THIOPHENATINE/CN
            1 THIOPHENE/CN
            1 "THIOPHENE A"/CN
            1 "THIOPHENE A DIOL"/CN
            1 "THIOPHENE AND FURAN DEGRADATION PROTEIN (STREPTOCOCCUS
MUTANS
              STRAIN UA159 GENE THDF)"/CN
            1 "THIOPHENE AND FURAN OXIDATION (THDF) (CHLAMYDOPHILA
CAVIAE STRA
              IN GPIC GENE THDF)"/CN
            1 "THIOPHENE AND FURAN OXIDATION PROTEIN (ANAPLASMA
MARGINALE STRA
              IN ST. MARIES GENE THDF)"/CN
            1 "THIOPHENE AND FURAN OXIDATION PROTEIN (AQUIFEX AEOLICUS
GENE
              THDF)"/CN
            1 "THIOPHENE AND FURAN OXIDATION PROTEIN (BORRELIA AFZELII
STRAIN
              PKO GENE THDF)"/CN
            1 "THIOPHENE AND FURAN OXIDATION PROTEIN (BORRELIA GARINII
STRAIN
              PBI GENE THDF)"/CN
            1 "THIOPHENE AND FURAN OXIDATION PROTEIN (BUCHNERA
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APHIDICOLA STRA
                IN SG GENE THDF)"/CN
L11          12 (THIOPHENANTHRENECARBOXAMIDE/CN OR THIOPHENATINE/CN OR
THIOPHENE
                /CN OR "THIOPHENE A"/CN OR "THIOPHENE A DIOL"/CN OR
"THIOPHENE
                AND FURAN DEGRADATION PROTEIN (STREPTOCOCCUS MUTANS
STRAIN UA159
                 GENE THDF)"/CN OR "THIOPHENE AND FURAN OXIDATION (THDF)
(CHLAMY
                DOPHILA CAVIAE STRAIN GPIC GENE THDF)"/CN OR "THIOPHENE
AND FURA
                N OXIDATION PROTEIN (ANAPLASMA MARGINALE STRAIN ST.
MARIES GENE
                THDF)"/CN OR "THIOPHENE AND FURAN OXIDATION PROTEIN
(AQUIFEX
                AEOLICUS GENE THDF)"/CN OR "THIOPHENE AND FURAN OXIDATION
PROTEI
                N (BORRELIA AFZELII STRAIN PKO GENE THDF)"/CN OR
"THIOPHENE AND
                FURAN OXIDATION PROTEIN (BORRELIA GARINII STRAIN PBI GENE
THDF)"
                /CN OR "THIOPHENE AND FURAN OXIDATION PROTEIN (BUCHNERA
APHIDICO
                LA STRAIN SG GENE THDF)"/CN)

=> d l11

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l11 and (PDE4 or adenosin? or FSH)
         13402 L11
          1614 PDE4
        101729 ADENOSIN?
         30415 FSH
L12          9 L11 AND (PDE4 OR ADENOSIN? OR FSH)

=> s l12 and (py<2002 or ay<2002 or pry<2002)
      21992753 PY<2002
       4221262 AY<2002
       3688696 PRY<2002
L13          5 L12 AND (PY<2002 OR AY<2002 OR PRY<2002)

=> d l13 ibib abs ti hit 1-5

L13  ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2000:493550  CAPLUS  Full-text
DOCUMENT NUMBER:         133:101736
TITLE:                   A reagent system and method for increasing the
                         luminescence of lanthanide(iii) macrocyclic
complexes
INVENTOR(S):             Leif, Robert C.; Vallarino, Lidia
PATENT ASSIGNEE(S):      USA
```

SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000042048	A1	20000720	WO 2000-US1211	20000118 <--
W: CA, CH, DE, FI, GB, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2360054	A1	20000720	CA 2000-2360054	20000118 <--
EP 1150985	A1	20011107	EP 2000-905653	20000118 <--
EP 1150985	B1	20040630		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6340744	B1	20020122	US 2000-484670	20000118 <--
AT 270298	T	20040715	AT 2000-905653	20000118 <--
US 20020132992	A1	20020919	US 2001-10597	20011206 <--
US 6750005	B2	20040615		

PRIORITY APPLN. INFO.:	US 1999-116316P	P 19990119 <--
	US 2000-484670	A1 20000118 <--
	WO 2000-US1211	W 20000118 <--

OTHER SOURCE(S): MARPAT 133:101736

AB Disclosed are a spectrofluorimetrically detectable luminescent composition and processes for enhancing the luminescence of one or more lanthanide-containing macrocycles. The luminescent composition comprises a micelle-producing amount of at least one surfactant, at least one energy transfer acceptor lanthanide element macrocycle compound having an emission spectrum peak in the range from 500 to 950 nm, and a luminescence-enhancing amount of at least one energy transfer donor compound of yttrium or a 3-valent lanthanide element having atomic number 59-71, provided that the lanthanide element of said macrocycle compound and the lanthanide element of said energy transfer donor compound are not identical. The addition of gadolinium(III) in the presence of other solutes to both the prototype and the difunctionalized europium, samarium, and terbium macrocyclic complexes, which were taught in our U.S. patents #5,373,093 and #5,696,240, enhances their luminescence. Similar enhancements of luminescence also results for the mono-functionalized europium, samarium, and terbium macrocyclic complexes, which were taught in our U.S. patent #5,696,240. The enhanced luminescence afforded by the

composition enables the detection and/or quantitation of many analytes in low concns. without the use of expensive, complicated time-gated detection systems.

TI A reagent system and method for increasing the luminescence of lanthanide(iii) macrocyclic complexes

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PI WO 2000042048 A1 20000720

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000042048	A1	20000720	WO 2000-US1211	20000118 <--
W: CA, CH, DE, FI, GB, JP, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2360054	A1	20000720	CA 2000-2360054	20000118 <--
EP 1150985	A1	20011107	EP 2000-905653	20000118 <--
EP 1150985	B1	20040630		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6340744	B1	20020122	US 2000-484670	20000118 <--
AT 270298	T	20040715	AT 2000-905653	20000118 <--
US 20020132992	A1	20020919	US 2001-10597	20011206 <--
US 6750005	B2	20040615		
PRAI US 1999-116316P	P	19990119	<--	
US 2000-484670	A1	20000118	<--	
WO 2000-US1211	W	20000118	<--	

IT 50-22-6, Corticosterone 50-36-2, Cocaine 50-56-6, Oxytocin, analysis
50-78-2 50-89-5, Deoxythymidine, analysis 51-20-7, 5-Bromouracil
51-43-4, Epinephrine 51-48-9, Thyroxine, analysis 56-54-2, Quinidine
58-22-0, Testosterone 58-61-7, Adenosine, analysis 58-85-5, Biotin 58-93-5, Hydrochlorothiazide 58-96-8, Uridine 59-14-3, Bromodeoxyuridine 59-30-3, Folic acid, analysis 65-46-3, Cytidine
65-71-4, Thymine 66-22-8, Uracil, analysis 68-26-8, Retinol 71-30-7,
Cytosine 71-63-6, Digitoxin 73-24-5, Adenine, analysis 73-40-5,
Guanine 118-00-3, Guanosine, analysis 121-82-4, RDX 951-77-9, Deoxycytidine 957-75-5, 5-Bromouridine 958-09-8, Deoxyadenosine 961-07-9, Deoxyguanosine 1398-61-4, Chitin 1972-08-3, Tetrahydrocannabinol 2321-07-5, Fluorescein 4368-28-9, Tetrodotoxin
9001-75-6, Pepsin 9002-07-7, Trypsin 9002-68-0, Follicle

stimulating
hormone 9002-71-5, Thyroid stimulating hormone 9007-43-6, Cytochrome
c, analysis 9013-20-1, Streptavidin 9026-43-1, Protein kinase
9045-77-6 9068-38-6, Reverse transcriptase 12001-79-5, Vitamin K
13408-78-1, Cobalamin 35523-89-8, Saxitoxin 47165-04-8, DAPI
51110-01-1, Somatostatin 107231-12-9, Botulin 186322-81-6, Caspase
RL: ANT (Analyte); ANST (Analytical study)
(reagent system and method for increasing luminescence of lanthanide(iii) macrocyclic complexes)
IT 64-19-7, Acetic acid, biological studies 88-89-1 110-00-9, Furan
110-02-1, Thiophene 110-86-1, Pyridine, biological studies
302-04-5, Thiocyanate, biological studies 1333-74-0, Hydrogen,
biological studies 7704-34-9, Sulfur, biological studies 7727-37-9,
Nitrogen, biological studies 7782-44-7, Oxygen, biological studies
14797-55-8, Nitrate, biological studies 14797-73-0, Perchlorate
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(reagent system and method for increasing luminescence of lanthanide(iii) macrocyclic complexes)

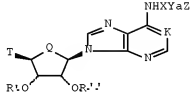
L13 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:261298 CAPLUS Full-text
DOCUMENT NUMBER: 123:228787
ORIGINAL REFERENCE NO.: 123:40895a,40898a
TITLE: Preparation of adenosine analogs as antihypertensives and antiischemics.
INVENTOR(S): Spada, Alfred P.; Fink, Cynthia A.; Myers, Michael R.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: U.S., 25 pp. Cont.-in-part of U.S. Ser. No. 587,884,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5364862	A	19941115	US 1992-955783	19921002 <--
CA 2092305	A1	19920326	CA 1991-2092305	19910925 <--
CA 2092305	C	20030211		
AT 147074	T	19970115	AT 1991-917927	19910925 <--
ES 2095960	T3	19970301	ES 1991-917927	19910925 <--
SG 80526	A1	20010522	SG 1996-3118	19910925 <--

US 5561134	A	19961001	US 1994-316761	
19941003 <--				
US 5736554	A	19980407	US 1995-455361	
19950531 <--				
US 5652366	A	19970729	US 1995-484811	
19950607 <--				
PRIORITY APPLN. INFO.:			US 1990-587884	B2
19900925 <--				
			US 1992-955783	A2
19921002 <--				
			US 1994-229882	B2
19940419 <--				
			US 1994-316761	A1
19941003 <--				

OTHER SOURCE(S): CASREACT 123:228787; MARPAT 123:228787

GI



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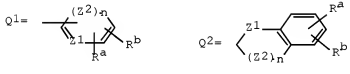


AB Title compds. [I; K = N, NO, CH; Q = CH2, O; T = R2, R1R2NCO, R3OCH2; X = alkylene, cycloalkylene, cycloalkenylene; Y = NR4, O, S; a = 0, 1; Z = Q1, Q2; Z1 = N, CR5, (CH)mCR5, (CH)mN; m = 1, 2; Z2 = N, NR6, O, S; n = 0, 1; R1-R6 = H, alkyl, aryl, heterocyclyl; Ra, Rb = H, OH, alkyl, hydroxyalkyl, alkylmercaptyl, thioalkyl, alkoxy, alkoxyalkyl amino, alkylamino, carboxyl, acyl halo, carbamoyl, alkylcarbamoyl, aryl, heterocyclyl; R', R'' = H, alkyl, aralkyl, carbamoyl, alkylcarbamoyl, dialkylcarbamoyl, acyl, alkoxycarbonyl, aralkoxycarbonyl, aryloxycarbonyl; R'R'' = CO, CS, CHORc, CRdRe; Rc, Rd, Re = H, alkyl; RdRe = atoms to form a cycloalkyl ring; with provisos], were prepared Thus, N6-[trans-2-(thiophen-2-yl)cyclohex-1-yl]adenosine, prepared from 6-chloropurine riboside and the corresponding amine, at 5 mg/kg orally in rats reduced mean arterial blood pressure and heart rate by 45% and 22%, resp.

TI Preparation of adenosine analogs as antihypertensives and antiischemics.

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

TI Preparation of adenosine analogs as antihypertensives and antiischemics.

PI US 5364862 A 19941115

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5364862	A	19941115	US 1992-955783	19921002 <--
	CA 2092305	A1	19920326	CA 1991-2092305	19910925 <--
	CA 2092305	C	20030211		
	AT 147074	T	19970115	AT 1991-917927	19910925 <--
	ES 2095960	T3	19970301	ES 1991-917927	19910925 <--
	SG 80526	A1	20010522	SG 1996-3118	19910925 <--
	US 5561134	A	19961001	US 1994-316761	19941003 <--
	US 5736554	A	19980407	US 1995-455361	19950531 <--
	US 5652366	A	19970729	US 1995-484811	19950607 <--
PRAI	US 1990-587884	B2	19900925 <--		
	US 1992-955783	A2	19921002 <--		
	US 1994-229882	B2	19940419 <--		
	US 1994-316761	A1	19941003 <--		

AB Title compds. [I; K = N, NO, CH; Q = CH2, O; T = R2, R1R2NCO, R3OCH2; X = alkylene, cycloalkylene, cycloalkenylene; Y = NR4, O, S; a = 0, 1; Z = Q1, Q2; Z1 = N, CR5, (CH)mCR5, (CH)mN; m = 1, 2; Z2 = N, NR6, O, S; n = 0, 1; R1-R6 = H, alkyl, aryl, heterocyclyl; Ra, Rb = H, OH, alkyl, hydroxyalkyl, alkylmercaptyl, thioalkyl, alkoxy, alkoxyalkyl amino, alkylamino, carboxyl, acyl halo, carbamoyl, alkylcarbamoyl, aryl, heterocyclyl; R', R'' = H, alkyl, aralkyl, carbamoyl, alkylcarbamoyl, dialkylcarbamoyl, acyl, alkoxycarbonyl, aralkoxycarbonyl, aryloxycarbonyl; R'R'' = CO, CS, CHORc, CRdRe; Rc, Rd, Re = H, alkyl; RdRe = atoms to form a cycloalkyl ring; with provisos], were prepared Thus, N6-[trans-2-(thiophen-2-yl)cyclohex-1-yl]adenosine, prepared from 6-chloropurine riboside and the corresponding amine, at 5 mg/kg orally in rats reduced mean arterial blood pressure and heart rate by 45% and 22%, resp.

ST adenosine analog prepn cardiovascular agent; antihypertensive adenosine analog; myocardial ischemia treatment adenosine analog; purine nucleoside prepn cardiovascular agent; agonist adenosine analog prepn cardiovascular agent

IT Antihypertensives
Cardiovascular agents
(preparation of adenosine analogs as antihypertensives and antiischemics)

IT Nucleosides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of adenosine analogs as antihypertensives and antiischemics)

IT Heart, disease
(ischemia, treatment; preparation of adenosine analogs as antihypertensives and antiischemics)

IT Receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
(purinergic A1, preparation of adenosine analogs as antihypertensives and antiischemics)

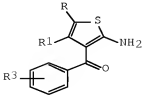
IT Receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
(purinergic A2, preparation of adenosine analogs as antihypertensives and antiischemics)

IT 143354-75-0P 143354-77-2P 143354-78-3P 143354-79-4P 143354-80-7P
143354-81-8P 143354-82-9P 143354-83-0P 143354-84-1P 143354-85-2P
143354-86-3P 143354-87-4P 143354-88-5P 143354-89-6P 143354-90-9P
143354-93-2P 143354-95-4P 143354-96-5P 143354-97-6P 143354-99-8P
143355-00-4P 143355-01-5P 143355-02-6P 143355-03-7P 143355-05-9P
143355-06-0P 143355-07-1P 143355-08-2P 143355-09-3P 143355-10-6P
143355-11-7P 143355-12-8P 143355-13-9P 143355-14-0P 143355-15-1P
143355-16-2P 143355-17-3P 143395-97-5P 143395-98-6P 165115-06-0P
165115-08-2P 165305-19-1P 165305-20-4P 165305-21-5P 193417-76-4P
193417-82-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of adenosine analogs as antihypertensives and antiischemics)

IT 75-04-7, Ethanamine, reactions 79-22-1, Methyl chloroformate 85-41-6,
Phthalimide 96-43-5, 2-Chlorothiophene 110-02-1, Thiophene
122-51-0, Triethyl orthoformate 530-62-1, 1,1'-Carbonyldiimidazole
624-83-9, Methyl isocyanate 1826-67-1, Vinylmagnesium bromide
5399-87-1, 6-Chloropurine riboside 5413-85-4,
3-Amino-2,4-dichloropyridine 5975-12-2, 2,4-Dichloro-3-nitropyridine
6160-65-2, Thiocarbonyldiimidazole 16088-62-3, (S)-Propylene oxide,
reactions 18453-07-1, 2-Thiazoleethanamine 30433-91-1,
2-Thiopheneethanamine 51221-45-5 51293-29-9 58981-35-4 59311-67-0,

3-Thiopheneethanamine 60372-30-7 61887-92-1 77745-22-3,
5,6-Dihydroxy-2-azabicyclo[2.2.1]heptan-3-one 81886-35-3 90916-45-3
92932-38-2 103201-21-4 116909-60-5 143355-04-8 143355-20-8
143355-22-0 143395-99-7 144580-67-6 159190-96-2 165115-14-0
165115-15-1 165115-16-2 173772-65-1 173772-67-3 173772-68-4
193417-81-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of adenosine analogs as antihypertensives and antiischemics)
IT 39824-26-5P 116856-50-9P 116946-74-8P 120355-42-2P 143354-73-8P
143355-18-4P 143355-19-5P 143355-23-1P 143355-25-3P 143355-28-6P
143355-29-7P 143355-30-0P 143355-31-1P 143355-32-2P 143355-33-3P
143355-34-4P 143355-35-5P 143355-36-6P 143395-96-4P 143396-00-3P
143396-02-5P 165115-05-9P 165115-09-3P 165115-10-6P 165115-11-7P
165305-16-8P 165305-17-9P 165305-18-0P 165305-22-6P 165877-19-0P
183182-58-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of adenosine analogs as antihypertensives and antiischemics)

L13 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:136471 CAPLUS Full-text
DOCUMENT NUMBER: 114:136471
ORIGINAL REFERENCE NO.: 114:23009a,23012a
TITLE: Allosteric enhancement of adenosine A1 receptor binding and function by 2-amino-3-benzoylthiophenes
AUTHOR(S): Bruns, Robert F.; Fergus, James H.
CORPORATE SOURCE: Dep. Pharmacol., Warner-Lambert Co., Ann Arbor, MI, 48105, USA
SOURCE: Molecular Pharmacology (1990), 38(6), 939-49
CODEN: MOPMA3; ISSN: 0026-895X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I, RR1= —(CH2)4—, R3=3-Cl
II, R=R1=Me, R3=3-CF3
III, RR1= —CH2N(CH2Ph)CH2CH2—, R3=4-Cl

AB Several 2-amino-3-benzoylthiophenes [PD 71605 (I), PD 81723 (II), and PD 117,975 (III)] were found to increase the binding of [3H]N6-cyclohexyladenosine to A1 adenosine receptors in rat brain membranes. Concentration-response curves were bell-shaped, with up to 45% stimulation of binding at 10 μM followed by inhibition at higher concns. Because these compds. originated from a series of nonxanthine adenosine antagonists, the inhibition of binding was attributed to the presence of interfering adenosine antagonist activity. The compds. stimulated binding of several A1 agonist ligands but only inhibited binding of the A1 antagonist ligand [3H]8-cyclopentyl-1,3-dipropylxanthine, indicating that enhancement was specific for the agonist conformation of the receptor. The enhancement was also specific for the A1 receptor, because agonist binding to A2 adenosine, M2-muscarinic, α2-adrenergic, and δ-opiate receptors showed little or no enhancement. Uncoupling of the A1 receptor from the inhibitory guanine nucleotide-binding protein did not prevent enhancement. The enhancers slowed the dissociation of [3H]N6-cyclohexyladenosine from the A1 receptor, implying an allosteric mechanism of action. The inhibition of forskolin-stimulated cAMP accumulation in FRTL-5 cells was employed as a functional index of A1 receptor activation. The enhancers caused up to 19-fold leftward shifts in the concentration-response curve for N6-cyclopentyladenosine and also caused up to 55% inhibition of cAMP accumulation in the absence of agonist. The binding and functional results are consistent with a model in which the enhancers bind preferentially to the agonist conformation of the A1 receptor, thereby shifting the receptor equilibrium in favor of agonist binding. Adenosine enhancers may be useful for ischemia and other conditions involving local energy deficits. More generally, allosteric enhancers may provide a means for strengthening physiol. control circuits in a variety of receptor system.

TI Allosteric enhancement of adenosine A1 receptor binding and function by 2-amino-3-benzoylthiophenes

TI Allosteric enhancement of adenosine A1 receptor binding and function by 2-amino-3-benzoylthiophenes

SO Molecular Pharmacology (1990), 38(6), 939-49
CODEN: MOPMA3; ISSN: 0026-895X

AB Several 2-amino-3-benzoylthiophenes [PD 71605 (I), PD 81723 (II), and PD 117,975 (III)] were found to increase the binding of [3H]N6-cyclohexyladenosine to A1 adenosine receptors in rat brain membranes. Concentration-response curves were bell-shaped, with up to 45% stimulation of binding at 10 μM followed by inhibition at higher concns. Because these compds. originated from a series of nonxanthine adenosine antagonists, the inhibition of binding was attributed to the presence of interfering adenosine antagonist activity. The compds. stimulated binding of several A1 agonist ligands but only inhibited binding of the A1 antagonist ligand [3H]8-cyclopentyl-1,3-dipropylxanthine, indicating that enhancement was specific for the agonist conformation of the receptor. The enhancement was also specific for the A1 receptor, because agonist binding to A2 adenosine, M2-muscarinic, α2-adrenergic, and δ-opiate receptors showed little or no

enhancement. Uncoupling of the A1 receptor from the inhibitory guanine nucleotide-binding protein did not prevent enhancement. The enhancers slowed the dissociation of [3H]N6-cyclohexyladenosine from the A1 receptor, implying an allosteric mechanism of action. The inhibition of forskolin-stimulated cAMP accumulation in FRTL-5 cells was employed as a functional index of A1 receptor activation. The enhancers caused up to 19-fold leftward shifts in the concentration-response curve for N6-cyclopentyladenosine and also caused up to 55% inhibition of cAMP accumulation in the absence of agonist. The binding and functional results are consistent with a model in which the enhancers bind preferentially to the agonist conformation of the A1 receptor, thereby shifting the receptor equilibrium in favor of agonist binding. Adenosine enhancers may be useful for ischemia and other conditions involving local energy deficits. More generally, allosteric enhancers may provide a means for strengthening physiol. control circuits in a variety of receptor system.

ST aminobenzoylthiophene adenosine A1 receptor brain; allosteric enhancer purinergic receptor aminobenzoylthiophene

IT Brain, metabolism
(adenosine A1 receptor binding and function in, allosteric enhancement of, by aminobenzoylthiophenes)

IT Phospholipoproteins
RL: BIOL (Biological study)
(adenylate cyclase-inhibiting, guanine nucleotide-binding, Gi, adenosine A1 receptor binding and function allosteric enhancement by aminobenzoylthiophenes in relation to)

IT 110-02-1D, Thiophene, 2-amino-3-benzoyl derivs. 40487-75-0, PD 71605 132861-87-1, PD 81723 132861-88-2, PD 117975
RL: BIOL (Biological study)
(adenosine A1 receptor binding and function allosteric enhancement by, in brain)

IT 86-01-1, 5'-GTP 7439-95-4, Magnesium, biological studies
RL: BIOL (Biological study)
(adenosine A1 receptor binding enhancement by thiophene derivative response to)

IT 60-92-4, CAMP
RL: FORM (Formation, nonpreparative)
(formation of, adenosine A1 receptor-mediated inhibition of, thiophene derivs. effect on)

L13 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1962:58306 CAPLUS Full-text
DOCUMENT NUMBER:	56:58306
ORIGINAL REFERENCE NO.:	56:11098c-f
TITLE:	Nuclear magnetic resonance spectra of adenosine diand triphosphate. II. Effect of complexing with bivalent metal ions
AUTHOR(S):	Cohn, Mildred; Hughes, Thomas R., Jr.
CORPORATE SOURCE:	Univ. of Pennsylvania, Philadelphia
SOURCE:	Journal of Biological Chemistry (1962), 257, 176-81 CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE:	Journal
LANGUAGE:	Unavailable

AB cf. CA 55, 6573b. -The structure of the complexes of adenosine di- (ADP) and triphosphate (ATP) with bivalent metal ions was studied by means of the nuclear magnetic resonance (NMR) spectra of the H and P nuclei of the nucleotides. The chemical shifts of the P nuclei in the presence of equimolar concns. of Mg, Ca, and Zn indicate that these metals form complexes with the β-and γ-phosphate groups of ATP and with the α- and β-phosphate groups of ADP. The chemical shifts of the protonresonance peaks in the ATP complexes showed that only Zn causes a change; the H8 resonance peak is shifted to a lower field, owing to binding to the adenine ring. All the proton peaks were greatly broadened on the addition of metal ions at pH approx. 4.5. The effect of low concns. of the order of 5 + 10-5M of paramagnetic ions on line broadening of the P resonance demonstrated that Cu(II) interacts solely with the α- or β-phosphate groups of ATP, but Mn and Co(II) interact with α-, β-, and γ-phosphate groups. With ADP, Cu(II) as well as Mn interacts with the α- and β-phosphate groups. The paramagnetic ions also show specific broadening of the H8 peak. The data are discussed in terms of mol. configuration of the metal complexes and the implications for specificity as substrates in enzymic reactions.

TI Nuclear magnetic resonance spectra of adenosine diand triphosphate. II. Effect of complexing with bivalent metal ions

TI Nuclear magnetic resonance spectra of adenosine diand triphosphate. II. Effect of complexing with bivalent metal ions

SO Journal of Biological Chemistry (1962), 257, 176-81
CODEN: JBCHA3; ISSN: 0021-9258

AB cf. CA 55, 6573b. -The structure of the complexes of adenosine di- (ADP) and triphosphate (ATP) with bivalent metal ions was studied by means of the nuclear magnetic resonance (NMR) spectra of the H and P nuclei of the nucleotides. The chemical shifts of the P nuclei in the presence of equimolar concns. of Mg, Ca, and Zn indicate that these metals form complexes with the β-and γ-phosphate groups of ATP and with the α- and β-phosphate groups of ADP. The chemical shifts of the protonresonance peaks in the ATP complexes showed that only Zn causes a change; the H8 resonance peak is shifted to a lower field, owing to binding to the adenine ring. All the proton peaks were greatly broadened on the addition of metal ions at pH approx. 4.5. The effect of low concns. of the order of 5 + 10-5M of paramagnetic ions on line broadening of the P resonance demonstrated that Cu(II) interacts solely with the α- or β-phosphate groups of ATP, but Mn and Co(II) interact with α-, β-, and γ-phosphate groups. With ADP, Cu(II) as well as Mn interacts with the α- and β-phosphate groups. The paramagnetic ions also show specific broadening of the H8 peak. The data are discussed in terms of mol. configuration of the metal complexes and the implications for specificity as substrates in enzymic reactions.

IT Nuclear magnetic resonance
(of adenosine diphosphates and adenosine triphosphate, cation complexing and)

IT Molecular structure
(of cation complexes with adenosine diphosphate and adenosine triphosphate)

IT Enzymes

(reactions of, cation complexes with adenosine diphosphate and adenosine triphosphate as substrates in, specificity and)
IT Cations
(reactions of, with adenosine diphosphate and adenosine triphosphate, nuclear magnetic resonance in relation to)
IT 91-15-6, Phthalonitrile 91-20-3, Naphthalene 109-97-7, Pyrrole 110-00-9, Furan 110-02-1, Thiophene 273-09-6, Benzofurazan 480-96-6, Benzofurazan, 1-oxide 4308-80-9, Spiro[2.4]hepta-4,6-diene,
1-methyl-
(nuclear magnetic resonance of)
IT 56-65-5, Adenosine triphosphate 58-64-0, Adenosine pyrophosphate
(nuclear magnetic resonance of, cation complexing and)
IT 7440-66-6, Zinc
(reaction with adenosine diphosphate and adenosine triphosphate)
IT 7439-95-4, Magnesium 7439-96-5, Manganese 7440-50-8, Copper 7440-70-2, Calcium
(reactions of, with adenosine diphosphate and adenosine triphosphate)
IT 7440-48-4, Cobalt
(reactions of, with adenosine pyrophosphate and adenosine triphosphate)

L13 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1960:52547 CAPLUS Full-text
DOCUMENT NUMBER: 54:52547
ORIGINAL REFERENCE NO.: 54:10298h-i,10299a
TITLE: Zirconium-based catalysts for the conversion of hydrocarbons
INVENTOR(S): Zimmerschied, Wilford J.; Rylander, Paul N.
PATENT ASSIGNEE(S): Standard Oil Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2921081		19600112	US 1956-571589	19560315 <--

AB A solid catalyst for the conversion of olefins is prepared by mixing an oxide or halide of Zr with a P acid (e.g. anhydrous ortho-, pyro-, or triphosphoric acid). Thus, 2 parts by weight com. polyphosphoric acid was mixed with 1 part ZrO_2, and the mixture was heated to 350° in a glass flask for 4 hrs. to produce a catalyst capable of 83% conversion of propylene to liquid propylene polymers. Other methods of preparation include the dropwise addition of $ZrCl_4$ to anhydrous H_3PO_4 (atomic ratio Zr:P 0.4) followed by heating for 4 hrs. to 300° with the evolution of HCl. The catalysts are useful for the alkylation of thiophene and aromatic hydrocarbons, desulfurization of naphtha, and cracking of heavy naphthas and gas oils.
TI Zirconium-based catalysts for the conversion of hydrocarbons

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PI   US 2921081  19600112
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   US 2921081                  19600112    US 1956-571589
19560315 <--
IT   110-02-1, Thiophene
       (alkylation by olefins, catalysts from Zr halides and oxides and
       phosphoric acids for)
IT   56-65-5, Triphosphoric acid, adenosine ester   2466-09-3,
     Pyrophosphoric acid   7664-38-2, Phosphoric acid
       (catalysts from Zr halides and oxides and, for hydrocarbon
conversion)


=> s l11 and (sperm? or ovulat? or oogen? or adenylate cyclase or cAMP)
         13402 L11
         84329 SPERM?
         23615 OVULAT?
          7632 OOGEN?
         41636 ADENYLATE
           753 ADENYLATES
         41989 ADENYLATE
                 (ADENYLATE OR ADENYLATES)
         54061 CYCLASE
          2474 CYCLASES
         54420 CYCLASE
                 (CYCLASE OR CYCLASES)
         33693 ADENYLATE CYCLASE
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         94691 CAMP
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L14         17 L11 AND (SPERM? OR OVULAT? OR OOGEN? OR ADENYLATE CYCLASE
OR
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       3688696 PRY<2002
       4221262 AY<2002
L15         13 L14 AND (PY<2002 OR PRY<2002 OR AY<2002)

=> d l15 ibib abs ti hit 1-5

L15  ANSWER 1 OF 13  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2004:701810  CAPLUS  Full-text
DOCUMENT NUMBER:         141:207213
TITLE:                   Preparation of tricyclic heterocycles useful as
                         angiotensin II receptor agonists
INVENTOR(S):             Alterman, Mathias; Hallberg, Anders Rudolf
PATENT ASSIGNEE(S):      Swed.
SOURCE:                  U.S. Pat. Appl. Publ., 23 pp., Cont.-in-part of
Appl.
                         No. PCT/GB02/02563.
                         CODEN: USXXCO
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DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040167176	A1	20040826	US 2003-721892	20031126 <--
WO 2002096883	A1	20021205	WO 2002-GB2563	20020530 <--

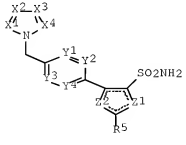
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:		
	GB 2001-13129	A 20010531 <--
	GB 2001-21611	A 20010907 <--
	GB 2002-1794	A 20020126
	WO 2002-GB2563	A2 20020530
	US 2002-350959P	P 20020125

OTHER SOURCE(S): MARPAT 141:207213

GI



I

AB The title compds. (I) [one of X1 and X2 = N and the other = C(R1); X3 = N, C(R2); X4 = N, C(R3); R1-R3 = independently represent H, C1-6 alkyl, C1-6 alkoxy, C1-6 alkoxy-C1-6 alkyl, halo; provided that, when X1 = C(R1), X3 = C(R2), and X4 = C(R3), then R1 = H; Y1-Y4 = CH, CF; Z1 = CH, O, S, N, CH:CH; Z2 = CH, O, S, N; provided that: (a) Z1 and Z2 are not the same; (b) when Z1 = CH:CH, then Z2 = CH or N; and (c) other than in the specific case in which Z1 = CH:CH, and Z2 = CH, when one of Z1 and Z2 = CH, then the other = O or S; R4 = S(O)2N(H)C(O)R6, S(O)2N(H)S(O)2R6, CONHS(O)2R6, or, when Z1 = CH:CH, then R4 = NHS(O)2N(H)C(O)R7 or NHCON(H)S(O)2R7; R5 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkoxy-C1-6 alkyl or di(C1-3 alkyl)amino-C1-4 alkyl; R6 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkoxy-C1-6 alkyl, C1-3 alkoxy-C1-6 alkyl, C1-6 alkylamino, di(C1-6 alkyl)amino; R7 = C1-6 alkyl] or pharmaceutically acceptable salts thereof are prepared These compds. are useful as selective agonists of the AT2 receptor, and thus, in particular, in the treatment of conditions of gastrointestinal tract, kidney, eye, female reproductive system, cardiovascular system, or central nervous system such as dyspepsia, irritable bowel syndrome, and multiple organ failure. Thus, 5-isobutyl-2-(N-tert-butylaminosulfonyl)thiophene-3- boronic acid > was coupled with 1-(4-bromobenzyl)-1H-imidazole in the presence of Pd(PPh3)4 and NaOH in ethanol under reflux for 2 h to give 3-(4-imidazol-1-ylmethylphenyl)-5-isobutyl-N-tert-butylthiophene-2- sulfonamide which was treated with CF3CO2H containing one drop of anisole at room temperature for 30 h to give 3-(4-imidazol-1-ylmethylphenyl)-5- isobutylthiophene-2-sulfonamide (II). II was acylated by Bu chloroformate in the presence of pyrrolidinopyridine in pyridine at room temperature overnight to give N-butyloxycarbonyl-3-(4-imidazol-1-ylmethylphenyl)-5- isobutylthiophene-2-sulfonamide.

TI Preparation of tricyclic heterocycles useful as angiotensin II receptor
agonists

PRAI	GB 2001-13129	A	20010531	<--
	GB 2001-21611	A	20010907	<--
	GB 2002-1794	A	20020126	
	WO 2002-GB2563	A2	20020530	
	US 2002-350959P	P	20020125	

IT Ovulation
(ovulatory dysfunction; preparation of tricyclic heterocycles useful as selective angiotensin II receptor agonists for treating
conditions of gastrointestinal tract, kidney, eye, cardiovascular
system, or central nervous system)

IT 75-64-9, tert-Butylamine, reactions 108-23-6, Isopropyl chloroformate
110-02-1, Thiophene 111-36-4, Butyl isocyanate 288-32-4, Imidazole, reactions 288-88-0, 1H-1,2,4-Triazole 513-38-2, 1-Iodo-2-methylpropane 538-93-2, Isobutylbenzene 543-27-1, Isobutyl
chloroformate 589-15-1, 4-Bromobenzyl bromide 592-34-7, Butyl chloroformate 873-75-6, 4-Bromobenzyl alcohol 1609-86-5, tert-Butyl
isocyanate 2386-60-9, Butanesulfonyl chloride 2516-93-0, Butoxyacetic

acid 5419-55-6, Triisopropylborate 7790-94-5, Chlorosulfonic acid
16629-19-9, 2-Thiophenesulfonyl chloride 25267-27-0, Iodobutane
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of tricyclic heterocycles useful as selective
angiotensin II receptor agonists for treating conditions of
gastrointestinal tract, kidney, eye, cardiovascular system, or central
nervous system)

L15 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:927409 CAPLUS Full-text
DOCUMENT NUMBER: 138:14063
TITLE: Preparation of imidazolyl, triazolyl, and tetrazolyl
thiophene sulfonamides and derivatives as angiotensin
II receptor agonists
INVENTOR(S): Hallberg, Anders; Alterman, Mathias
PATENT ASSIGNEE(S): Vicore Pharma Ab, Swed.; McNeeney, Stephen Phillip
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096883	A1	20021205	WO 2002-GB2563	20020530 <--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2002257970	A1	20021209	AU 2002-257970	20020530 <--
AU 2002257970	B2	20070802		
EP 1395566	A1	20040310	EP 2002-727773	

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PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     CN 1529697           A     20040915    CN 2002-814321
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     ES 2295339           T3    20080416    ES 2002-727773
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     US 20040167176       A1    20040826    US 2003-721892
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20031215 <--
PRIORITY APPLN. INFO.:                       GB 2001-13129       A
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                                             GB 2001-21611       A
20010907 <--
                                             US 2002-350959P     P
20020125
                                             GB 2002-1794        A
20020126
                                             WO 2002-GB2563      W
20020530
OTHER SOURCE(S):         MARPAT 138:14063
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT
*

AB Imidazolyl, triazolyl, and tetrazolyl thiophene sulfonamides and derivs. [I; wherein one of X1 and X2 = N, and the other = C(R3); X3 = N or C(R4); X4 = N or C(R5); R3, R4, R5, independently = H, (C1-C6)alkyl, (C1-C6)alkoxy, halo, etc.; Y1, Y2, Y3, Y4, independently = C(H), C(F); Z1 = CH, O, S, N, CH:CH; Z2 = CH, O, S, N; R1 = sulfonamide moiety; R2 = (C1-C6)alkyl, (C1-C6)alkoxy, (C1-C6)alkylamino, etc.] were prepared For example, (II) was prepared by a multistep synthetic procedure. The prepared compds. are useful as selective agonists of the AT2 receptor and, thus, in particular, in the treatment of gastrointestinal conditions, such as dyspepsia, IBS and MOF, and cardiovascular disorders.

TI Preparation of imidazolyl, triazolyl, and tetrazolyl thiophene sulfonamides and derivatives as angiotensin II receptor agonists

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REFERENCE COUNT:          3     THERE ARE 3 CITED REFERENCES AVAILABLE
FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT
PRAI GB 2001-13129        A     20010531  <--
     GB 2001-21611        A     20010907  <--
     US 2002-350959P      P     20020125
     GB 2002-1794         A     20020126
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WO 2002-GB2563 W 20020530
IT Ovulation
(disorder, treatment; preparation of imidazolyl, triazolyl, and tetrazolyl
thiophene sulfonamides and derivs. for treatment of conditions relating
to angiotensin receptor)
IT 75-64-9, tert-Butylamine, reactions 108-23-6, Isopropyl chloroformate
110-02-1, Thiophene 111-36-4, Butyl isocyanate 288-32-4, Imidazole, reactions 288-88-0, 1H-1,2,4-Triazole 288-94-8, 1H-Tetrazole 513-38-2, 1-Iodo-2-methylpropane 538-93-2, Iso-butylbenzene 542-69-8, 1-Iodobutane 543-27-1, Isobutyl chloroformate 589-15-1, 4-Bromobenzyl bromide 592-34-7, Butyl chloroformate 873-75-6, 4-Bromobenzyl alcohol 1609-86-5, tert-Butylisocyanate 2386-60-9, Butane sulfonyl chloride 2516-93-0,
Butoxyacetic acid 5419-55-6, Tri-isopropylborate 16629-19-9, Thiophene-2-sulfonyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of imidazolyl, triazolyl, and tetrazolyl thiophene sulfonamides
and derivs. as angiotensin receptor agonists)

L15 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:559037 CAPLUS Full-text
DOCUMENT NUMBER: 134:15286
TITLE: Influence of polyamines on growth and formation of
secondary metabolites in hairy root cultures of Beta
vulgaris and Tagetes patula
AUTHOR(S): Bais, Harsh Pal; Madhusudhan, R.; Bhagyalakshmi, N.;
Rajasekaran, T.; Ramesh, B. S.; Ravishankar, G. A.
CORPORATE SOURCE: Department of Plant Cell Biotechnology, Central Food
Technological Research Institute, Mysore, 570013,
India
SOURCE: Acta Physiologiae Plantarum (2000), 22(2), 151-158
CODEN: APPLDE; ISSN: 0137-5881
PUBLISHER: Polish Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Growth of hairy roots of Beta vulgaris, which produces betalaines, and of Tagetes patula, which produces thiophenes, was studied under the influence of externally treated polyamines. Of the three polyamines, viz. putrescine, spermidine and spermine, administered singly at 1.5 mM concentration, putrescine and spermidine at 0.75 mM concentration influenced increase in the accumulation of biomass of B. vulgaris and T. patula hairy roots by 1.42 and 1.30 fold over the control. Whereas, the treatment of spermine (1.5 mM) alone resulted in decrease in the biomass in both the systems. Combined administration of putrescine (0.75 mM)

and spermidine (0.75 mM) enhanced growth in both B. vulgaris and T. patula than that observed in individual treatments. Polyamines administered alone or in combination did alter production of betalain and thiophene content. Dose response expts. showed that, when putrescine and spermidine was administered at 0.75 mM concentration, it resulted in maximum biomass and production of betalain and thiophene in B. vulgaris and T. patula resp. as compared to the control and the media treated with double and triple strength of nitrates and in combination with putrescine and spermidine at equimolar concentration In B. vulgaris and T. patula hairy root cultures, endogenous spermine titers were maximum in putrescine and spermidine 0.75 mM each treated, cultures, which was 1.63 and 2.0 fold higher than in control on 28th and 35th days resp.

TI Influence of polyamines on growth and formation of secondary metabolites
in hairy root cultures of Beta vulgaris and Tagetes patula

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SO Acta Physiologiae Plantarum (2000), 22(2), 151-158
CODEN: APPLDE; ISSN: 0137-5881

AB Growth of hairy roots of Beta vulgaris, which produces betalaines, and of Tagetes patula, which produces thiophenes, was studied under the influence of externally treated polyamines. Of the three polyamines, viz. putrescine, spermidine and spermine, administered singly at 1.5 mM concentration, putrescine and spermidine at 0.75 mM concentration influenced increase in the accumulation of biomass of B. vulgaris and T. patula hairy roots by 1.42 and 1.30 fold over the control. Whereas, the treatment of spermine (1.5 mM) alone resulted in decrease in the biomass in both the systems. Combined administration of putrescine (0.75 mM) and spermidine (0.75 mM) enhanced growth in both B. vulgaris and T. patula than that observed in individual treatments. Polyamines administered alone or in combination did alter production of betalain and thiophene content. Dose response expts. showed that, when putrescine and spermidine was administered at 0.75 mM concentration, it resulted in maximum biomass and production of betalain and thiophene in B. vulgaris and T. patula resp. as compared to the control and the media treated with double and triple strength of nitrates and in combination with putrescine and spermidine at equimolar concentration In B. vulgaris and T. patula hairy root cultures, endogenous spermine titers were maximum in putrescine and spermidine 0.75 mM each treated, cultures, which was 1.63 and 2.0 fold higher than in control on 28th and 35th days resp.

IT 71-44-3, Spermine 110-60-1, Putrescine 124-20-9, Spermidine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(effect of polyamines on growth and formation of secondary metabolites in hairy root cultures of Beta vulgaris and Tagetes patula)

IT 110-02-1, Thiophene
RL: BPR (Biological process); BSU (Biological study, unclassified);

BIOL
(Biological study); PROC (Process)
(effect of polyamines on growth and formation of secondary metabolites
in hairy root cultures of Beta vulgaris and Tagetes patula)

L15 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:708776 CAPLUS Full-text
DOCUMENT NUMBER: 131:321537
TITLE: Polysaccharide-antigen conjugates
INVENTOR(S): Marciani, Dante J.
PATENT ASSIGNEE(S): Galenica Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9955715	A2	19991104	WO 1999-US9164	19990428 <--
WO 9955715	A3	19991229		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2329897	A1	19991104	CA 1999-2329897	19990428 <--
AU 9937676	A	19991116	AU 1999-37676	19990428 <--
AU 760669	B2	20030522		
EP 1073667	A2	20010207	EP 1999-920096	19990428 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002513028	T	20020508	JP 2000-545873	19990428 <--
US 6573245	B1	20030603	US 1999-301115	19990428 <--
PRIORITY APPLN. INFO.:			US 1998-83106P	P 19980428 <--
			WO 1999-US9164	W 19990428 <--

AB The authors disclose the preparation of chemical conjugates (herein referred to as polysaccharide adjuvant-antigen conjugates) that have a polysaccharide backbone capable of binding to antigen presenting cells (APCs). The conjugates are prepared using one or more mols. having a stable carbonyl group (i.e., an aldehyde and ketone group) that is capable of reacting with amino groups to form an imine or Schiff base. One or more polypeptides or peptides that are capable of eliciting an immunogenic response are then covalently attached to polysaccharide backbone. Also disclosed are methods for making the conjugates and methods of using the conjugates to enhance the potentiation of an immune response in a mammal.

TI Polysaccharide-antigen conjugates

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PI WO 9955715 A2 19991104

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9955715	A2	19991104	WO 1999-US9164	19990428 <--
	WO 9955715	A3	19991229		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	AU 9937676	A	19991116	AU 1999-37676	19990428 <--
	AU 760669	B2	20030522		
	EP 1073667	A2	20010207	EP 1999-920096	19990428 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002513028	T	20020508	JP 2000-545873	19990428 <--
	US 6573245	B1	20030603	US 1999-301115	19990428 <--
PRAI	US 1998-83106P	P	19980428 <--		
	WO 1999-US9164	W	19990428 <--		

IT 56-12-2, γ-Aminobutyric acid, biological studies 56-18-8 56-87-1, Lysine, biological studies 60-23-1 66-72-8D, Pyridoxal,

carbonyl-containing derivs. 91-22-5D, Quinoline, carbonyl-containing derivs.,
biological studies 95-01-2, 2,4-Dihydroxybenzaldehyde 95-15-8D, Benzothiophene, carbonyl-containing derivs. 98-03-3, 2-Thiophenecarboxaldehyde 99-93-4, 4-Hydroxyacetophenone 100-52-7D, Benzaldehyde, hydroxy and halides derivs., biological studies 100-83-4, 3-Hydroxybenzaldehyde 107-15-3, 1,2-Ethanediamine, biological studies 107-21-1, 1,2-Ethanediol, biological studies 107-95-9, β-Alanine 109-76-2, 1,3-Diaminopropane 110-00-9D, Furan, carbonyl-containing derivs. 110-02-1D, Thiophene, carbonyl-containing derivs. 110-60-1, 1,4-Butanediamine 110-86-1D, Pyridine, carbonyl-containing derivs., biological studies 111-46-6, biological studies 112-27-6 112-60-7 118-93-4 121-32-4, Ethyl vanillin 121-33-5, Vanillin 121-71-1 123-08-0, 4-Hydroxybenzaldehyde 124-09-4, 1,6-Hexanediamine, biological studies 124-20-9, Spermidine 139-85-5, 3,4-Dihydroxybenzaldehyde 141-43-5, 2-Aminoethanol, biological studies 156-87-6 271-89-6D, Benzofuran, carbonyl-containing derivs. 288-13-1D, Pyrazole, carbonyl-containing derivs. 288-14-2D, Isoxazole, carbonyl-containing derivs. 288-32-4D, Imidazole, carbonyl-containing derivs. 288-36-8D, 1,2,3-Triazole, carbonyl-containing derivs. 288-42-6D, Oxazole, carbonyl-containing derivs. 288-88-0D, 1H-1,2,4-Triazole, carbonyl-containing derivs. 289-80-5D, Pyridazine, carbonyl-containing derivs. 289-95-2D, Pyrimidine, carbonyl-containing derivs. 305-62-4, 2,4-Diaminobutyric acid 373-44-4, 1,8-Diaminooctane 462-47-5 462-94-2, 1,5-Diaminopentane 480-41-1, Naringenin 498-62-4, 3-Thiophenecarboxaldehyde 607-20-5, 6-Hydroxy-1,2-naphthoquinone 635-93-8, 5-Chloro-2-hydroxybenzaldehyde 646-19-5, 1,7-Diaminoheptane 646-24-2, 1,9-Diaminononane 646-25-3, 1,10-Diaminodecane 822-08-2, 1,11-Diaminoundecane 1194-98-5, 2,5-Dihydroxybenzaldehyde 1948-31-8, Dialanine 2508-29-4, 5-Aminopentanol 2783-17-7, 1,12-Diaminododecane 4048-33-3, 6-Aminohexanol 5874-90-8, Trialanine 7339-87-9, 4-Hydroxyphenylacetaldehyde 13325-10-5, 4-Aminobutanol 13472-00-9, 2-(4-Aminophenyl)ethylamine 13531-52-7, N-(2-Aminoethyl)-1,3-propanediamine 19008-71-0, 8-Aminooctanol 19243-04-0, 7-Aminoheptanol 21100-03-8 23160-46-5, 10-Aminodecanol 24677-78-9, 2,3-Dihydroxybenzaldehyde 27780-89-8, 11-Aminoundecanol

30678-61-6D, Naphthaldehyde, hydroxy and halides derivs. 51568-18-4,
4,6-Dioxoheptanoic acid 51568-20-8 58626-38-3 58657-85-5
63834-29-7 67107-87-3, 12-Amino-1-dodecanol 67283-39-0 71292-18-7
79886-55-8, Succinimidyl 4-(p-maleimidophenyl)butyrate 100387-16-4
109055-42-7, 9-Aminononanol 155638-19-0 157797-94-9 158399-18-9
165056-83-7 183021-08-1
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
(in preparation of polysaccharide-antigen conjugates with enhanced immunol.
activity)

L15 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:249087 CAPLUS Full-text
DOCUMENT NUMBER: 130:252602
TITLE: Imine-forming polysaccharides, preparation thereof and
the use thereof as adjuvants and immunostimulants
INVENTOR(S): Marciani, Dante J.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9917783	A1	19990415	WO 1998-US20660	19981002 <--
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20000329 <--
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AU 1998-95971 A3
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US 1998-165310 A3
19981002 <--
WO 1998-US20660 W
19981002 <--
US 2002-114465 A3
20020403
OTHER SOURCE(S): MARPAT 130:252602
AB The present invention relates to polysaccharide conjugates that comprise: a polysaccharide that binds to surface-receptors present on antigen presenting cells, conjugated to one or more compds. having stable carbonyl groups covalently attached, either directly or via a bifunctional linker. The conjugates are useful as immuno-stimulants and adjuvants. Ethylenediamine, 1,4-butanediamine, spermidine, 2,4-diaminobutyric acid, lysine, P-alanine, γ-aminobutyric acid, dialanine, trialanine, 3,3'-diaminodipropylamine, diaminopropionic acid, N-(2-5-aminoethyl)-1,3-propanediamine, and 2-(4-aminophenyl)ethylamine.
TI Imine-forming polysaccharides, preparation thereof and the use thereof as
adjuvants and immunostimulants
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE

FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT
PI WO 9917783 A1 19990415

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 9917783 A1 19990415 WO 1998-US20660
19981002 <--
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DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, UA, UG, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA 2305599 A1 19990415 CA 1998-2305599
19981002 <--
AU 9895971 A 19990427 AU 1998-95971
19981002 <--
EP 1027061 A1 20000816 EP 1998-949701
19981002 <--
EP 1027061 B1 20050525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI
BR 9815388 A 20010821 BR 1998-15388
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NZ 503488 A 20010831 NZ 1998-503488
19981002 <--
JP 2001518556 T 20011016 JP 2000-514654
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AT 296105 T 20050615 AT 1998-949701
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EP 1574217 A1 20050914 EP 2005-10613
19981002 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI, CY
ES 2245805 T3 20060116 ES 1998-949701
19981002 <--
MX 2000003108 A 20010731 MX 2000-3108
20000329 <--
US 20020150585 A1 20021017 US 2002-114465
20020403 <--
US 6960344 B2 20051101
AU 2003204223 A1 20030703 AU 2003-204223
20030515 <--
US 20040220142 A1 20041104 US 2004-859577
20040603 <--

	US 7196073	B2	20070327	
PRAI	US 1997-60786P	P	19971003	<--
	AU 1998-95971	A3	19981002	<--
	EP 1998-949701	A3	19981002	<--
	US 1998-165310	A3	19981002	<--
	WO 1998-US20660	W	19981002	<--
	US 2002-114465	A3	20020403	

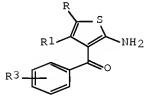
AB The present invention relates to polysaccharide conjugates that comprise: a polysaccharide that binds to surface-receptors present on antigen presenting cells, conjugated to one or more compds. having stable carbonyl groups covalently attached, either directly or via a bifunctional linker. The conjugates are useful as immuno-stimulants and adjuvants. Ethylenediamine, 1,4-butanediamine, spermidine, 2,4-diaminobutyric acid, lysine, P-alanine, γ-aminobutyric acid, dialanine, trialanine, 3,3'-diaminodipropylamine, diaminopropionic acid, N-(2-5-aminoethyl)-1,3-propanediamine, and 2-(4-aminophenyl)ethylamine.

=> d l15 ibib abs ti hit 10-15

L15 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1991:136471 CAPLUS Full-text
DOCUMENT NUMBER:	114:136471
ORIGINAL REFERENCE NO.:	114:23009a,23012a
TITLE:	Allosteric enhancement of adenosine A1 receptor binding and function by 2-amino-3-benzoylthiophenes
AUTHOR(S):	Bruns, Robert F.; Fergus, James H.
CORPORATE SOURCE:	Dep. Pharmacol., Warner-Lambert Co., Ann Arbor, MI, 48105, USA
SOURCE:	Molecular Pharmacology (1990), 38(6), 939-49 CODEN: MOPMA3; ISSN: 0026-895X
DOCUMENT TYPE:	Journal
LANGUAGE:	English

GI



I, RR1= —(CH2)4—, R3=3-Cl
II, R=R1=Me, R3=3-CF3
III, RR1= —CH2N(CH2Ph)CH2CH2—, R3=4-Cl

AB Several 2-amino-3-benzoylthiophenes [PD 71605 (I), PD 81723 (II), and PD 117,975 (III)] were found to increase the binding of [3H]N6-cyclohexyladenosine to A1 adenosine receptors in rat brain membranes. Concentration-response curves were bell-shaped, with up to 45% stimulation of binding at 10 μM followed by inhibition at higher concns. Because these compds. originated from a series of nonxanthine adenosine antagonists, the inhibition of binding

was attributed to the presence of interfering adenosine antagonist activity. The compds. stimulated binding of several A1 agonist ligands but only inhibited binding of the A1 antagonist ligand [3H]8-cyclopentyl-1,3-dipropylxanthine, indicating that enhancement was specific for the agonist conformation of the receptor. The enhancement was also specific for the A1 receptor, because agonist binding to A2 adenosine, M2-muscarinic, α2-adrenergic, and δ-opiate receptors showed little or no enhancement. Uncoupling of the A1 receptor from the inhibitory guanine nucleotide-binding protein did not prevent enhancement. The enhancers slowed the dissociation of [3H]N6-cyclohexyladenosine from the A1 receptor, implying an allosteric mechanism of action. The inhibition of forskolin-stimulated cAMP accumulation in FRTL-5 cells was employed as a functional index of A1 receptor activation. The enhancers caused up to 19-fold leftward shifts in the concentration-response curve for N6-cyclopentyladenosine and also caused up to 55% inhibition of cAMP accumulation in the absence of agonist. The binding and functional results are consistent with a model in which the enhancers bind preferentially to the agonist conformation of the A1 receptor, thereby shifting the receptor equilibrium in favor of agonist binding. Adenosine enhancers may be useful for ischemia and other conditions involving local energy deficits. More generally, allosteric enhancers may provide a means for strengthening physiol. control circuits in a variety of receptor system.

TI Allosteric enhancement of adenosine A1 receptor binding and function by
2-amino-3-benzoylthiophenes

SO Molecular Pharmacology (1990), 38(6), 939-49
CODEN: MOPMA3; ISSN: 0026-895X

AB Several 2-amino-3-benzoylthiophenes [PD 71605 (I), PD 81723 (II), and PD 117,975 (III)] were found to increase the binding of [3H]N6-cyclohexyladenosine to A1 adenosine receptors in rat brain membranes. Concentration-response curves were bell-shaped, with up to 45% stimulation of binding at 10 μM followed by inhibition at higher concns. Because these compds. originated from a series of nonxanthine adenosine antagonists, the inhibition of binding was attributed to the presence of interfering adenosine antagonist activity. The compds. stimulated binding of several A1 agonist ligands but only inhibited binding of the A1 antagonist ligand [3H]8-cyclopentyl-1,3-dipropylxanthine, indicating that enhancement was specific for the agonist conformation of the receptor. The enhancement was also specific for the A1 receptor, because agonist binding to A2 adenosine, M2-muscarinic, α2-adrenergic, and δ-opiate receptors showed little or no enhancement. Uncoupling of the A1 receptor from the inhibitory guanine nucleotide-binding protein did not prevent enhancement. The enhancers slowed the dissociation of [3H]N6-cyclohexyladenosine from the A1 receptor, implying an allosteric mechanism of action. The inhibition of forskolin-stimulated cAMP accumulation in FRTL-5 cells was employed as a functional index of A1 receptor activation. The enhancers caused up to 19-fold leftward shifts in the concentration-response curve for N6-cyclopentyladenosine and also caused up to 55% inhibition of cAMP accumulation in the absence of agonist. The binding and

functional results are consistent with a model in which the enhancers bind preferentially to the agonist conformation of the A1 receptor, thereby shifting the receptor equilibrium in favor of agonist binding. Adenosine enhancers may be useful for ischemia and other conditions involving local energy deficits. More generally, allosteric enhancers may provide a means for strengthening physiol. control circuits in a variety of receptor system.

IT Phospholipoproteins
RL: BIOL (Biological study)
(adenylate cyclase-inhibiting, guanine nucleotide-binding, Gi, adenosine A1 receptor binding and function
allosteric enhancement by aminobenzoylthiophenes in relation to)

IT 110-02-1D, Thiophene, 2-amino-3-benzoyl derivs. 40487-75-0, PD 71605 132861-87-1, PD 81723 132861-88-2, PD 117975
RL: BIOL (Biological study)
(adenosine A1 receptor binding and function allosteric enhancement by,
in brain)

IT 60-92-4, cAMP
RL: FORM (Formation, nonpreparative)
(formation of, adenosine A1 receptor-mediated inhibition of, thiophene
derivs. effect on)

L15 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:567010 CAPLUS Full-text
DOCUMENT NUMBER: 101:167010
ORIGINAL REFERENCE NO.: 101:25199a,25202a
TITLE: Nonspecific induction of β-lactamase in Enterobacter cloacae
AUTHOR(S): Cullmann, Wolfgang; Dalhoff, Axel; Dick, Wolfgang
CORPORATE SOURCE: Dep. Med. Microbiol. Immunol., Ruhr-Univ. Bochum,
Bochum, D-4630, Fed. Rep. Ger.
SOURCE: Journal of General Microbiology (1984), 130(7), 1781-6
CODEN: JGMIAN; ISSN: 0022-1287
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Induction of β-lactamase was monitored in a strain of E. cloacae exhibiting high resistance to most β-lactam antibiotics. Large amts. of the enzyme were induced not only in the presence of β-lactams, but also in the presence of other bicyclic mols. such as folic acid, thiamin, tryptophan, or hemin. Moreover, complex media (such as Trypticase soy broth and Schaedler's broth) and various body fluids (serum, pleural fluid and cerebrospinal fluid) also possessed considerable induction potency. Neither specific induction (by β-lactams) not nonspecific induction (by other bicyclic compds.) could be augmented by addition of exogenous cAMP. Thus, inducible β-lactamases deserve more attention, above all with respect to the development of resistance against 3rd generation cephalosporins.

TI Nonspecific induction of β-lactamase in Enterobacter cloacae

SO Journal of General Microbiology (1984), 130(7), 1781-6
CODEN: JGMIAN; ISSN: 0022-1287

AB Induction of β-lactamase was monitored in a strain of E. cloacae exhibiting high resistance to most β-lactam antibiotics. Large amts. of the enzyme were induced not only in the presence of β-lactams, but also in the presence of other bicyclic mols. such as folic acid, thiamin, tryptophan, or hemin. Moreover, complex media (such as Trypticase soy broth and Schaedler's broth) and various body fluids (serum, pleural fluid and cerebrospinal fluid) also possessed considerable induction potency. Neither specific induction (by β-lactams) not nonspecific induction (by other bicyclic compds.) could be augmented by addition of exogenous cAMP. Thus, inducible β-lactamases deserve more attention, above all with respect to the development of resistance against 3rd generation cephalosporins.

IT 50-28-2, biological studies 53-06-5 58-27-5 59-30-3, biological studies 59-43-8, biological studies 63-91-2, biological studies 67-97-0 68-96-2 71-00-1, biological studies 73-22-3, biological studies 73-24-5, biological studies 83-88-5, biological studies 96-50-4 110-02-1 110-85-0, biological studies 487-94-5 700-06-1 6990-06-3 16009-13-5
RL: BIOL (Biological study)
(β-lactamase nonspecific induction by, in Enterobacter cloacae)

L15 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:12290 CAPLUS Full-text
DOCUMENT NUMBER: 100:12290
ORIGINAL REFERENCE NO.: 100:1929a,1932a
TITLE: Chemical oxidizability of organic components in water
AUTHOR(S): Janicke, W.
CORPORATE SOURCE: Fed. Rep. Ger.
SOURCE: WaBoLu-Berichte (1983), (1), 114 pp.
CODEN: WBLBD6; ISSN: 0172-7702
DOCUMENT TYPE: Journal
LANGUAGE: German

AB The calculated COD values of 582 chemical compds. are compared to the COD values determined exptl. by the Cr2O72-, Cr2O72- and Ag, and MnO4- methods.

TI Chemical oxidizability of organic components in water
SO WaBoLu-Berichte (1983), (1), 114 pp.
CODEN: WBLBD6; ISSN: 0172-7702
IT Alcohols, compounds
RL: OCCU (Occurrence)

L15 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1951:57901 CAPLUS Full-text
DOCUMENT NUMBER: 45:57901
ORIGINAL REFERENCE NO.: 45:9853g-i,9854a
TITLE: Thiophene by-product tar and triglyceride oil reaction products
INVENTOR(S): Lukasiewicz, Sigmund J.; Sachanen, Alexander N.
PATENT ASSIGNEE(S): Socony-Vacuum Oil Co., Inc.

DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2562238		19510731	US	

<--

AB A new class of S-containing reaction products are described which, when used in lubricating oils, effectively increase the resistance of the oils to oxidation. Oils, such as animal-lard oil, sperm oil, etc.; mineral-paraffinic, naphthenic, and aromatic oils or mixture; vegetable-rapeseed oil, soybean oil, cottonseed oil, corn oil, palm oil, castor oil, oiticia, and essential-turpentine oil, lemon oil, peppermint oil, etc., are caused to react with S-containing tars. For example, a S-containing by-product tar and alkyl derivs. of thiophene are obtained by using an aliphatic hydrocarbon containing 5 or 6 C atoms and containing at least 4 C atoms in a chain. The hydrocarbons used in preparing these tars are normal butane, normal butenes, butadienes, pentanes, pentenes, pentadienes, hexanes, hexenes, and hexadienes. When C4H10 was used, a new product was produced, the fractionation of a portion of which showed the following composition: CS2 27.3, thiophene 20.3, and residue (mostly thiophene) 2.4%. The new tar product thus obtained was a dark, viscous mass having the following composition and properties: C 25.0, H 1.8, S 73.0%, average mol. weight 317, sp. gr. 1.5066 at (82°F./60), pour point -15°F., Saybolt Universal viscosity 46 sec./210°F. The new by-products were used as corrosion and oxidation inhibitors, cutting oils, and rubber accelerators.

TI Thiophene by-product tar and triglyceride oil reaction products

PI US 2562238 19510731

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2562238		19510731	US	

<--

AB A new class of S-containing reaction products are described which, when used in lubricating oils, effectively increase the resistance of the oils to oxidation. Oils, such as animal-lard oil, sperm oil, etc.; mineral-paraffinic, naphthenic, and aromatic oils or mixture; vegetable-rapeseed oil, soybean oil, cottonseed oil, corn oil, palm oil, castor oil, oiticia, and essential-turpentine oil, lemon oil, peppermint oil, etc., are caused to react with S-containing tars. For example, a S-containing by-product tar and alkyl derivs. of thiophene are obtained by using an aliphatic hydrocarbon containing 5 or 6 C atoms and containing at least 4 C atoms in a chain. The hydrocarbons used in preparing these tars are normal butane, normal butenes, butadienes, pentanes, pentenes, pentadienes, hexanes, hexenes, and hexadienes. When C4H10 was used, a new product was produced, the fractionation of a portion of which showed the following composition: CS2 27.3, thiophene 20.3, and residue (mostly thiophene) 2.4%. The new tar product thus obtained was a dark, viscous mass having the following composition and properties: C 25.0, H 1.8, S 73.0%, average mol.

weight 317, sp. gr. 1.5066 at (82°F./60), pour point -15°F., Saybolt Universal viscosity 46 sec./210°F. The new by-products were used as corrosion and oxidation inhibitors, cutting oils, and rubber accelerators.

IT 110-02-1P, Thiophene

RL: PREP (Preparation)

(alkyl derivs., manufacture and reaction products of S-containing, with triglyceride oils)

http://www.cas.org/support/stngen/stndoc/properties.html

```
=> e benzoimidazol/cn
E13          1     BENZOIC-P-D ACID, METHYL ESTER/CN
E14          1     BENZOIC-P-T ACID/CN
E15          0 --> BENZOIMIDAZOL/CN
E16          1     BENZOIMIDAZOLE/CN
E17          1     BENZOIMIDAZOLE-B,B'-DICHLOROETHYL ETHER-BUTYL METH
                   ACRYLATE-(CHLOROMETHYL)STYRENE-STYRENE GRAFT
COPOLYMER/CN
E18          1     BENZOIMIDE/CN
E19          1     BENZOIN/CN
E20          1     BENZOIN (2,4-DINITROPHENYL)HYDRAZONE/CN
E21          1     BENZOIN (RESIN)/CN
E22          1     BENZOIN (TRIMETHYLHEXAMETHYLENE)DICARBAMATE (1:1)/CN
E23          1     BENZOIN (TRIMETHYLHEXAMETHYLENE)DICARBONATE(2:1)/CN
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             1 "BENZOIC-P-T ACID"/CN
             0 BENZOIMIDAZOL/CN
             1 BENZOIMIDAZOLE/CN
             1 "BENZOIMIDAZOLE-B,B'-DICHLOROETHYL ETHER-BUTYL METHACR
               YLATE-(CHLOROMETHYL)STYRENE-STYRENE GRAFT COPOLYMER"/CN
             1 BENZOIMIDE/CN
             1 BENZOIN/CN
             1 "BENZOIN (2,4-DINITROPHENYL)HYDRAZONE"/CN
             1 "BENZOIN (RESIN)"/CN
             1 "BENZOIN (TRIMETHYLHEXAMETHYLENE)DICARBAMATE (1:1)"/CN
             1 "BENZOIN (TRIMETHYLHEXAMETHYLENE)DICARBONATE(2:1)"/CN
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L16         10 ("BENZOIC-P-D ACID, METHYL ESTER"/CN OR "BENZOIC-P-T
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                A.,B'-DICHLOROETHYL ETHER-BUTYL METHACRYLATE-
(CHLOROMETHYL)
                STYRENE-STYRENE GRAFT COPOLYMER"/CN OR BENZOIMIDE/CN OR
BENZOIN/
                CN OR "BENZOIN (2,4-DINITROPHENYL)HYDRAZONE"/CN OR
"BENZOIN (RES
                IN)"/CN OR "BENZOIN (TRIMETHYLHEXAMETHYLENE)DICARBAMATE
(1:1)"/C
                N OR "BENZOIN
(TRIMETHYLHEXAMETHYLENE)DICARBONATE(2:1)"/CN OR
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"BENZOIN (TRIMETHYLHEXAMETHYLENE)TRICARBAMATE (2:1)"/CN)

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s l16 and (adenosin? or PDE4 or FSH or sperm? or ovulat? or oogen?)
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       101729 ADENOSIN?
         1614 PDE4
        30415 FSH
        84329 SPERM?
        23615 OVULAT?
         7632 OOGEN?
L17        145 L16 AND (ADENOSIN? OR PDE4 OR FSH OR SPERM? OR OVULAT? OR
OOGEN?
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http://www.cas.org/support/stngen/stndoc/properties.html

```
=> e pyridine/cn
E25           1     PYRIDINDOLOL K 1/CN
E26           1     PYRIDINDOLOL K 2/CN
E27           1 --> PYRIDINE/CN
E28           1     PYRIDINE (COMPD. WITH MO6CL12 (2:1))/CN
E29           1     PYRIDINE 1/CN
E30           1     PYRIDINE 1-NITROIMIDE/CN
E31           1     PYRIDINE 1-OXIDE COMPOUND WITH ACETIC ANHYDRIDE
(1:1)/CN
E32           1     PYRIDINE 1-OXIDE COMPOUND WITH IODINE (1:1)/CN
E33           1     PYRIDINE 1-OXIDE CONJUGATE ACID/CN
E34           1     PYRIDINE 1-OXIDE CONJUGATE ACID-D/CN
E35           1     PYRIDINE 1-OXIDE REDUCTASE/CN
E36           1     PYRIDINE 2/CN

=> s e25-e36
              1 "PYRIDINDOLOL K 1"/CN
              1 "PYRIDINDOLOL K 2"/CN
              1 PYRIDINE/CN
              1 "PYRIDINE (COMPD. WITH MO6CL12 (2:1))"/CN
              1 "PYRIDINE 1"/CN
              1 "PYRIDINE 1-NITROIMIDE"/CN
              1 "PYRIDINE 1-OXIDE COMPOUND WITH ACETIC ANHYDRIDE
(1:1)"/CN
              1 "PYRIDINE 1-OXIDE COMPOUND WITH IODINE (1:1)"/CN
              1 "PYRIDINE 1-OXIDE CONJUGATE ACID"/CN
              1 "PYRIDINE 1-OXIDE CONJUGATE ACID-D"/CN
              1 "PYRIDINE 1-OXIDE REDUCTASE"/CN
              1 "PYRIDINE 2"/CN
L18          12 ("PYRIDINDOLOL K 1"/CN OR "PYRIDINDOLOL K 2"/CN OR
PYRIDINE/CN
                OR "PYRIDINE (COMPD. WITH MO6CL12 (2:1))"/CN OR "PYRIDINE
1"/CN
                OR "PYRIDINE 1-NITROIMIDE"/CN OR "PYRIDINE 1-OXIDE
COMPOUND WITH
                 ACETIC ANHYDRIDE (1:1)"/CN OR "PYRIDINE 1-OXIDE COMPOUND
WITH
                IODINE (1:1)"/CN OR "PYRIDINE 1-OXIDE CONJUGATE ACID"/CN
OR "PYR
                IDINE 1-OXIDE CONJUGATE ACID-D"/CN OR "PYRIDINE 1-OXIDE
REDUCTAS
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E"/CN OR "PYRIDINE 2"/CN)

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

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=> s l16 and l18
         16159 L16
         52172 L18
L19        539 L16 AND L18

=> s l19 and (PDE4 or FSH or sperm? or ovulat? or adenosin? or ?fertil?)
          1614 PDE4
         30415 FSH
         84329 SPERM?
         23615 OVULAT?
        101729 ADENOSIN?
        240015 ?FERTIL?
L20         15 L19 AND (PDE4 OR FSH OR SPERM? OR OVULAT? OR ADENOSIN? OR
?FERTI
               L?)

=> s l20 and (py<2002 or ay<2002 or pry<2002)
      21992753 PY<2002
       4221262 AY<2002
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L21         13 L20 AND (PY<2002 OR AY<2002 OR PRY<2002)

=> d l21 ibib abs ti hit 1-5

L21  ANSWER 1 OF 13  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2002:466634  CAPLUS  Full-text
DOCUMENT NUMBER:         137:43915
TITLE:                   Method of attaching a biopolymer to a solid
support
                         using bromoacetamidosilanes to functionalize
the
                         support
INVENTOR(S):             Pirrung, Michael C.; Odenbaugh, Amy L.;
Connors,
                         Richard V.; Worden, Janice D.
PATENT ASSIGNEE(S):      USA
SOURCE:                  U.S. Pat. Appl. Publ., 13 pp.
                         CODEN: USXXCO
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     US 20020076832       A1    20020620    US 2001-871691
20010604 <--
     US 20050032084       A1    20050210    US 2004-752493
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20040108 <--
PRIORITY APPLN. INFO.: US 2000-208493P P
20000602 <--
US 2001-871691 B1
20010604 <--
OTHER SOURCE(S): MARPAT 137:43915
AB The present invention relates, in general, to a method of attaching a biopolymer to a solid support and, in particular, to a method of attaching a nucleic acid to a glass surface, and to reagents suitable for use in such a method. The invention further relates to the product produced by the present method and to kits comprising same. Clean microscope slides were silanized with N-(3-diethoxymethylsilylpropyl)bromoacetamide (preparation given). Four oligonucleotides differing in only the nucleotide at their (free) 3'-ends were arrayed. When the array was treated with polymerase and fluoresceinated terminator, specific labeling of only the primer with perfect complementarity to the template was observed
TI Method of attaching a biopolymer to a solid support using bromoacetamidosilanes to functionalize the support

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 20020076832	A1	20020620	US 2001-871691	20010604 <--
US 20050032084	A1	20050210	US 2004-752493	20040108 <--
PRAI US 2000-208493P	P	20000602 <--		
US 2001-871691	B1	20010604 <--		

IT 57-12-5, Cyanide, uses 61-19-8, Adenosine monophosphate, uses 62-56-6, Thiourea, uses 71-50-1, Acetate, uses 85-41-6, Phthalimide 110-86-1, Pyridine, uses 110-91-8, Morpholine, uses 929-06-6, 2-(2-Aminoethoxy)ethanol 3812-32-6D, Carbonate, reacted with borate 7664-41-7, Ammonia, uses 11129-12-7D, Borate, reacted with carbonate 14343-69-2, Azide 14383-50-7, Thiosulfate (S2O32-) 15181-41-6, Thiophosphate 19341-57-2
RL: NUU (Other use, unclassified); USES (Uses)
(as passivator; method of attaching biopolymers to solid supports using bromoacetamidosilanes to functionalize supports)

L21 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:351162 CAPLUS Full-text
DOCUMENT NUMBER: 133:790
TITLE: New use of glutamate antagonists for the treatment of cancer
INVENTOR(S): Ikonomidou, Hrissanthi
PATENT ASSIGNEE(S): Germany
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1002535	A1	20000524	EP 1998-250380	
19981028 <--				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO				
AU 9964750	A	20000515	AU 1999-64750	
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EP 1124553	A1	20010822	EP 1999-952622	
19991022 <--				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO				
JP 2002528415	T	20020903	JP 2000-578005	
19991022 <--				
EP 1586321	A1	20051019	EP 2005-12871	
19991022 <--				
EP 1586321	B1	20081210		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
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EP 1649857	A2	20060426	EP 2005-12872	
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EP 1649857	A3	20070328		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, FI, CY				
AT 416769	T	20081215	AT 2005-12871	
19991022 <--				
US 6797692	B1	20040928	US 2001-830354	
20010425 <--				
US 20050054619	A1	20050310	US 2004-912159	
20040806 <--				
US 7247610	B2	20070724		
US 20050054650	A1	20050310	US 2004-912175	
20040806 <--				
PRIORITY APPLN. INFO.:			EP 1998-250380	A
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			EP 1999-952622	A3
19991022 <--				
			WO 1999-EP8004	W
19991022 <--				
			US 2001-830354	A3
20010425 <--				

AB New therapies can be devised based upon a demonstration of the role of glutamate in the pathogenesis of cancer. Inhibitors of the interaction of glutamate with the AMPA, kainate, or NMDA receptor complexes are likely to be useful in treating cancer and can be formulated as pharmaceutical compns. They can be identified by appropriate screens.

TI New use of glutamate antagonists for the treatment of cancer

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

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FORMAT
PI   EP 1002535 A1  20000524
     PATENT NO.           KIND   DATE        APPLICATION NO.        DATE
     ---------------      ----   --------    -------------------    -----
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PI   EP 1002535           A1     20000524    EP 1998-250380
19981028 <--
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
PT,
             IE, SI, LT, LV, FI, RO
     AU 9964750           A      20000515    AU 1999-64750
19991022 <--
     EP 1124553           A1     20010822    EP 1999-952622
19991022 <--
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
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             IE, SI, LT, LV, FI, RO
     JP 2002528415        T      20020903    JP 2000-578005
19991022 <--
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19991022 <--
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         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
PT,
             IE, FI, CY
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20040806 <--
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20040806 <--
PRAI EP 1998-250380       A      19981028  <--
     EP 1999-952622       A3     19991022  <--
     WO 1999-EP8004       W      19991022  <--
     US 2001-830354       A3     20010425  <--

L21  ANSWER 3 OF 13  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         1997:761491  CAPLUS  Full-text
DOCUMENT NUMBER:          128:111787
ORIGINAL REFERENCE NO.:   128:21841a,21844a
TITLE:                    Intercellular communication, tumor promotion
and
                          nongenotoxic carcinogenesis: relationships
based upon
                          structural considerations
AUTHOR(S):                Rosenkranz, Margalit; Rosenkranz, Herbert S.;
Klopman,
```

Gilles
CORPORATE SOURCE: Department of Environmental and Occupational Health,
University of Pittsburgh, Pittsburgh, PA 15238, USA
SOURCE: Mutation Research, Fundamental and Molecular Mechanisms of Mutagenesis (1997), 381(2), 171-188
CODEN: MUREAV; ISSN: 0027-5107
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An SAR model for inhibition of metabolic cooperation (iMC) was developed. The structural and physicochem. features associated with the ability to cause iMC are primarily lipophilic moieties consistent with the possibility that they represent receptor-binding ligands. There are also significant parallels between the structural descriptors associated with iMC and those associated with tumor promotion and with carcinogenesis in rodents. Overall, the present study provides structural evidence that iMC is a feature associated with the carcinogenic process.
TI Intercellular communication, tumor promotion and nongenotoxic carcinogenesis: relationships based upon structural considerations
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
SO Mutation Research, Fundamental and Molecular Mechanisms of Mutagenesis (
1997), 381(2), 171-188

L21 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:369123 CAPLUS Full-text
DOCUMENT NUMBER: 122:150060
ORIGINAL REFERENCE NO.: 122:27511a,27514a
TITLE: Studies on intramolecular stacking interaction of
ternary complexes M(II)(ATP)2- with heteroaromatic
N-base ligands
AUTHOR(S): Wu, Fu-hai; Song, Bin; Zhang, Jie; Ji, Liang-nian
CORPORATE SOURCE: Biotechnology Research Center, Zhongshan University,
Guangzhou, 510275, Peop. Rep. China
SOURCE: Chemical Research in Chinese Universities (1994), 10(3), 167-74
CODEN: CRCUED; ISSN: 1005-9040
DOCUMENT TYPE: Journal
LANGUAGE: English
AB To understand the driving forces leading to mixed ligand complexes in biol. systems ML(ATP)2- (M = Cu, Ni, Co; L = pyridine, 4-picoline, 3,5-lutidine, isoquinoline or benzimidazole) in aqueous solution were studied by spectrophotometry and some of them (M = Cu2+, L = isoquinoline or benzimidazole) were also sep. studied by potentiometric pH titration An intramol. stacking interaction

exists between the heteroarom. ring of the ligands and the purine moiety of ATP.

TI Studies on intramolecular stacking interaction of ternary complexes M(II)(ATP)2- with heteroaromatic N-base ligands

SO Chemical Research in Chinese Universities (1994), 10(3), 167-74
CODEN: CRCUED; ISSN: 1005-9040

IT 51-17-2D, Benzimidazole, copper complexes with and without ATP
56-65-5D, Adenosine 5'-triphosphate, copper and nickel and cobalt complexes with pyridine and picoline and lutidine and isoquinoline
and benzimidazole 108-89-4D, 4-Picoline, copper and nickel and cobalt
complexes with and without ATP 110-86-1D, Pyridine, copper and nickel and cobalt complexes with and without ATP 119-65-3D, Isoquinoline, copper complexes with and without ATP 591-22-0D, 3,5-Lutidine, copper and nickel and cobalt complexes with and without ATP
7440-02-0D, Nickel, pyridine and picoline and lutidine and isoquinoline
and benzimidazole complexes with and without ATP 7440-48-4D, Cobalt,
pyridine and picoline and lutidine and isoquinoline and benzimidazole
complexes with and without ATP 7440-50-8D, Copper, pyridine and picoline
and lutidine and isoquinoline and benzimidazole complexes with and without
ATP
RL: PRP (Properties)
(effect of ring stacking on stability consts. of)

IT 51-17-2, Benzimidazole 108-89-4, 4-Picoline 119-65-3, Isoquinoline 591-22-0, 3,5-Lutidine 987-65-5, Disodium adenosine 5'-triphosphate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with transition metal salts and nitrogen heterocycles

L21 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:412839 CAPLUS Full-text
DOCUMENT NUMBER: 109:12839
ORIGINAL REFERENCE NO.: 109:2163a,2166a
TITLE: Solvent effect on the protonation of some purines,
pyrimidines and related compounds
AUTHOR(S): Benoit, R. L.; Frechette, M.
CORPORATE SOURCE: Dep. Chim., Univ. Montreal, Montreal, QC, H3C 3J7,
Can.
SOURCE: Thermochimica Acta (1988), 127, 125-37
CODEN: THACAS; ISSN: 0040-6031
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Potentiometric and calorimetric data are given for the protonation at 25° of some purines, pyrimidines and related bases, B, in DMSO and water. The enthalpies of transfer from water to Me2SO of BH+ are 32.9 ± 0.7 kJ/mol more exothermic than the transfer enthalpies of B. Correlations are reported between the free energy and enthalpy of protonation of B in both solvents and gas phase.

These correlations are useful in predicting and assessing the validity of exptl. or calculated thermodn. data.
TI Solvent effect on the protonation of some purines, pyrimidines and related compounds
SO Thermochimica Acta (1988), 127, 125-37
CODEN: THACAS; ISSN: 0040-6031
IT 51-17-2, Benzimidazole 58-08-2, Caffeine, properties 58-61-7, Adenosine, properties 62-53-3, Aniline, properties 65-71-4, Thymine 66-22-8, Uracil, properties 68-94-0, Hypoxanthine 69-89-6, Xanthine 71-30-7, Cytosine 73-24-5, Adenine, properties 73-40-5, Guanine 110-86-1, Pyridine, properties 120-73-0, Purine 121-69-7, N,N-Dimethylaniline, properties 142-08-5, 2-Hydroxypyridine 288-32-4, Imidazole, properties 289-95-2, Pyrimidine 461-98-3, 4-Amino-2,6-dimethylpyrimidine 504-24-5, 4-Aminopyridine 616-47-7, N-Methylimidazole 6284-24-8 58526-75-3
RL: PRP (Properties)
(ionization and heat and entropy of ionization and heat of transfer from water to DMSO of)

=> s l19 and (prostat? or prostanoid? or adenyate cyclase or cAMP)
67157 PROSTAT?
9872 PROSTANOID?
4 ADENYATE
54061 CYCLASE
2474 CYCLASES
54420 CYCLASE
(CYCLASE OR CYCLASES)
2 ADENYATE CYCLASE
(ADENYATE(W)CYCLASE)
94120 CAMP
1444 CAMPS
94691 CAMP
(CAMP OR CAMPS)
L22 3 L19 AND (PROSTAT? OR PROSTANOID? OR ADENYATE CYCLASE OR CAMP)

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L22 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:24556 CAPLUS Full-text
DOCUMENT NUMBER: 150:136620
TITLE: Methods and compositions inducing JNK phosphorylation and tumor apoptosis for combinational anticancer treatments
PATENT ASSIGNEE(S): Yu, Ming, USA
SOURCE: PCT Int. Appl., 92pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009006555	A2	20090108	WO 2008-US69106	20080702

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-929535P P 20070702

AB This invention describes methods and pharmaceutical compns. for combinational cancer treatments that are capable of inducing JNK (c-Jun N-terminal kinase) phosphorylation and induce programmed cell death. It also identified genes as target for anti-cancer drug development and enhancement of the chemotherapeutic drug effect for the treatment of cancer. This invention points to a novel method and principle for a new avenue of developing more efficient and low or non cytotoxic cancer treatment. The invention is based on two findings: (1) The Cell Proliferation Reagent WST-1 (WST-1r), when combined with DNA-transfection vector pUC19 and an IKK (IκB kinase) inhibitor induces cell death in a synergetic manner in cancer cells and, (2) The effect of pUC19 vector in the induction of cell death resides in its DNA sequence. Blast anal. of the pUC19 DNA sequence resulted in several short matches to human transcripts and to flanking regions of multiple genes, including TRPC6, SH3PXD2B, C6orf108, TTBK1, MAGI3, and TMEM182. The siRNAs of some of these sequences and the siRNAs against some of above genes were capable of acting as substitutes for the Puc19 for the triple combination treatment. The WST-1 reagent (WST-1r) is composed of WST-1, a tetrazolium salt, and mPMS (1-methoxy-5-methylphenazinium Me sulfate, 1-mPMS), an electron coupling reagent, each representing a class of chems. that can be used to target JNK-ROS-NFκB metabolic pathway in

cancer cells. The concentration and the ratio of WST-1 and mPMS could be adjusted and optimized to maintain synergistic induction of cancer cell death while avoiding triggering the direct toxicity by the ROS (reactive oxygen species). It was also shown that apigenin is capable of substituting for the pUC19 DNA transfection and IKK inhibitor, in combination with WST-1 to reach the synergetic induction of cancer cell death. Anticancer effect is Apigenin and WST-1 dose and time dependent and is highly reproducible for multiple different human cancer cell lines.

TI Methods and compositions inducing JNK phosphorylation and tumor apoptosis for combinational anticancer treatments

IT Adrenal gland, neoplasm
Bladder, neoplasm
Bone, neoplasm
Brain, neoplasm
Connective tissue
Esophagus, neoplasm
Head and Neck, neoplasm
Kidney, neoplasm
Large intestine
Lung, neoplasm
Mammary gland, neoplasm
Myoma
Ovary, neoplasm
Pancreas, neoplasm
Prostate gland, neoplasm
Skin, neoplasm
Stomach, neoplasm
Testis, neoplasm
Thyroid gland, neoplasm
(carcinoma; methods and compns. inducing JNK phosphorylation and tumor apoptosis for combinational anticancer treatments)

IT Carcinoma
(prostatic; methods and compns. inducing JNK phosphorylation and tumor apoptosis for combinational anticancer treatments)

IT 51-17-2D, Benzoimidazole, carboxamide derivs. 110-86-1D, Pyridine, diaryl derivs. 120-72-9D, Indole, carboxamide derivs. 288-32-4D, Imidazole, amino and carboxamide derivs. 289-95-2D, Pyrimidine, anilino derivs. 461-58-5D, pyridyl derivs. 524-12-9, Wedelolactone 22934-41-4D, 5-Quinolinecarboxaldehyde, derivs. 37204-63-0D, Benzoxazinone, pyrido analogs 116356-96-8D, Thiophenecarboxamide, Ureudo derivs. 143906-85-8D, Pyrazolo[4,3-c]quinoline, derivs. 219773-55-4, SPC839 431898-65-6, PS1145 507475-17-4 547757-23-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(IKK inhibitor, combination with; methods and compns. inducing JNK phosphorylation and tumor apoptosis for combinational anticancer treatments)

L22 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:971725 CAPLUS Full-text
DOCUMENT NUMBER: 140:35893

TITLE: Transcription factor modulating compounds and methods of use thereof

INVENTOR(S): Levy, Stuart B.; Alekshun, Michael N.; Podlogar, Brent L.; Ohemeng, Kwasi; Verma, Atul K.; Warchol, Tadeusz; Bhatia, Beena

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 301 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030229065	A1	20031211	US 2002-139591	20020814
CA 2445515	A1	20021104	CA 2002-2445515	20020506
WO 2004001058	A2	20031231	WO 2002-US14255	20020506
WO 2004001058	A3	20050303		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002367953	A1	20040106	AU 2002-367953	20020506
AU 2002367953	B2	20080717		
EP 1524974	A2	20050427	EP 2002-807554	20020506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005519998	T	20050707	JP 2004-515557	20020506
US 20050124678	A1	20050609	US 2003-700661	20031103
US 7405235	B2	20080729		

AU 2008203017 A1 20080731 AU 2008-203017
20080708
PRIORITY APPLN. INFO.: US 2001-288660P P
20010504
AU 2002-367953 A3
20020506
WO 2002-US14255 W
20020506
US 2002-139591 A2
20020814
US 2002-423319P P
20021101
US 2002-425916P P
20021113
OTHER SOURCE(S): MARPAT 140:35893
AB Methods for identifying compound useful as anti-infectives that decrease resistance, virulence, or growth of microbes are provided. In one embodiment, the method comprises contacting a microbial cell comprising: (1) a selectable marker under the control of a transcription factor responsive element and (2) a transcription factor, with a compound under conditions which allow interaction of the compound with the microbial cell; and measuring the ability of the compound to affect the growth or survival of the microbial cell as an indication of whether the test compound modulates the activity of a transcription factor.
TI Transcription factor modulating compounds and methods of use thereof
IT Inflammation
Prostate gland, disease
(prostatitis, biofilm infection, treatment; transcription factor modulating compds. as anti-infectives agents that decrease
resistance and virulence and growth identified by determining marker under
control of responsive element)

L22 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:761491 CAPLUS Full-text
DOCUMENT NUMBER: 128:111787
ORIGINAL REFERENCE NO.: 128:21841a,21844a
TITLE: Intercellular communication, tumor promotion and
nongenotoxic carcinogenesis: relationships based upon
structural considerations
AUTHOR(S): Rosenkranz, Margalit; Rosenkranz, Herbert S.; Klopman,
Gilles
CORPORATE SOURCE: Department of Environmental and Occupational Health,
University of Pittsburgh, Pittsburgh, PA 15238, USA
SOURCE: Mutation Research, Fundamental and Molecular Mechanisms of Mutagenesis (1997), 381(2), 171-188
CODEN: MUREAV; ISSN: 0027-5107

PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An SAR model for inhibition of metabolic cooperation (iMC) was developed. The structural and physicochem. features associated with the ability to cause iMC are primarily lipophilic moieties consistent with the possibility that they represent receptor-binding ligands. There are also significant parallels between the structural descriptors associated with iMC and those associated with tumor promotion and with carcinogenesis in rodents. Overall, the present study provides structural evidence that iMC is a feature associated with the carcinogenic process.

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> s l17 and (py<2002 or ay<2002 or pry<2002)
      21992753 PY<2002
       4221262 AY<2002
       3688696 PRY<2002
L23         105 L17 AND (PY<2002 OR AY<2002 OR PRY<2002)

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        240015 ?FERTIL?
         30415 FSH
         17096 LUTEINIZING
           169 LUTEINISING
         17229 LUTEINIZING
                 (LUTEINIZING OR LUTEINISING)
           169 LUTEINISING
         17096 LUTEINIZING
         17229 LUTEINISING
                 (LUTEINISING OR LUTEINIZING)
          1614 PDE4
L24          42 L16 AND (?FERTIL? OR FSH OR LUTEINIZING OR LUTEINISING OR
PDE4)

=> s l24 and (py<2002 or ay<2002 or pry<2002)
      21992753 PY<2002
       4221262 AY<2002
       3688696 PRY<2002
L25          29 L24 AND (PY<2002 OR AY<2002 OR PRY<2002)

=> d l25 ibib abs ti hit 1-10

L25  ANSWER 1 OF 29  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2003:281867  CAPLUS  Full-text
DOCUMENT NUMBER:         138:306230
TITLE:                   Flame retardants containing ammonium
polyphosphate
                         solutions containing multifunctional phosphonic
acids
                         and corrosion inhibitors
INVENTOR(S):             Vandersall, Howard L.; Kegeler, Gary H.
PATENT ASSIGNEE(S):      Astaris, LLC, USA
SOURCE:                  U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of
U.S.
                         Ser. No. 723,567.
```

CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030066990	A1	20030410	US 2001-33601	20011226 <--
US 6846437	B2	20050125		
US 6802994	B1	20041012	US 2000-723567	20001128 <--
ES 2280414	T3	20070916	ES 2001-985472	20010927 <--
CA 2470153	A1	20030717	CA 2002-2470153	20020325 <--
CA 2470153	C	20081118		
WO 2003057317	A1	20030717	WO 2002-US9244	20020325 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002252508	A1	20030724	AU 2002-252508	20020325 <--
AU 2002252508	B2	20050616		
EP 1458449	A1	20040922	EP 2002-721583	20020325 <--
EP 1458449	B1	20080109		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

ES 2299564	T3	20080601	ES 2002-721583	20020325 <--

PRIORITY APPLN. INFO.:	US 2000-723567	A2 20001128 <--
	US 2001-33601	A 20011226 <--
	WO 2002-US9244	W 20020325

AB An ammonium polyphosphate-based anticorrosion fire retardant contains: (1) a suspending agent, (2) a phosphonate or phosphonate salt, and a corrosion inhibitor selected from azoles, and iron salts. The phosphonate component is selected from aminotri(methylenephosphonic acid), 1-hydroxyethylidene-1,1-diphosphonic acid, hexamethylenediaminetetra(methylenephosphonic acid), diethylenetriaminepenta(methylenephosphonic acid), and their salts. Suitable suspending agents include attapulgite clay, sepiolite, Fuller's earth, montmorillonite, and kaolin clays. Suitable azoles include tolyltriazole, benzotriazole, mercaptobenzothiazole, dimercaptothiadiazole, 1,2-benzisothiazoline-3-1, 2-benzimidazolone, 4,5,6,7-tetrahydrobenzotriazole, tolylimidazole, 2-(5-ethyl-2-pyridyl)benzimidazole, and phthalimide. Addnl. components include additives such as coloring agents, surfactants, stabilizers, rheol. modifiers, and opacifying agents. The flame retardant can be used for suppressing wildland fires by aerial application.

TI Flame retardants containing ammonium polyphosphate solutions containing multifunctional phosphonic acids and corrosion inhibitors

L25 ANSWER 2 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:888708 CAPLUS Full-text
DOCUMENT NUMBER: 137:384746
TITLE: Preparation of amido-indoles as antagonists of gonadotropin releasing hormone (GnRH)
INVENTOR(S): Wardleworth, James Michael; Dossetter, Alexander
Graham; Halsall, Christopher Thomas
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092565	A2	20021121	WO 2002-GB2116	20020508 <--
WO 2002092565	A3	20021227		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG
AU 2002302735 A1 20021125 AU 2002-302735
20020508 <--
EP 1389104 A2 20040218 EP 2002-730413
20020508 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2004529183 T 20040924 JP 2002-589451
20020508 <--
JP 4216608 B2 20090128
US 20040142987 A1 20040722 US 2004-477795
20040301 <--
US 7256188 B2 20070814
PRIORITY APPLN. INFO.: SE 2001-1692 A
20010514 <--
WO 2002-GB2116 W
20020508
OTHER SOURCE(S): MARPAT 137:384746
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT
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AB Title compds. I [A = H, alkyl or N–A–R4 = 3–8 membered heterocyclic ring; B = bond, alkylene; C = aromatic ring; D = hydrogen, alkyl; E = 3–8 membered heterocyclic ring, amidoalkyl, amido, etc.; X, Y: X = N and Y = CN; H, carboxamido or X = CH and Y = NO2 or X–Y represents O; R1–2 = H, alkyl or R1–2 together represent carbonyl, etc.; R3 = H, alkyl, etc; R4 = H, alkyl, etc.] were prepared For instance, 5-bromo-1,3-dimethylbenzene was metalated and alkylated with γ-butyrolactone (hexanes, n-BuLi, −65°, 5 h) and the product converted to the corresponding phthalimide (THF, DEAD, Ph3P, phthalimide). This intermediate was condensed with an appropriately substituted hydrazine (preparation given; HOAc, 90°, 48 h) to give II. II was debrominated (EtOAc/Et3N, H2–Pd/C), converted to the amine (MeOH, H2NNH2•H2O), treated with di-Ph cyanocarbonimidate (IPA) followed by morpholine (IPA, reflux) to afford III. Example compds. demonstrated activity at the gonadotropin releasing hormone (GnRH) receptor at a concentration of 1 nM to 5 μM.
TI Preparation of amido-indoles as antagonists of gonadotropin releasing
hormone (GnRH)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
PRAI SE 2001-1692 A 20010514 <--
WO 2002-GB2116 W 20020508

IT 9002-67-9, Luteinizing hormone 9034-40-6, Gonadotropin releasing hormone
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of amido-indoles as antagonists of gonadotropin releasing hormone)
IT 85-41-6, Phthalimide 96-48-0 556-96-7 79463-77-7, Diphenyl cyanocarbonimidate 83397-45-9 150281-47-3 433980-62-2 475665-04-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amido-indoles as antagonists of gonadotropin releasing hormone)

L25 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:594822 CAPLUS Full-text
DOCUMENT NUMBER: 137:154857
TITLE: Preparation of nicotinamide biaryl derivatives as inhibitors of PDE4 isozymes
INVENTOR(S): Chambers, Robert James; Magee, Thomas Victor; Marfat, Anthony
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060875	A1	20020808	WO 2001-IB2341	20011206 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2002220966	A1	20020812	AU 2002-220966	

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20011206 <--
     EP 1355884           A1    20031029    EP 2001-273556
20011206 <--
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     EE 200300360         A     20031215    EE 2003-360
20011206 <--
     BR 2001016852        A     20040225    BR 2001-16852
20011206 <--
     HU 2004000637        A2    20040628    HU 2004-637
20011206 <--
     JP 2004520386        T     20040708    JP 2002-561026
20011206 <--
     CN 1518542           A     20040804    CN 2001-823071
20011206 <--
     NZ 526453            A     20050128    NZ 2001-526453
20011206 <--
     US 20020193612       A1    20021219    US 2002-62813
20020131 <--
     US 6649633           B2    20031118
     IN 2003MN00608       A     20050318    IN 2003-MN608
20030617 <--
     ZA 2003004894        A     20040624    ZA 2003-4894
20030624 <--
     US 20040048903       A1    20040311    US 2003-613988
20030702 <--
     US 6953810           B2    20051011
     BG 108038            A     20040730    BG 2003-108038
20030728 <--
     NO 2003003397        A     20030919    NO 2003-3397
20030730 <--
     MX 2003006887        A     20031113    MX 2003-6887
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PRIORITY APPLN. INFO.:                       US 2001-265492P     P
20010131 <--
                                             WO 2001-IB2341      W
20011206 <--
                                             US 2002-62813       A3
20020131
OTHER SOURCE(S):          MARPAT 137:154857
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; g = 0-1; j = 0-1; provided that when j = 0, n must be 2; k = 0-1; m = 0-2; n = 1-2; W1 = O, SOt (t = 0-2), NR3; W2 = OCR9R10, or absent; Y = CR1, NOk (k = 0-1); R9, R10 = H, F, CF3, etc.; or R9 and R10 are taken together, but only in the case where m = 1, to form a spiro moiety; R7, R8 have the same meaning as R9, R10 except that one of them must be H; R1, R2 = H, F, Cl, etc.; R3 = H, alkyl, Ph, etc.; R4-R6 = H, F, Cl, etc.; Q1 = Ph, benzodioxyl, etc.; Q2 = biaryl moiety], useful as inhibitors

of PDE4 in the treatment of diseases regulated by the activation and degranulation of eosinophils, especially asthma, chronic bronchitis, and chronic obstructive pulmonary disease, were prepared E.g., a multi-step synthesis of the amide II, starting from Me 3-bromobenzoate and 4-formylbenzeneboronic acid, was given. Compds. I showed anti-inflammatory activity at 0.0001 μM to 20.0 μM in whole blood assay for LTE4.

TI Preparation of nicotinamide biaryl derivatives as inhibitors of PDE4 isozymes

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Preparation of nicotinamide biaryl derivatives as inhibitors of PDE4 isozymes

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002060875	A1	20020808	WO 2001-IB2341	20011206 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2436535	A1	20020808	CA 2001-2436535	20011206 <--
	AU 2002220966	A1	20020812	AU 2002-220966	20011206 <--
	EP 1355884	A1	20031029	EP 2001-273556	20011206 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	EE 200300360	A	20031215	EE 2003-360	20011206 <--
	BR 2001016852	A	20040225	BR 2001-16852	20011206 <--
	HU 2004000637	A2	20040628	HU 2004-637	20011206 <--
	JP 2004520386	T	20040708	JP 2002-561026	20011206 <--
	CN 1518542	A	20040804	CN 2001-823071	

20011206 <--
NZ 526453 A 20050128 NZ 2001-526453
20011206 <--
US 20020193612 A1 20021219 US 2002-62813
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US 6649633 B2 20031118
IN 2003MN00608 A 20050318 IN 2003-MN608
20030617 <--
ZA 2003004894 A 20040624 ZA 2003-4894
20030624 <--
US 20040048903 A1 20040311 US 2003-613988
20030702 <--
US 6953810 B2 20051011
BG 108038 A 20040730 BG 2003-108038
20030728 <--
NO 2003003397 A 20030919 NO 2003-3397
20030730 <--
MX 2003006887 A 20031113 MX 2003-6887
20030730 <--
PRAI US 2001-265492P P 20010131 <--
WO 2001-IB2341 W 20011206 <--
US 2002-62813 A3 20020131

AB The title compds. [I; g = 0-1; j = 0-1; provided that when j = 0, n must be 2; k = 0-1; m = 0-2; n = 1-2; W1 = O, SOt (t = 0-2), NR3; W2 = OCR9R10, or absent; Y = CR1, NOk (k = 0-1); R9, R10 = H, F, CF3, etc.; or R9 and R10 are taken together, but only in the case where m = 1, to form a spiro moiety; R7, R8 have the same meaning as R9, R10 except that one of them must be H; R1, R2 = H, F, Cl, etc.; R3 = H, alkyl, Ph, etc.; R4-R6 = H, F, Cl, etc.; Q1 = Ph, benzodioxyl, etc.; Q2 = biaryl moiety], useful as inhibitors of PDE4 in the treatment of diseases regulated by the activation and degranulation of eosinophils, especially asthma, chronic bronchitis, and chronic obstructive pulmonary disease, were prepared E.g., a multi-step synthesis of the amide II, starting from Me 3-bromobenzoate and 4-formylbenzeneboronic acid, was given. Compds. I showed anti-inflammatory activity at 0.0001 μM to 20.0 μM in whole blood assay for LTE4.

ST nicotinamide biaryl prepn phosphodiesterase PDE4 inhibitor

IT Inflammation
(Crohn's disease, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)

IT Intestine, disease
(Crohn's, treatment of; preparation of biaryl nicotinamides as inhibitors of
PDE4 isoenzymes)

IT Proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(FLAP (arachidonate lipoxygenase-activating protein), in combination
with FLAP; preparation of biaryl nicotinamides as inhibitors of PDE4
isoenzymes)

IT Nervous system, disease
(Huntington's chorea, treatment of dementias that accompany; preparation of

biaryl nicotinamides as inhibitors of PDE4 isoenzymes)
IT Antihistamines
(H1, in combination with; preparation of biaryl nicotinamides as inhibitors
of PDE4 isoenzymes)
IT Antihistamines
(H2, in combination with gastroprotective; preparation of biaryl nicotinamides as inhibitors of PDE4 isoenzymes)
IT Inflammation
Kidney, disease
(acute glomerulonephritis, treatment of; preparation of biaryl nicotinamides
as inhibitors of PDE4 isoenzymes)
IT Arthritis
(acute; preparation of biaryl nicotinamides as inhibitors of PDE4
isoenzymes)
IT Respiratory distress syndrome
(adult, treatment of; preparation of biaryl nicotinamides as inhibitors of
PDE4 isoenzymes)
IT Allergy
(allergic asthma, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Allergy
(allergic dermatitis, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Allergy
Inflammation
Nose, disease
(allergic rhinitis, treatment of seasonal or perennial; preparation of
biaryl nicotinamides as inhibitors of PDE4 isoenzymes)
IT Asthma
Dermatitis
(allergic, treatment of; preparation of biaryl nicotinamides as inhibitors
of PDE4 isoenzymes)
IT Lung, disease
(alveolitis, treatment of; preparation of biaryl nicotinamides as inhibitors
of PDE4 isoenzymes)
IT Pneumoconiosis
(anthracosis, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Anemia (disease)
(aplastic, treatment of; preparation of biaryl nicotinamides as inhibitors
of PDE4 isoenzymes)
IT Mycosis
(aspergillosis, treatment of bronchopneumonic aspergillosis; preparation of
biaryl nicotinamides as inhibitors of PDE4 isoenzymes)
IT Dermatitis

(atopic, treatment of; preparation of biaryl nicotinamides as inhibitors of
PDE4 isoenzymes)
IT Thymus gland, disease
(atrophy, treatment of; preparation of biaryl nicotinamides as inhibitors of
PDE4 isoenzymes)
IT Bronchi, disease
(bronchiectasis, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Bronchi, disease
Inflammation
(bronchitis, treatment of; preparation of biaryl nicotinamides as inhibitors
of PDE4 isoenzymes)
IT Bronchi
(bronchoconstriction, treatment of acute or chronic; preparation of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Infection
(chronic active hepatitis, treatment of; preparation of biaryl nicotinamides
as inhibitors of PDE4 isoenzymes)
IT Bronchi, disease
Inflammation
(chronic bronchitis, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Lung, disease
(chronic obstructive pulmonary disease, treatment of; preparation of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Inflammation
Intestine, disease
(colitis, treatment of; preparation of biaryl nicotinamides as inhibitors of
PDE4 isoenzymes)
IT Eye, disease
Inflammation
(conjunctivitis, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Dermatitis
(contact, treatment of; preparation of biaryl nicotinamides as inhibitors of
PDE4 isoenzymes)
IT Mental and behavioral disorders
(dementia, treatment of; preparation of biaryl nicotinamides as inhibitors
of PDE4 isoenzymes)
IT Bone, disease
(demineralization, prevention of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Mental and behavioral disorders
(depression, treatment of; preparation of biaryl nicotinamides

as inhibitors
of PDE4 isoenzymes)
IT Eye, disease
(dry eye syndrome, treatment of; preparation of biaryl
nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Kidney, disease
(failure, treatment of; preparation of biaryl nicotinamides as
inhibitors of
PDE4 isoenzymes)
IT Lung, disease
(fibrosis, treatment of; preparation of biaryl nicotinamides as
inhibitors
of PDE4 isoenzymes)
IT Inflammation
Kidney, disease
(glomerulonephritis; preparation of biaryl nicotinamides as
inhibitors of
PDE4 isoenzymes)
IT Anemia (disease)
(hemolytic, treatment of; preparation of biaryl nicotinamides as
inhibitors
of PDE4 isoenzymes)
IT Injury
(hepatic, treatment of; preparation of biaryl nicotinamides as
inhibitors of
PDE4 isoenzymes)
IT Infection
(herpes zoster; preparation of biaryl nicotinamides as
inhibitors of
PDE4 isoenzymes)
IT Skin, disease
(hyperproliferation, treatment of; preparation of biaryl
nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Allergy
Inflammation
Lung, disease
(hypersensitivity pneumonitis, treatment of chronic; preparation
of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Purpura (disease)
(idiopathic thrombocytopenic, treatment of; preparation of
biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Peptides, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in combination with TCR peptides; preparation of biaryl
nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Granulocyte colony-stimulating factor receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(in combination with granulocyte-macrophage colony-stimulating
factor;
preparation of biaryl nicotinamides as inhibitors of PDE4
isoenzymes)
IT Growth hormone secretagogue receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(in combination with growth hormone secretagogues; preparation of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Glucocorticoids
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in combination with inhaled; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Kinin antagonists
RL: BIOL (Biological study)
(in combination with kinin B1 and B2 receptor antagonists; preparation of
biaryl nicotinamides as inhibitors of PDE4 isoenzymes)
IT Leukotrienes
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(in combination with receptor antagonists for; preparation of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Adrenoceptor agonists
(in combination with β4-; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Antidepressants
Antiemetics
Antitumor agents
Immunosuppressants
Muscarinic antagonists
α1-Adrenoceptor agonists
α2-Adrenoceptor agonists
β1-Adrenoceptor agonists
(in combination with; preparation of biaryl nicotinamides as inhibitors of
PDE4 isoenzymes)
IT Platelet-derived growth factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(in combination with; preparation of biaryl nicotinamides as inhibitors of
PDE4 isoenzymes)
IT Intestine, disease
(inflammatory, treatment of autoimmune inflammatory bowel disease;
preparation of biaryl nicotinamides as inhibitors of PDE4 isoenzymes)
IT Liver, disease
Reperfusion
(injury, treatment of; preparation of biaryl nicotinamides as inhibitors of
PDE4 isoenzymes)
IT Autoimmune disease
(insulin-dependent diabetes mellitus, treatment of; preparation of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Diabetes mellitus
(insulin-dependent, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)

IT Eye, disease
Inflammation
(iridocyclitis, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Eye, disease
Inflammation
(keratoconjunctivitis, treatment of epidemic; preparation of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Antibodies and Immunoglobulins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(monoclonal, in combination with monoclonal antibodies against
endogenous inflammatory entities; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Erythema
(multiforme, treatment of; preparation of biaryl nicotinamides as inhibitors
of PDE4 isoenzymes)
IT Kidney, disease
(nephrotic syndrome, idiopathic, treatment of; preparation of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Skin, disease
(pemphigus foliaceus, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Skin, disease
(pemphigus vulgaris, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Skin, disease
(pemphigus, treatment of benign familial pemphigus; preparation of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Artery, disease
Inflammation
(periarteritis nodosa, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Allergy inhibitors
Analgesics
Anti-AIDS agents
Anti-inflammatory agents
Anti-ischemic agents
Antiarthritics
Antiasthmatics
Antidiabetic agents
Antihypertensives
Antiparkinsonian agents
Antipyretics
Antirheumatic agents
Antiviral agents
Bronchodilators
Cholinergic antagonists
Cognition enhancers

Cytomegalovirus
Fungicides
Human
Human adenovirus
Human herpesvirus
Human immunodeficiency virus 1
Human immunodeficiency virus 2
Immunomodulators
Nervous system agents
(preparation of biaryl nicotinamides as inhibitors of PDE4 isoenzymes)
IT Tumor necrosis factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of biaryl nicotinamides as inhibitors of PDE4 isoenzymes)
IT Transplant rejection
(prevention of; preparation of biaryl nicotinamides as inhibitors of
PDE4 isoenzymes)
IT Biliary tract, disease
(primary biliary cirrhosis, treatment of; preparation of biaryl nicotinamides as inhibitors of PDE4 isoenzymes)
IT Arthritis
(psoriatic arthritis, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Inflammation
(pulmonary alveolitis, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Fibrosis
Hypertension
(pulmonary, treatment of; preparation of biaryl nicotinamides as inhibitors
of PDE4 isoenzymes)
IT Injury
(reperfusion, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Connective tissue, disease
(scleroderma, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Shock (circulatory collapse)
(septic, treatment of; preparation of biaryl nicotinamides as inhibitors of
PDE4 isoenzymes)
IT Inflammation
Respiratory system, disease
(sinusitis, treatment of; preparation of biaryl nicotinamides as inhibitors
of PDE4 isoenzymes)
IT Digestive tract, disease
(sprue, treatment of idiopathic sprue; preparation of biaryl nicotinamides
as inhibitors of PDE4 isoenzymes)
IT Nervous system, disease

(tardive dyskinesia, treatment of; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)
IT Eczema
(treatment of allergic or atopic eczema; preparation of biaryl nicotinamides
as inhibitors of PDE4 isoenzymes)
IT Autoimmune disease
(treatment of autoimmune hematol. disorders; preparation of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Pneumonia
(treatment of chronic eosinophilic pneumonia; preparation of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Dyspnea
(treatment of dyspnea associated with COPD; preparation of biaryl nicotinamides
as inhibitors of PDE4 isoenzymes)
IT Fever and Hyperthermia
(treatment of fever associated with inflammation; preparation of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Granuloma
(treatment of granulomas containing eosinophils; preparation of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Kidney, disease
(treatment of minimal change nephropathy; preparation of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Pain
(treatment of pain associated with inflammation; preparation of biaryl
nicotinamides as inhibitors of PDE4 isoenzymes)
IT Lupus erythematosus
(treatment of systemic; preparation of biaryl nicotinamides as inhibitors of
PDE4 isoenzymes)
IT Ureter
(treatment of ureter disease; preparation of biaryl nicotinamides as
inhibitors of PDE4 isoenzymes)

L25 ANSWER 4 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:581887 CAPLUS Full-text
DOCUMENT NUMBER: 135:152812
TITLE: Preparation of nicotinamide benzofused-heterocyclyl
derivatives as selective inhibitors of PDE4 isozymes
INVENTOR(S): Marfat, Anthony; Chamber, Robert James
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001057036	A1	20010809	WO 2001-IB124	20010130 <--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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CA 2398182	C	20070313		
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AT 293624	T	20050515	AT 2001-901333	20010130 <--
ES 2238415	T3	20050901	ES 2001-901333	20010130 <--
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IN 2002MN00822	A	20050304	IN 2002-MN822	20020618 <--
BG 106852	A	20030228	BG 2002-106852	20020620 <--
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PRIORITY APPLN. INFO.: US 2000-179284P P
20000131 <--
WO 2001-IB124 W
20010130 <--
OTHER SOURCE(S): MARPAT 135:152812
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT
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AB The title compds. [I; m = 0-2; n = 1-2; W = O, SOt (wherein t = 0-2), NR3; Y = CH, CF, NO, etc.; R1, R2 = H, F, Cl, etc.; R3 = H, alkyl, alkoxy, etc.; R4 = H, F, CN, etc.; R5 and R6 are taken together to form II-VI (R7, R8 = H, Me, OH, alkoxy); R9, R10 = H, F, CF3, etc.; R11, R12 = R9, R10, except that at least one of R11 and R12 must be H atom; Q = Ph, pyrrolyl, furanyl, etc.; Z = CN, OH, O(alkyl), etc.], useful as inhibitors of PDE4 in the treatment of diseases regulated by the activation and degranulation of eosinophils, especially asthma, chronic bronchitis, and chronic obstructive pulmonary disease, were prepared Thus, amidation of 2-(benzo[2,1,3]oxadiazol-5-yloxy)nicotinic acid (preparation given) with 2-(4-aminomethylphenyl)propan-2-ol afforded 68% the nicotinamide VII.
TI Preparation of nicotinamide benzofused-heterocyclyl derivatives as selective inhibitors of PDE4 isozymes
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
TI Preparation of nicotinamide benzofused-heterocyclyl derivatives as selective inhibitors of PDE4 isozymes
PI WO 2001057036 A1 20010809
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2001057036 A1 20010809 WO 2001-IB124
20010130 <--
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LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,

VN,
YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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BR 2001007964 A 20021029 BR 2001-7964
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EP 1252158 B1 20050420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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IN 2002MN00822 A 20050304 IN 2002-MN822
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BG 106852 A 20030228 BG 2002-106852
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US 20030186989 A1 20031002 US 2002-181416
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US 7354941 B2 20080408
ZA 2002006033 A 20030729 ZA 2002-6033
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MX 2002007419 A 20021209 MX 2002-7419
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PRAI US 2000-179284P P 20000131 <--
WO 2001-IB124 W 20010130 <--
AB The title compds. [I; m = 0-2; n = 1-2; W = O, SOt (wherein t = 0-2), NR3; Y = CH, CF, NO, etc.; R1, R2 = H, F, Cl, etc.; R3 = H, alkyl, alkoxy, etc.; R4 = H, F, CN, etc.; R5 and R6 are taken together to form II-VI (R7, R8 = H, Me, OH, alkoxy); R9, R10 = H, F, CF3, etc.; R11, R12 = R9, R10, except that at least one of R11 and R12 must be H atom; Q = Ph, pyrrolyl, furanyl, etc.; Z = CN, OH, O(alkyl), etc.], useful as inhibitors of PDE4 in the treatment

of diseases regulated by the activation and degranulation of eosinophils, especially asthma, chronic bronchitis, and chronic obstructive pulmonary disease, were prepared Thus, amidation of 2-(benzo[2,1,3]oxadiazol-5-yloxy)nicotinic acid (preparation given) with 2-(4-aminomethylphenyl)propan-2-ol afforded 68% the nicotinamide VII.

L25 ANSWER 6 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:672238 CAPLUS Full-text
DOCUMENT NUMBER: 127:322800
ORIGINAL REFERENCE NO.: 127:63203a,63206a
TITLE: Modified amino acids for drug delivery
INVENTOR(S): Leone-Bay, Andrea
PATENT ASSIGNEE(S): Emishphere Technologies, Inc., USA; Leone-Bay, Andrea
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 30
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736480	A1	19971009	WO 1997-US5128	19970318 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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AU 9725956	A	19971022	AU 1997-25956	19970318 <--
IL 143011	A	20080120	IL 1997-143011	19970318 <--
AU 771024	B2	20040311	AU 2000-72261	20001214 <--
AU 771434	B2	20040325	AU 2000-72260	20001214 <--
AU 2004202745	A1	20040923	AU 2004-202745	20040623 <--
PRIORITY APPLN. INFO.:			US 1996-17902	A1 19960329 <--
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IL 1997-126318 A3
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WO 1997-US5128 A2
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AU 1998-62756 A3
19980206 <--
AU 2000-72260 A3
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OTHER SOURCE(S): MARPAT 127:322800
AB Modified amino acid compds. useful in the delivery of active agents are provided. E.g., 2HOC6H4CONH(CH2)7CO2H was prepared from 8-aminocaprylic acid and O-acetylsalicyloyl chloride. Also examples were given of a no1. of delivery agents enhancement of recombinant human growth hormone bioavailability administered s.c. in rats.
TI Modified amino acids for drug delivery
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
PI WO 9736480 A1 19971009

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	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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	WO 1997-US5128	A2	19970318 <--		
	AU 1998-62756	A3	19980206 <--		
	AU 2000-72260	A3	20001214 <--		

IT 85-41-6, 1H-Isoindole-1,3(2H)-dione 112-43-6, 10-Undecen-1-ol
502-49-8, Cyclooctanone 610-14-0 1002-57-9 2393-17-1 2950-43-8,
Hydroxylamine-O-sulfonic acid 5538-51-2 15851-91-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(modified amino acids for drug delivery)
IT 50-56-6, Oxytocin, biological studies 70-51-9 1404-90-6, Vancomycin
9002-60-2, Corticotropin, biological studies 9002-64-6, Parathormone
9004-10-8, Insulin, biological studies 9005-49-6, Heparin, biological
studies 9007-12-9, Calcitonin 9034-39-3, Somatoliberin 9034-40-6,
Luteinizing hormone-releasing factor 11000-17-2, Vasopressin
11096-26-7, Erythropoietin 12629-01-5, Somatotropin (human) 15826-37-6
51110-01-1, Somatostatin 66419-50-9, Somatotropin (cattle) 85637-73-6,
Atrial natriuretic peptide
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(modified amino acids for drug delivery)

L25 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:87 CAPLUS Full-text
DOCUMENT NUMBER: 126:31174
ORIGINAL REFERENCE NO.: 126:6341a,6344a
TITLE: Preparation of modified amino acid compounds for
delivering active agents
INVENTOR(S): Leone-Bay, Andrea; Ho, Koc-Kan; Sarubbi, Donald J.;
Milstein, Sam J.; Press, Jeffery Bruce
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA; Leone-Bay, Andrea;
Ho, Koc-Kan; Sarubbi, Donald, J.; Milstein, Sam, J.;
Press, Jeffery, Bruce
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 30
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630036	A1	19961003	WO 1996-US4580	19960401 <--

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LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
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US 5650386 A 19970722 US 1995-414654 19950331 <--
CA 2214323 A1 19961003 CA 1996-2214323 19960401 <--
CA 2214323 C 20080729
AU 9656629 A 19961016 AU 1996-56629 19960401 <--
AU 712222 B2 19991104
EP 817643 A1 19980114 EP 1996-913778 19960401 <--
EP 817643 B1 20070321
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HU 9901162 A3 20000728
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EP 1792624 A1 20070606 EP 2007-4042 19960401 <--
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CZ 299295 B6 20080611 CZ 1997-3073 19960401 <--
US 5965121 A 19991012 US 1997-798023 19970206 <--
US 5989539 A 19991123 US 1997-798032 19970206 <--
US 6001347 A 19991214 US 1997-798031 19970206 <--
FI 9703828 A 19970929 FI 1997-3828 19970929 <--
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NO 325621 B1 20080630
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US 20040110839 A1 20040610 US 2003-623142
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AU 2000-72260 A3
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US 2002-142009 A1
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US 2003-617266 A1
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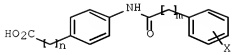
OTHER SOURCE(S): MARPAT 126:31174
GI



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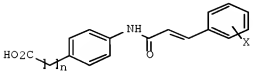

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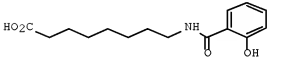

III

AB Modified amino acid compds. [I (n = 0-3; m = 0-4; X = H, halo, OH, etc.), II (n = 0-3; X = 2-F, 3-MeO, 4-Me, etc.), etc.], useful in the delivery of active agents such as, e.g., human growth hormone, interferon, heparin, calcitonin, parathyroid hormone, were prepared Thus, reaction of 8-aminocaprylic acid with O-acetylsalicyloyl chloride in the presence of 2M aqueous NaOH afforded 57% III which was mixed with recombinant growth hormone (rhGH) in a phosphate buffer solution at pH 7-8 and administered orally to rats at 25 mg/kg of carrier and at 1 mg/kg of rhGH. The mean peak serum level of compound III was 60.92 ng/mL as compared to < 10 ng/mL for control.

TI Preparation of modified amino acid compounds for delivering active agents

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PI WO 9630036 A1 19961003

L25 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1994:655792 CAPLUS Full-text
DOCUMENT NUMBER:	121:255792
ORIGINAL REFERENCE NO.:	121:46698h,46699a
TITLE:	Preparation of aminopyrazoles as corticotropin-releasing factor antagonists
INVENTOR(S):	Bright, Gene Michael
PATENT ASSIGNEE(S):	Pfizer Inc., USA
SOURCE:	PCT Int. Appl., 66 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9413644	A1	19940623	WO 1993-US10716	19931112 <--
W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, RU, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
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CA 2150129	C	19981208		
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AU 677266	B2	19970417		
EP 674625	A1	19951004	EP 1994-902215	19931112 <--
EP 674625	B1	19971210		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
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AT 161009	T	19971215	AT 1994-902215	19931112 <--
ES 2110214	T3	19980201	ES 1994-902215	19931112 <--
BR 9307647	A	19990525	BR 1993-7647	19931112 <--
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PL 177886	B1	20000131	PL 1993-326303	19931112 <--
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FI 112228	B1	20031114		
HU 65839	A2	19940728	HU 1993-3616	19931216 <--
HU 224440	B1	20050928		
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WO 1993-US10716 W
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OTHER SOURCE(S): MARPAT 121:255792
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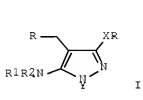

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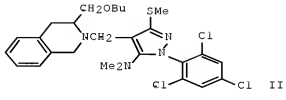

II

AB Title compds. [I; R = e.g., substituted naphthyl, isoquinolino, etc.; R1,R2 = (cyclo)alkyl, alkenyl; NR1R2 = heterocyclyl; R3 = groups cited for R1, (CH2)qZR19; R19 = H, groups cited for R1; X = bond, CH2, O, S, (alkyl)imino; Y = (hetero)aryl; Z = bond, O, S, (alkyl)imino; q = 0-2] were prepared as ACTH-releasing factor antagonists (no data). Thus, (MeS)2C:C(CN)CO2Me was cyclocondensed with 2,4,6-Cl3C6H2NHNH2 and the product converted in 2 steps to 5-dimethylamino-3-methylthio-1-(2,4,6-trichlorophenyl)-1H-pyrazole-4-methanol the mesylate of which was condensed with 3-hydroxymethyl-1,2,3,4-tetrahydroisoquinoline to give, after O-alkylation, title compound II.

TI Preparation of aminopyrazoles as corticotropin-releasing factor antagonists

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:422204 CAPLUS Full-text
DOCUMENT NUMBER: 115:22204
ORIGINAL REFERENCE NO.: 115:3765a,3768a
TITLE: Combination of anthelmintic and interferon-γ (IFN-γ) for treatment of parasitosis
INVENTOR(S): Goeth, Hanns; Frank, Werner; Renner, Ingeborg
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 3910568 A1 19901004 DE 1989-3910568
19890401 <--
DE 3910568 C2 19910307
EP 391224 A2 19901010 EP 1990-105871
19900328 <--
EP 391224 A3 19910807
EP 391224 B1 19931020
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
AT 96039 T 19931115 AT 1990-105871
19900328 <--
ES 2059859 T3 19941116 ES 1990-105871
19900328 <--
CA 2013443 A1 19901001 CA 1990-2013443
19900330 <--
DD 293960 A5 19910919 DD 1990-339252
19900330 <--
US 5178857 A 19930112 US 1990-501812
19900330 <--
PRIORITY APPLN. INFO.: DE 1989-3910568 A
19890401 <--
EP 1990-105871 A
19900328 <--

AB A combination of IFN-γ and ≥1 anthelmintic (e.g. a benzimidazole derivative) is useful for treatment of parasitoses, especially with the tapeworm Echinococcus, in humans and other mammals. Thus, field mice (Microtus arvalis) were infected i.p. with metacestodes of E. multilocularis and were treated from day 21 after infection with mebendazole (30-50 mg/kg/day in the feed pellets until sacrifice) and recombinant murine IFN-γ (5 µg i.p. every 2nd day, 10 doses). By day 69 postinfection, the treated mice showed neither fertile nor sterile peritoneal cysts, 100% degeneration and necrosis of the parasites, and no involvement of the liver.

TI Combination of anthelmintic and interferon-γ (IFN-γ) for treatment of parasitosis

L25 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:55322 CAPLUS Full-text
DOCUMENT NUMBER: 114:55322
ORIGINAL REFERENCE NO.: 114:9317a,9320a
TITLE: Pituitary-testicular axis in benznidazole-treated rats
AUTHOR(S): Favaretto, A. L. V.; Antunes-Rodrigues, J.; Vieira, C.
L. L. F. R.; Lamano-Carvalho, T. L.
CORPORATE SOURCE: Fac. Med. Ribeirao Preto, Univ. Sao Paulo, Ribeirao
Preto, 14049, Brazil
SOURCE: Brazilian Journal of Medical and Biological Research (
1990), 23(8), 719-22
CODEN: BJMRDK; ISSN: 0100-879X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

I

AB Benzimidazole (I) is used extensively throughout Latin America as an antiparasitic chemotherapeutic agent against chagasic infection. It has been shown that rats chronically treated with 80 mg I/kg/day for 30 days present severe testicular atrophy and arrest of spermatogenesis. In the present expts., plasma levels of testosterone (TS), LH (LH), FSH , and prolactin (PRL) were investigated in rats receiving 10,40, and 80 mg I/kg/day for 30 days. No significant change in TS, LH, or PRL, levels was observed in treated rats. Plasma FSH concentration, however, was markedly increased by I treatment (40 and 80 mg/kg/day) and remained high for 90 days after drug treatment was discontinued.

TI Pituitary-testicular axis in benznidazole-treated rats

SO Brazilian Journal of Medical and Biological Research (1990), 23(8), 719-22
CODEN: BJMRDK; ISSN: 0100-879X

AB Benzimidazole (I) is used extensively throughout Latin America as an antiparasitic chemotherapeutic agent against chagasic infection. It has been shown that rats chronically treated with 80 mg I/kg/day for 30 days present severe testicular atrophy and arrest of spermatogenesis. In the present expts., plasma levels of testosterone (TS), LH (LH), FSH , and prolactin (PRL) were investigated in rats receiving 10,40, and 80 mg I/kg/day for 30 days. No significant change in TS, LH, or PRL, levels was observed in treated rats. Plasma FSH concentration, however, was markedly increased by I treatment (40 and 80 mg/kg/day) and remained high for 90 days after drug treatment was discontinued.

IT 58-22-0, Testosterone 9002-62-4, Prolactin, biological studies 9002-67-9, Luteinizing hormone 9002-68-0, Follicle-stimulating hormone
RL: BIOL (Biological study)
(of blood plasma, benzimidazole effect on, toxicity to pituitary-testicular axis in relation to)

IT 51-17-2, Benzimidazole
RL: PRP (Properties)
(toxicity of, to pituitary-testicular axis)

```
=> s l18 and (?fertil? or FSH or PDE4 or luteinizing or luteinising)
        52172 L18
       240015 ?FERTIL?
        30415 FSH
         1614 PDE4
        17096 LUTEINIZING
          169 LUTEINISING
        17229 LUTEINIZING
                (LUTEINIZING OR LUTEINISING)
          169 LUTEINISING
        17096 LUTEINIZING
```

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              (LUTEINISING OR LUTEINIZING)
L26         65 L18 AND (?FERTIL? OR FSH OR PDE4 OR LUTEINIZING OR
LUTEINISING)

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      21992753 PY<2002
       4221262 AY<2002
       3688696 PRY<2002
L27         44 L26 AND (PY<2002 OR AY<2002 OR PRY<2002)

=> d l27 ibib abs ti hit 1-10

L27  ANSWER 1 OF 44  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2003:99256  CAPLUS  Full-text
DOCUMENT NUMBER:         138:396627
TITLE:                   Method for determining total 17-ketosteroids in
                         biological fluids
INVENTOR(S):             Orlov, E. N.; Podtetenev, A. D.; Antipov, E.
M.;
                         Makarov, O. V.; Nikolaev, N. N.; Bratchikova,
T. V.
PATENT ASSIGNEE(S):      Rossiiskii Gosudarstvennyi Meditsinskii
Universitet,
                         Russia
SOURCE:                  Russ., No pp. given
                         CODEN: RUXXE7
DOCUMENT TYPE:           Patent
LANGUAGE:                Russian
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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---
     RU 2190853           C2    20021010    RU 1998-120065
19981111 <--
PRIORITY APPLN. INFO.:                      RU 1998-120065
19981111 <--
AB   A method for determining total 17-ketosteroids in biol. fluids is
     described. Concentrated hydrochloric acid (0.05-0.4 mL) was added
     to 2.0-0.25 mL biol. fluid, heated in a boiling water bath and
     cooled at 0 C.  Then 0.05-0.4 mL concentrated alkali was added,
     the mixture was shaken and an aliquot of the solution was placed
     into a well of microplate reader and evaporated above 40 °C. To
     the dry residue was added a color-forming reagent, 10% solution of
     m-dinitrobenzene in pyridine and 4N alkali.  Then
     pyridine:ethylacetate mixture was added into a well at 1:1 ratio
     and photometry was performed. The invention provides enhanced
     efficiency and accuracy in determining 17-ketosteroids.
TI   Method for determining total 17-ketosteroids in biological fluids
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ----------------    ----   --------    --------------------   -----
---
PI   RU 2190853           C2    20021010    RU 1998-120065
19981111 <--
PRAI RU 1998-120065              19981111  <--
```

ST ketosteroid detg dinitrobenzene pyridine urine amniotic fluid; diagnosis
infertility adrenocortical hyperplasia adrenogenital syndrome
ketosteroid biol fluid
IT Hyperplasia
(adrenocortical; diagnosis of adrenogenital syndrome, infertility and adrenocortical hyperplasia based on determining total
17-ketosteroids in biol. fluids)
IT Adrenal cortex, disease
(congenital adrenal hyperplasia; diagnosis of adrenogenital syndrome,
infertility and adrenocortical hyperplasia based on determining total
17-ketosteroids in biol. fluids)
IT Hyperplasia
(congenital adrenal; diagnosis of adrenogenital syndrome, infertility and adrenocortical hyperplasia based on determining total
17-ketosteroids in biol. fluids)
IT Amniotic fluid
Diagnosis
Human
Urine
(diagnosis of adrenogenital syndrome, infertility and adrenocortical hyperplasia based on determining total 17-ketosteroids in
biol. fluids)
IT Adrenal cortex, disease
(hyperplasia; diagnosis of adrenogenital syndrome, infertility and adrenocortical hyperplasia based on determining total 17-ketosteroids in
biol. fluids)
IT Fertility disorders
(male; diagnosis of adrenogenital syndrome, infertility and adrenocortical hyperplasia based on determining total 17-ketosteroids in
biol. fluids)
IT 110-86-1, Pyridine, analysis 141-78-6, Ethylacetate, analysis
RL: ARU (Analytical role, unclassified); ANST (Analytical study)
(method for determining total 17-ketosteroids in biol. fluids using acid
hydrolysis and color-forming reagent, m-dinitrobenzene in pyridine)

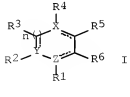
L27 ANSWER 2 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:946265 CAPLUS Full-text
DOCUMENT NUMBER: 138:19533
TITLE: Cigarette smoke-associated organic compounds and
derivatives as inhibitors of cell proliferation,
angiogenesis, fertility, and muscle contraction
INVENTOR(S): Talbot, Prudence; Melkonian, Goar; Riveles, Karen; Ji,
Lynn

PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098853	A2	20021212	WO 2002-US17163	20020531 <--
WO 2002098853	A3	20030515		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030064989	A1	20030403	US 2002-153450	20020522 <--
AU 2002316180	A1	20021216	AU 2002-316180	20020531 <--

PRIORITY APPLN. INFO.:	US 2001-325786P	P
	US 2001-872602	A
	WO 2002-US17163	W

20010601 <--
20020531
OTHER SOURCE(S): MARPAT 138:19533
GI



I

AB The invention concerns inhibitors of cell proliferation, angiogenesis, fertility, and muscle contraction, characterized by I [X, Y, Z = C, N; dotted line = optional double bond; n = 0, 1; R1, R2, R4 = H, bond, (substituted) C1-10 alkyl, (substituted) C2-10 alkenyl, etc.; R3, R5, R6 = H, C1-10 alkyl, etc. or R5 and R6 form 5- or 6-membered aryl, heterocyclyl, or heteroaryl], or a pharmaceutically acceptable salt thereof. Compds. of the invention include e.g. pyridine derivs. and pyrazine derivs.

TI Cigarette smoke-associated organic compounds and derivatives as inhibitors of cell proliferation, angiogenesis, fertility, and muscle contraction

TI Cigarette smoke-associated organic compounds and derivatives as inhibitors of cell proliferation, angiogenesis, fertility, and muscle contraction

PRAI US 2001-325786P P 20010601 <--
US 2001-872602 A 20010601 <--
WO 2002-US17163 W 20020531

AB The invention concerns inhibitors of cell proliferation, angiogenesis, fertility, and muscle contraction, characterized by I [X, Y, Z = C, N; dotted line = optional double bond; n = 0, 1; R1, R2, R4 = H, bond, (substituted) C1-10 alkyl, (substituted) C2-10 alkenyl, etc.; R3, R5, R6 = H, C1-10 alkyl, etc. or R5 and R6 form 5- or 6-membered aryl, heterocyclyl, or heteroaryl], or a pharmaceutically acceptable salt thereof. Compds. of the invention include e.g. pyridine derivs. and pyrazine derivs.

L27 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:753037 CAPLUS Full-text
DOCUMENT NUMBER: 132:6348
TITLE: Controlled drug delivery system using the conjugation of drug to biodegradable polyester
INVENTOR(S): Oh, Jong Eun; Lee, Keon Hyoung; Park, Tae Gwan; Nam, Yoon Sung
PATENT ASSIGNEE(S): Mogam Biotechnology Research Institute, S. Korea; Korea Advanced Institute of Science and Technology
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9959548	A1	19991125	WO 1999-KR243	19990514 <--

W: JP, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE
EP 1082105 A1 20010314 EP 1999-919701
19990514 <--
R: CH, DE, ES, FR, GB, IT, LI, SE
JP 2002526383 T 20020820 JP 2000-549213
19990514 <--
US 6589548 B1 20030708 US 2000-700380
20001114 <--
US 20040013728 A1 20040122 US 2003-423536
20030425 <--
US 7163698 B2 20070116
PRIORITY APPLN. INFO.: KR 1998-17740 A
19980516 <--
WO 1999-KR243 W
19990514 <--
US 2000-700380 A1
20001114 <--

AB The present invention relates to the mol. sustained controlled release system constructed by the conjugation of mols. to be released with biodegradable polyester polymer via covalent bond and method for preparation thereof. The system may be formulated into microspheres, nanoparticles, or films. The mol. release rate from the above system can be regulated to be proportional to the chemical degradation rate of the biodegradable polyester polymers, resulting in near zero order kinetics profile of release without showing a burst effect. Moreover, the high loading efficiency of hydrophilic drugs can be achieved. FMOC-Trp(Boc) was coupled to poly(glycolic acid-lactic acid), microspheres containing this conjugate prepared, and drug release was studied.

TI Controlled drug delivery system using the conjugation of drug to biodegradable polyester

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 4 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:309485 CAPLUS Full-text
DOCUMENT NUMBER: 128:306696
ORIGINAL REFERENCE NO.: 128:60749a,60752a
TITLE: Effects of sulfapyridine and pyridine on cultured
epididymal epithelial cells from rat
AUTHOR(S): Zhang, Junhui; Wu, Lijun; Dong, Saizhen; Ding, Zhide;
Xie, Weiying; Lu, Qihua; Wu, Mingzhang
CORPORATE SOURCE: Shanghai Second Medical University, Shanghai, 200025,
Peop. Rep. China
SOURCE: Shengzhi Yu Biyun (1997), 17(5), 292-295
CODEN: SCYYDZ; ISSN: 0253-357X
PUBLISHER: Shengzhi Yu Biyun Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB Sulfapyridine and pyridine (the raw materials of sulfasalazine, antifertility drug) were cocultured with epididymal epithelial

from adult male SD rats. The cultured cells were observed and the supernatants were assayed in 11th day. The results showed that there was no significant difference in morphol. between the exptl. and control groups under light microscopy. The sialic acid contents in the supernatants of SP groups were increased and were significantly different from those of the control groups, especially in the cauda (P <0.01). There was no significant difference between exptl. and control groups in activities of α-1,4 glucosidase. The results suggest that SP may affect the function of rat epididymal epithelial cells.

TI Effects of sulfapyridine and pyridine on cultured epididymal epithelial cells from rat

SO Shengzhi Yu Biyun (1997), 17(5), 292-295
CODEN: SCYYDZ; ISSN: 0253-357X

AB Sulfapyridine and pyridine (the raw materials of sulfasalazine, antifertility drug) were cocultured with epididymal epithelial from adult male SD rats. The cultured cells were observed and the supernatants were assayed in 11th day. The results showed that there was no significant difference in morphol. between the exptl. and control groups under light microscopy. The sialic acid contents in the supernatants of SP groups were increased and were significantly different from those of the control groups, especially in the cauda (P <0.01). There was no significant difference between exptl. and control groups in activities of α-1,4 glucosidase. The results suggest that SP may affect the function of rat epididymal epithelial cells.

IT 110-86-1, Pyridine, biological studies 144-83-2, Sulfapyridine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(effects of sulfapyridine and pyridine on cultured epididymal epithelial cells from rat)

L27 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:476381 CAPLUS Full-text
DOCUMENT NUMBER: 79:76381
ORIGINAL REFERENCE NO.: 79:12381a,12384a
TITLE: Biosynthesis of pyridine nucleotides in early embryos of the mouse (Mus musculus)
AUTHOR(S): Kuwahara, Masaaki; Chaykin, Sterling
CORPORATE SOURCE: Dep. Biochem. Biophys., Univ. California, Davis, CA, USA
SOURCE: Journal of Biological Chemistry (1973), 248(14), 5095-9
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The DPN contents of mouse embryos at various stages of development were determined with an enzymic cycling method. These were 0.07 pmole per individual for unfertilized ova and early 1-cell embryos and 0.03-0.04 pmole per embryo for embryos at all stages from 2-cell through blastocyst. There was no concomitant increase in TPN content on development from the 1-cell to the 2-cell stage.

Growth of 2-cell embryos in vitro for 24 hr in the presence of either nicotinamide or nicotinate (0.8mM) led to a 2.5-fold increase in DPN content. Isotopically labeled nicotinamide, nicotinate, and quinolinate, but not tryptophan were incorporated into pyridine nucleotides by embryos cultured in vitro. Azaserine inhibited pyridine nucleotide synthesis from nicotinate but not from nicotinamide. Nicotinate was more rapidly incorporated into the pyridine nucleotides than was nicotinamide, but the total incorporation after 24 hr was the same for both precursors. The extent of the incorporation of labeled nicotinamide into DPN by preimplantation embryos at all stages was nearly constant for any given 24-hr period. A precipitous increase in incorporation occurred subsequent to the hatching of the blastocyst from the zone; it coincided with the beginnings of embryonic growth. Preimplantation embryos are apparently capable of the de novo synthesis of DPN, and deamidation is not an obligatory step in the biosynthesis of DPN from nicotinamide.

TI Biosynthesis of pyridine nucleotides in early embryos of the mouse (Mus musculus)

SO Journal of Biological Chemistry (1973), 248(14), 5095-9
CODEN: JBCHA3; ISSN: 0021-9258

AB The DPN contents of mouse embryos at various stages of development were determined with an enzymic cycling method. These were 0.07 pmole per individual for unfertilized ova and early 1-cell embryos and 0.03-0.04 pmole per embryo for embryos at all stages from 2-cell through blastocyst. There was no concomitant increase in TPN content on development from the 1-cell to the 2-cell stage. Growth of 2-cell embryos in vitro for 24 hr in the presence of either nicotinamide or nicotinate (0.8mM) led to a 2.5-fold increase in DPN content. Isotopically labeled nicotinamide, nicotinate, and quinolinate, but not tryptophan were incorporated into pyridine nucleotides by embryos cultured in vitro. Azaserine inhibited pyridine nucleotide synthesis from nicotinate but not from nicotinamide. Nicotinate was more rapidly incorporated into the pyridine nucleotides than was nicotinamide, but the total incorporation after 24 hr was the same for both precursors. The extent of the incorporation of labeled nicotinamide into DPN by preimplantation embryos at all stages was nearly constant for any given 24-hr period. A precipitous increase in incorporation occurred subsequent to the hatching of the blastocyst from the zone; it coincided with the beginnings of embryonic growth. Preimplantation embryos are apparently capable of the de novo synthesis of DPN, and deamidation is not an obligatory step in the biosynthesis of DPN from nicotinamide.

IT 53-84-9 110-86-1D, Pyridine, nucleotides
RL: FORM (Formation, nonpreparative)
(formation of, by embryo)

L27 ANSWER 28 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:516847 CAPLUS Full-text
DOCUMENT NUMBER: 73:116847
ORIGINAL REFERENCE NO.: 73:19017a,19020a
TITLE: In vivo induced oxidation by thyrotropin of reduced pyridine nucleotides in rabbit and rat thyroid

AUTHOR(S): Ogata, Etsuro; Nishiki, K.; Kobayashi, S.; Tateisi, K.; Suzuki, Hidero

CORPORATE SOURCE: Div. Biol., Tateisi Res. Inst., Kyoto, Japan

SOURCE: Endocrinology (1970), 87(3), 552-9
CODEN: ENDOAO; ISSN: 0013-7227

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Reduced pyridine nucleotides in the in situ thyroid were measured directly and continuously by a microfluorometer. The effects of TSH on the level of these nucleotides were examined TSH given i.v. to thyroxine-treated rabbits and rats caused a prompt and sustained fall in the level of reduced pyridine nucleotides in the thyroid. The min. doses for this effect were 0.1 unit in rabbits and 0.02 unit in rats. Bovine serum albumin, growth hormone, insulin, glucagon, ACTH, LH, prolactin, and parathyroid hormone did not reproduce the TSH effect. FSH, in large amts., induced an inconsistent and minor oxidative response. TSH did not affect kidney, testis, or parathyroid pyridine nucleotides. The oxidative shift of thyroid pyridine nucleotides produced by TSH was mimicked by dicoumarol or by long-acting thyroid stimulator. 3',5'-Cyclic AMP or dibutyryl cyclic AMP led to a reduction of thyroid pyridine nucleotides. TSH may act in vivo on the thyroid to bring about the oxidation of reduced pyridine nucleotides independently of its activation of adenyl cyclase.

TI In vivo induced oxidation by thyrotropin of reduced pyridine nucleotides
in rabbit and rat thyroid

SO Endocrinology (1970), 87(3), 552-9
CODEN: ENDOAO; ISSN: 0013-7227

AB Reduced pyridine nucleotides in the in situ thyroid were measured directly and continuously by a microfluorometer. The effects of TSH on the level of these nucleotides were examined TSH given i.v. to thyroxine-treated rabbits and rats caused a prompt and sustained fall in the level of reduced pyridine nucleotides in the thyroid. The min. doses for this effect were 0.1 unit in rabbits and 0.02 unit in rats. Bovine serum albumin, growth hormone, insulin, glucagon, ACTH, LH, prolactin, and parathyroid hormone did not reproduce the TSH effect. FSH, in large amts., induced an inconsistent and minor oxidative response. TSH did not affect kidney, testis, or parathyroid pyridine nucleotides. The oxidative shift of thyroid pyridine nucleotides produced by TSH was mimicked by dicoumarol or by long-acting thyroid stimulator. 3',5'-Cyclic AMP or dibutyryl cyclic AMP led to a reduction of thyroid pyridine nucleotides. TSH may act in vivo on the thyroid to bring about the oxidation of reduced pyridine nucleotides independently of its activation of adenyl cyclase.

IT 110-86-1D, Pyridine, nucleotides
RL: BIOL (Biological study)
(of thyroid gland, thyrotropic hormone effect on reduced)

http://www.cas.org/support/stngen/stndoc/properties.html

```
=> e 1-ethyl-2-pyridin-3-yl-1H-benzoimidazol-5-yl/cn
E1            1     1-ETHYL-2-PROPYNYLAMINE/CN
E2            1     1-ETHYL-2-PROPYNYLAMINE, HYDROCHLORIDE/CN
```

```
E3            0 --> 1-ETHYL-2-PYRIDIN-3-YL-1H-BENZOIMIDAZOL-5-YL/CN
E4            1     1-ETHYL-2-PYRIDINETHIONE/CN
E5            1     1-ETHYL-2-PYRIDONE/CN
E6            1     1-ETHYL-2-PYRIDONE AZINE IODIDE/CN
E7            1     1-ETHYL-2-PYRIDONE HYDRAZONE/CN
E8            1     1-ETHYL-2-PYRROLECARBOXALDEHYDE/CN
E9            1     1-ETHYL-2-PYRROLIDINEETHANAMINE/CN
E10           1     1-ETHYL-2-PYRROLIDINEMETHANAMINE/CN
E11           1     1-ETHYL-2-PYRROLIDINEMETHYLAMINE/CN
E12           1     1-ETHYL-2-PYRROLIDINONE/CN

=> set expand continuous
SET COMMAND COMPLETED

=> s e1-e12
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              1 "1-ETHYL-2-PROPYNYLAMINE, HYDROCHLORIDE"/CN
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HYDROCH
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OR 1-ETH
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PYRROLIDINONE/CN)

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TETRAKIS-O-(PH
                    ENYLMETHYL)-/CN
E14           1     OCT-1-ENITOL, 3-CYCLOHEXYL-1,2,3-TRIDEOXY-1-(5-
HYDROXY-2-((6
                    -METHOXY-6-OXOHEXYL)THIO)-3-OXOCYCLOPENTYL)-/CN
E15           0 --> OCT-1-YL/CN
E16           1     OCT-1-YN-1-YLBENZENE/CN
E17           1     OCT-2-ENITOL, 4,7:5,6-DIANHYDRO-1,2,3-TRIDEOXY-5-C-
((4-METHY
                    LPHENYL)SULFONYL)-/CN
E18           1     OCT-2-ENOFURANOSIDE, METHYL 2,3-DIDEOXY-4-C-METHOXY-
6,7-O-(1
                    -METHYLETHYLIDENE)-8-O-(PHENYLMETHYL)-/CN
```

```
E19           1      OCT-2-ENONIC ACID, Γ-LACTONE, 5,6,7,8-
TETRAACETATE/CN
E20           1      OCT-2-ENONIC ACID, 2,3,5-TRIDEOXY-2-METHYL-6-C-
METHYL-8-O-(2
                     -OXO-2H-1-BENZOPYRAN-7-YL)-, Γ-LACTONE/CN
E21           1      OCT-2-ENONIC ACID, 2,3,5-TRIDEOXY-2-METHYL-6-C-
METHYL-8-O-(7
                     -OXO-7H-FURO(3,2-G)(1)BENZOPYRAN-9-YL)-, Γ-
LACTONE/CN
E22           1      OCT-2-ENONIC ACID, 2,3,5-TRIDEOXY-6-O-((1,1-
DIMETHYLETHYL)DI
                     PHENYLSILYL)-2-FLUORO-, Γ-LACTONE/CN
E23           1      OCT-2-ENONIC ACID, 2,3,5-TRIDEOXY-6-O-((1,1-
DIMETHYLETHYL)DI
                     PHENYLSILYL)-2-FLUORO-, Γ-LACTONE, 8-(2,2-
DIMETHYLPROP
                     ANOATE) 7-(1H-IMIDAZOLE-1-CARBOTHIOATE)/CN
E24           1      OCT-2-ENONIC ACID, 2,3,5-TRIDEOXY-6-O-((1,1-
DIMETHYLETHYL)DI
                     PHENYLSILYL)-2-FLUORO-7,8-O-(1-METHYLETHYLIDENE)-4-O-
(TETRAH
                     YDRO-2H-PYRAN-2-YL)-, ETHYL ESTER/CN

=> e octyl/cn
E25           1      OCTULOSYLONO HYDROLASE/CN
E26           1      OCTYDINE BR 1160/CN
E27           1 --> OCTYL/CN
E28           1      OCTYL ((CIS-4-((4-(DIMETHYLAMINO)-5,6,7,8-
TETRAHYDROQUINAZOL
                     IN-2-YL)AMINO)CYCLOHEXYL)METHYL)CARBAMATE/CN
E29           1      OCTYL ((CIS-4-((4-(DIMETHYLAMINO)PYRIMIDIN-2-
YL)AMINO)CYCLOH
                     EXYL)METHYL)CARBAMATE/CN
E30           1      OCTYL ((CIS-4-((4-(DIMETHYLAMINO)QUINOLIN-2-
YL)AMINO)CYCLOHE
                     XYL)METHYL)CARBAMATE/CN
E31           1      OCTYL (+)-MANDELATE/CN
E32           1      OCTYL (-)-LACTATE/CN
E33           1      OCTYL (-)-LACTATE P-TOLUENESULFONIC ACID ESTER/CN
E34           1      OCTYL (±)-LACTATE/CN
E35           1      OCTYL (±)-MANDELATE/CN
E36           1      OCTYL (1,2,2,6,6-PENTAMETHYL-4-
PIPERIDINYLIDENE)ACETATE/CN

=> e 1-ethyl-2-pyridin-benzoimidazol/cn
E37           1      1-ETHYL-2-PROPYNYLAMINE/CN
E38           1      1-ETHYL-2-PROPYNYLAMINE, HYDROCHLORIDE/CN
E39           0 --> 1-ETHYL-2-PYRIDIN-BENZOIMIDAZOL/CN
E40           1      1-ETHYL-2-PYRIDINETHIONE/CN
E41           1      1-ETHYL-2-PYRIDONE/CN
E42           1      1-ETHYL-2-PYRIDONE AZINE IODIDE/CN
E43           1      1-ETHYL-2-PYRIDONE HYDRAZONE/CN
E44           1      1-ETHYL-2-PYRROLECARBOXALDEHYDE/CN
E45           1      1-ETHYL-2-PYRROLIDINEETHANAMINE/CN
E46           1      1-ETHYL-2-PYRROLIDINEMETHANAMINE/CN
E47           1      1-ETHYL-2-PYRROLIDINEMETHYLAMINE/CN
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E48 1 1-ETHYL-2-PYRROLIDINONE/CN

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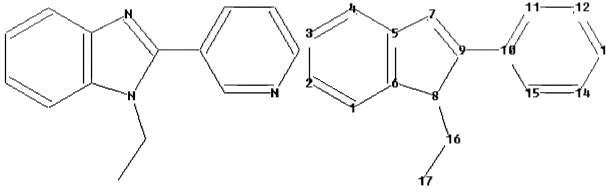

chain nodes :
16 17
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
8-16 9-10 16-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-8 7-9 8-9 10-11 10-15 11-12
12-13 13-14 14-15
exact/norm bonds :
5-7 6-8 7-9 8-9 8-16
exact bonds :
9-10 16-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS

L2 STRUCTURE UPLOADED

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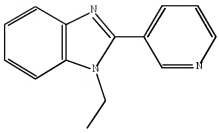
L2 HAS NO ANSWERS
L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l2 sss full

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L5 28 L3

=> s l3 and (adenosin? or cAMP or FSH or PDE4 or gonad?)
28 L3
101729 ADENOSIN?
94120 CAMP
1444 CAMPS
94691 CAMP
(CAMP OR CAMPS)
30415 FSH
1614 PDE4
82424 GONAD?
L6 1 L3 AND (ADENOSIN? OR CAMP OR FSH OR PDE4 OR GONAD?)

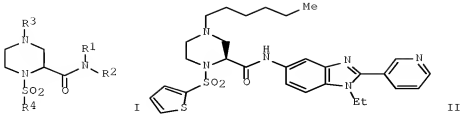
=> d l6 ibib abs 1

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:308436 CAPLUS Full-text
DOCUMENT NUMBER: 140:339340
TITLE: Preparation of piperazine derivatives for the treatment of mammalian infertility
INVENTOR(S): Magar, Sharad; Goutopoulos, Andreas; Liao, Yihua;
Schwarz, Matthias; Russell, Thomas J.
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth.
Antilles
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031182	A1	20040415	WO 2003-EP50640	20030919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2499732	A1	20040415	CA 2003-2499732	20030919
AU 2003299124	A1	20040423	AU 2003-299124	20030919
EP 1542993	A1	20050622	EP 2003-798936	20030919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006503857	T	20060202	JP 2004-540809	20030919
NO 2005001844	A	20050415	NO 2005-1844	20050415
US 20060223813	A1	20061005	US 2006-528437	20060410
PRIORITY APPLN. INFO.:			US 2002-412308P	P 20020920
			WO 2003-EP50640	W 20030919
OTHER SOURCE(S):	MARPAT 140:339340			

GI



I II

AB The invention provides piperazine-2-carboxamides I [R1, R2 = H, alkyl, aryl, etc.; R3 = alkyl, alkenyl, aryl, etc.; R4 = alkyl, alkenyl, aryl] that are potent FSH receptor (FSH) agonists. E.g., a 5-step synthesis of the carboxamide II, starting from (2R)-piperazine-2-carboxylic acid.2HCl, which showed ED50 of 40 nM in FSH assay, was given. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> s l3 and (PDE or gamet? or pituitary? or G-protein?)
            28 L3
          6117 PDE
          1194 PDES
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                 (PDE OR PDES)
         18006 GAMET?
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       3197750 G
       2711509 PROTEIN?
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            28 L3
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       3688696 PRY<2002
       4221262 AY<2002
L9          12 L5 AND (PY<2002 OR PRY<2002 OR AY<2002)

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L9   ANSWER 1 OF 12  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2003:42104  CAPLUS  Full-text
DOCUMENT NUMBER:         138:106697
TITLE:                   Preparation of 1-alkyl-2-arylbenzimidazole
```

derivatives
for treatment of diseases linked to the activation of microglia

INVENTOR(S): Blume, Thorsten; Halfbrodt, Wolfgang; Kuhnke, Joachim; Moenning, Ursula; Elger, Bernd; Schneider, Herbert

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

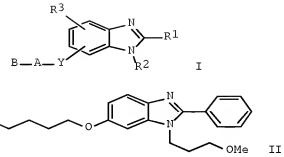
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004023	A1	20030116	WO 2002-EP7597	20020706 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10134775	A1	20030130	DE 2001-10134775	20010706 <--
US 20030055057	A1	20030320	US 2002-189179	20020705 <--
US 6855714	B2	20050215		
AU 2002328326	A1	20030121	AU 2002-328326	20020706 <--
EP 1404321	A1	20040407	EP 2002-762333	20020706 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, BG, CZ, EE, SK				
JP 2004530731	T	20041007	JP 2003-510034	20020706 <--
PRIORITY APPLN. INFO.:			DE 2001-10134775 A	20010706 <--
			US 2002-347242P P	20020114

20020706
OTHER SOURCE(S): MARPAT 138:106697
GI



I

II

AB Title compds. I [wherein R1 = (un)substituted (hetero)aryl, especially benzothienyl or indolyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, hydroxyalkyl, aminoalkyl, carbamoylalkyl, Ph, etc.; R3 = H, F, Cl, Br, OH, CN, NO2, or (un)substituted carbamoyl(oxy), sulfamoyl, amino, ureido, etc.; A = (un)substituted alkanediyl, alkenediyl, or alkynediyl, cycloalkyl ring, heterocyclyl ring, etc.; B = CO2H, carboxy ester, carbamoyl, etc.; Y = O, NH, (un)substituted ureido, sulfamoyl, etc.] were prepared as microglia activation inhibitors. For example, a multi-step synthesis starting from 3-fluoro-4-nitrophenol, 3-methoxypropylamine, Me 6-bromohexanoate, and tri-Me orthobenzoate produced 6-[[5-(methoxycarbonyl)pentyl]oxy]-1-(3-methoxypropyl)-2-phenylbenzimidazole (II). The latter inhibited Aβ-activation of microglia in vitro with an IC50 of 0.65 μM. Thus, I are useful for the prophylaxis and treatment of diseases linked to the activation of microglia, such as inflammation, allergy, infection, autoimmune disease, and stroke (no data).

TI Preparation of 1-alkyl-2-arylbenzimidazole derivatives for treatment of
diseases linked to the activation of microglia

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003004023	A1	20030116	WO 2002-EP7597	20020706 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM,
HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA,
UG, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC,
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PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR,
NE, SN, TD, TG
DE 10134775 A1 20030130 DE 2001-10134775
20010706 <--
US 20030055057 A1 20030320 US 2002-189179
20020705 <--
US 6855714 B2 20050215
AU 2002328326 A1 20030121 AU 2002-328326
20020706 <--
EP 1404321 A1 20040407 EP 2002-762333
20020706 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, BG, CZ, EE, SK
JP 2004530731 T 20041007 JP 2003-510034
20020706 <--
PRAI DE 2001-10134775 A 20010706 <--
US 2002-347242P P 20020114
WO 2002-EP7597 W 20020706
IT 486417-43-0P, 1-Benzyl-6-[[5-(methoxycarbonyl)pentyl]oxy]-2-
phenylbenzimidazole 486417-51-0P,
6-[[5-(Methoxycarbonyl)pentyl]oxy]-1-(3-methoxypropyl)-2-
phenylbenzimidazole 486417-55-4P,
1-Cyclohexyl-6-[[5-(methoxycarbonyl)pentyl]oxy]-2-
phenylbenzimidazole
486417-76-9P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-1-(3-
methoxypropyl)-2-(pyrid-3-yl)benzimidazole 486417-80-5P,
2-(4-Cyanophenyl)-6-[[5-(methoxycarbonyl)pentyl]oxy]-1-(3-
methoxypropyl)benzimidazole 486417-82-7P,
2-(4-tert-Butylphenyl)-6-[[5-(methoxycarbonyl)pentyl]oxy]-1-(3-
methoxypropyl)benzimidazole
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(microglia activation inhibitor; preparation of
(alkyl)(aryl)benzimidazoles
as microglia activation inhibitors for treatment of
inflammation,
allergy, infection, autoimmune disease, and stroke)

L9 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:700548 CAPLUS Full-text
DOCUMENT NUMBER: 134:17430
TITLE: Chemistry of 2-substituted benzimidazoles. 1.
5-Amino-2-methyl(aryl, arylalkyl,
pyridyl)benzimidazoles
AUTHOR(S): Ambacheu, K. D.; Pleshakov, V. G.; Baatkh, B.

S.;
Zvolinskii, V. P.; Kharlamova, M. D.; Obynochnyi, A.
A.; Prostakov, N. S.
CORPORATE SOURCE: Russian People's Friendship University, Moscow, 117198, Russia
SOURCE: Chemistry of Heterocyclic Compounds (New York)(Translation of Khimiya Geterotsiklicheskikh
Soedinenii) (2000), 36(4), 421-428
CODEN: CHCCAL; ISSN: 0009-3122
PUBLISHER: Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of 2-substituted benzimidazoles was synthesized. These products were consecutively converted into 5-nitro- and 5-amino-2-substituted benzimidazoles.
TI Chemistry of 2-substituted benzimidazoles. 1. 5-Amino-2-methyl(aryl, arylalkyl, pyridyl)benzimidazoles
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
SO Chemistry of Heterocyclic Compounds (New York)(Translation of Khimiya
Geterotsiklicheskikh Soedinenii) (2000), 36(4), 421-428
CODEN: CHCCAL; ISSN: 0009-3122
IT 1571-99-9P 1724-67-0P 1767-25-5P 1792-40-1P 2295-46-7P
2295-50-3P 29043-48-9P 51759-60-5P 310401-98-0P 310401-99-1P
310402-00-7P 310402-01-8P 310402-03-0P 310402-04-1P 310402-05-2P
310402-06-3P 310402-07-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 2-substituted 5-amino- and 5-nitrobenzimidazoles)

L9 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:30832 CAPLUS Full-text
DOCUMENT NUMBER: 132:194321
TITLE: Traceless synthesis of benzimidazoles on solid support
AUTHOR(S): Mazurov, Anatoly
CORPORATE SOURCE: NanoSyn, Inc., Tucson, AZ, 85747, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(1), 67-70
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:194321
AB Traceless solid-phase syntheses of benzimidazoles and 5-(benzimidazol-2-yl)benzimidazoles on 2-(4-formyl-3-methoxyphenoxy)ethyl polystyrene are described. No auxiliary

functional groups are left in the products after ultimate cleavage and cyclization.

TI Traceless synthesis of benzimidazoles on solid support

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SO Bioorganic & Medicinal Chemistry Letters (2000), 10(1), 67-70
CODEN: BMCLE8; ISSN: 0960-894X

IT 5805-83-4P, 1-Benzyl-2-methylbenzimidazole 22492-49-5P, 1,2-Dibenzylbenzimidazole 259734-86-6P 259734-87-7P 259734-88-8P
259734-89-9P 259734-90-2P 259734-91-3P 259734-92-4P
259734-93-5P 259734-94-6P 259734-95-7P 259734-96-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(traceless solid-phase synthesis of benzimidazoles and benzimidazolylbenzimidazoles)

L9 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:18155 CAPLUS Full-text

DOCUMENT NUMBER: 132:180548

TITLE: Solid-phase synthesis of 5,6,7,8-tetrahydro-1H-imidazo[4,5-g]quinoxalin-6-ones

AUTHOR(S): Mazurov, Anatoly

CORPORATE SOURCE: Nanosyn, Inc., Tucson, AZ, 85747, USA

SOURCE: Tetrahedron Letters (2000), 41(1), 7-10
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 132:180548

AB Traceless solid-phase synthesis of 5,6,7,8-tetrahydro-1H-imidazo[4,5-g]quinoxalin-6-ones with three points of diversity is described. Primary amines attached to 2-(4-formyl-3-methoxyphenoxy)ethyl polystyrene react with 1,5-F2C6H2-2,4-(NO2)2 followed by displacement of the second F with an amino acid ester, reduction of NO2 groups, acylation, and ring closure. A library of title compds. was prepared

TI Solid-phase synthesis of 5,6,7,8-tetrahydro-1H-imidazo[4,5-g]quinoxalin-6-ones

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SO Tetrahedron Letters (2000), 41(1), 7-10
CODEN: TELEAY; ISSN: 0040-4039

IT 259188-32-4P 259188-33-5P 259188-34-6P 259188-35-7P 259188-36-8P 259188-37-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of hydroimidazoquinoxalinone library)

L9 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:484079 CAPLUS Full-text

DOCUMENT NUMBER: 127:205518

ORIGINAL REFERENCE NO.: 127:39955a,39958a

TITLE: Rapid in-plate generation of benzimidazole libraries
and amide formation using EEDQ
AUTHOR(S): Thomas, James B.; Fall, Michael J.; Cooper, Julie B.;
Burgess, Jason P.; Carroll, F. Ivy
CORPORATE SOURCE: Chem. and Life Sciences, Research Triangle Inst.,
Research Trianlge Park, NC, 27709, USA
SOURCE: Tetrahedron Letters (1997), 38(29), 5099-5102
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:205518

AB A solution phase method for the preparation of etonitazene-related benzimidazoles and a general method for the preparation of amide derivs. in 96-well format have been developed for the generation of libraries of compds. in parallel.

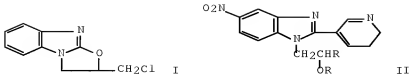
TI Rapid in-plate generation of benzimidazole libraries and amide formation
using EEDQ

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SO Tetrahedron Letters (1997), 38(29), 5099-5102
CODEN: TELEAY; ISSN: 0040-4039

IT 14030-71-8P 102446-69-5P 194537-83-2P 194537-84-3P
194537-85-4P 194537-86-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of etonitazene-related benzimidazoles and amide derivs.)

L9 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:101871 CAPLUS Full-text
DOCUMENT NUMBER: 118:101871
ORIGINAL REFERENCE NO.: 118:17841a,17844a
TITLE: Synthesis and radiosensitizing activity of benzimidazoles
AUTHOR(S): Li, M. J.; Li, S. Z.; Zhuang, X. L.; Chen, A.; Zhang,
H. Q.; Pang, X. C.; Hu, B.
CORPORATE SOURCE: Inst. Radiat. Med., CAMS, Tianjin, 300192, Peop. Rep.
China
SOURCE: Yaoxue Xuebao (1992), 27(9), 662-6
CODEN: YHHPAL; ISSN: 0513-4870
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI



I II

AB Reaction of 2-nitrobenzimidazole with Et chloroformate yielded Et (2-nitrobenzimidazol-1-yl)formate or Et (2-hydroxybenzimidazol-1-yl)formate, depending upon the solvents used. Reaction of 2-nitrobenzimidazole with 1,2-epoxy-3-chloropropane gave a cyclized compound I. In an attempt to increase hydrophilicity, 1-substituted 2-(3'-pyridyl)-5-nitrobenzimidazoles were prepared by reaction of 2-(3'-pyridyl)-5(6)-nitrobenzimidazole with alkyl epoxides or Et chloroacetate. Some of the compds. synthesized were tested for radiosensitizing activity in Ehrlich ascites carcinoma-bearing mice. Preliminary results showed that some compds. have radiosensitizing activity. The radiosensitizing enhancement ratio (SER) of compds. I and II (R = H, Me) were 1.50, 1.52 and 1.65 resp.

TI Synthesis and radiosensitizing activity of benzimidazoles

SO Yaoxue Xuebao (1992), 27(9), 662-6
CODEN: YHHPAL; ISSN: 0513-4870

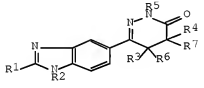
IT 41120-23-4P 54700-20-8P 145861-58-1P 145861-60-5P
145861-61-6P 145861-62-7P 145861-63-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and radiosensitizer activity of)

IT 145861-64-9P 145861-65-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

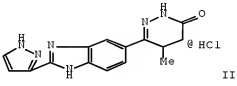
L9 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:583363 CAPLUS Full-text
DOCUMENT NUMBER: 115:183363
ORIGINAL REFERENCE NO.: 115:31325a,31328a
TITLE: Preparation of benzimidazolylpyridazinones as cardiovascular agents
INVENTOR(S): Pruecher, Helmut; Jonas, Rochus; Piulats, Jaime;
Klockow, Michael
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: U.S., 10 pp. Cont.-in-part of U.S. Ser. No. 240,011,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5026705	A	19910625	US 1990-530520	

19900530 <--
DE 3505609 A1 19860821 DE 1985-3505609
19850219 <--
US 4923869 A 19900508 US 1986-830781
19860219 <--
PRIORITY APPLN. INFO.: DE 1985-3505609 A
19850219 <--
US 1986-830781 A1
19860219 <--
US 1988-240011 B2
19880901 <--
OTHER SOURCE(S): CASREACT 115:183363; MARPAT 115:183363
GI



I



II

AB Title compds. [I; R1 = styryl, (substituted) (binuclear) heteroaryl; R2-R5 = H, alkyl; R6, R7 = H; R6R7 = bond], were prepared as pos. inotropic, vasodilating, and antithrombotic agents (no data). Thus, 3-pyrazolylcarboxaldehyde was heated with Na2S2O5 in H2O; the resulting solution was added to 5-methyl-6-(3,4-diaminophenyl)-4,5-dihydropyridazin-3- one in MeOH followed by 70 min stirring, filtration, and 3-5 h reflux to give title compound II. Ampules were prepared containing 5-methyl-6-[2-(2-pyridyl)-5-benzimidazolyl]-4,5-dihydropyridazin-3-one hydrochloride. II is said to have shown particular pos. inotropic activity in guinea pig papillary muscle.

TI Preparation of benzimidazolylpyridazinones as cardiovascular agents

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PI US 5026705 A 19910625

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5026705	A	19910625	US 1990-530520	19900530 <--
DE 3505609	A1	19860821	DE 1985-3505609	19850219 <--
US 4923869	A	19900508	US 1986-830781	19860219 <--

PRAI DE 1985-3505609 A 19850219 <--
US 1986-830781 A1 19860219 <--
US 1988-240011 B2 19880901 <--

IT 105463-09-0P 105463-10-3P 105463-11-4P 105463-12-5P 105463-13-6P

105463-14-7P 105463-15-8P 105463-16-9P 105463-17-0P 105463-18-1P
105463-21-6P 105463-29-4P 106083-53-8P 119322-27-9P 136609-60-4P
136609-61-5P 136609-62-6P 136609-63-7P 136609-64-8P 136609-65-9P
136609-66-0P 136609-67-1P 136609-68-2P 136609-69-3P 136609-70-6P
136609-71-7P 136609-72-8P 136609-73-9P 136609-74-0P 136609-75-1P
136609-76-2P 136609-77-3P 136609-78-4P 136609-79-5P
136609-80-8P 136609-82-0P 136609-83-1P 136660-67-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

L9 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:473437 CAPLUS Full-text
DOCUMENT NUMBER: 109:73437
ORIGINAL REFERENCE NO.: 109:12301a,12304a
TITLE: Preparation of (1H-imidazol-1-ylmethyl)benzimidazoles
as inhibitors of androgen biosynthesis
INVENTOR(S): Raeymaekers, Alfons Herman M.; Freyne, Eddy Jean E.;
Sanz, Gerard Charles
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Eur. Pat. Appl., 59 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 260744	A2	19880323	EP 1987-201702	19870909 <--
EP 260744	A3	19890118		
EP 260744	B1	19921216		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4859684	A	19890822	US 1987-78435	19870727 <--
AT 83478	T	19930115	AT 1987-201702	19870909 <--
ES 2053524	T3	19940801	ES 1987-201702	19870909 <--
DK 8704794	A	19880316	DK 1987-4794	19870914 <--
DK 174728	B1	20031006		
FI 8703977	A	19880316	FI 1987-3977	19870914 <--
FI 87781	B	19921113		

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     FI 87781            C     19930225
     NO 8703840          A     19880316    NO 1987-3840
19870914 <--
     NO 167202           B     19910708
     NO 167202           C     19911016
     AU 8778385          A     19880414    AU 1987-78385
19870914 <--
     AU 595064           B2    19900322
     HU 45051            A2    19880530    HU 1987-4071
19870914 <--
     HU 198039           B     19890728
     JP 01085975         A     19890330    JP 1987-228679
19870914 <--
     JP 05087071         B     19931215
     ZA 8706881          A     19890426    ZA 1987-6881
19870914 <--
     SU 1662350          A3    19910707    SU 1987-4203300
19870914 <--
     IL 83892            A     19911121    IL 1987-83892
19870914 <--
     CA 1323366          C     19931019    CA 1987-546763
19870914 <--
     CN 87106423         A     19880420    CN 1987-106423
19870915 <--
     CN 1020903          C     19930526
PRIORITY APPLN. INFO.:                     US 1986-907903      A
19860915 <--
                                           EP 1987-201702      A
19870909 <--
OTHER SOURCE(S):         CASREACT 109:73437; MARPAT 109:73437
GI   For diagram(s), see printed CA Issue.
AB   The title compds. [I; A = N:CR2, NR3C(:X); R = H, C1-10 alkyl, R4,
     R4Z; R1 = H, C1-10 alkyl, C3-7 cycloalkyl(alkyl), C1-10 alkoxy,
     OH, C3-6 alkenyloxy, C3-6 alkynyloxy, R4, R4O, R4Z, R4Z1, R5Z2,
     R6Z3; R2 = H, C3-7 cycloalkyl, halo, CO2H, alkoxycarbonyl,
     (hetero)aroyl, alkanoyl, quinolinyl, indolinyl, R4, R4Z, R4CH(OH),
     R5Z2, (un)substituted alkyl, alkenyl, PhO; R3 = H, C1-6 alkyl,
     R6Z; R4 = (amino)pyridinyl, imidazolyl, thiazolyl, (halo)thienyl,
     (halo)furanyl, (un)substituted Ph; R5 = R4, R6; R6 =
     (un)substituted Ph; Z = C1-6 alkylene; Z1 = alkenyleneoxy,
     alkynyleneoxy; Z2 = alkyleneoxy; Z3 = alkynyleneoxy] and their
     stereoisomers and pharmaceutically acceptable salts were prepared,
     useful in treatment of androgenic hormone-dependent disorders in
     mammals.  4-[1-(1H-Imidazol-1-yl)propyl]-1,2-benzenediamine
     (preparation given) and F3CCO2H were stirred 15 min. at 80° to
     give 22% (imidazolylpropyl)benzimidazole II.  In rats II reduced
     plasma testosterone levels with an ED50 of <2.5 mg/kg orally.
TI   Preparation of (1H-imidazol-1-ylmethyl)benzimidazoles as inhibitors
of
     androgen biosynthesis

=> s l4
L10         94 L4

=> s l10 and (PDE4 or FSH or androgen? or estrogen? or ?fertil?)
          1614 PDE4
```

```
         30415 FSH
         51116 ANDROGEN?
        111400 ESTROGEN?
           878 OESTROGEN?
        111438 ESTROGEN?
                 (ESTROGEN? OR OESTROGEN?)
        240015 ?FERTIL?
L11           1 L10 AND (PDE4 OR FSH OR ANDROGEN? OR ESTROGEN? OR
?FERTIL?)

=> d l11 ibib abs

L11  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2005:989354  CAPLUS  Full-text
DOCUMENT NUMBER:         144:93581
TITLE:                   Evaluation of factors influencing recovery of
                         herbicide MCPA from drinking water
AUTHOR(S):               Shahtaheri, S. J.; Stevenson, D.
CORPORATE SOURCE:        Dept. of Occupational Health, School of Public
Health,
                         Tehran University of Medical Science, Tehran,
Iran
SOURCE:                  Iranian Journal of Public Health (2001), 30(1-
2),
                         15-20
                         CODEN: IJPHCD; ISSN: 0304-4556
PUBLISHER:               Tehran University of Medical Sciences, School
of
                         Public Health
DOCUMENT TYPE:           Journal
LANGUAGE:                English
AB    Many modern anal. methods deal with the trace-level determination
      of compds. of interest in highly complex environmental samples by
      means of chromatog. techniques.  The introduction of a "clean"
      sample into an anal. instrument can make analyses easier and
      prolongs the equipment life.  The use of solid-phase extraction
      (SPE) has grown and is a fertile technique of sample preparation
      as it provides better results than those produced by liquid-liquid
      extraction (LLE).  The application of SPE can give selectivity of
      extraction providing a purified and concentrated extract  Through
      this study, optimization of trace enrichment and sample clean-up
      method via the use of bonded silica cartridges is discussed.  SPE
      using bonded silica has been optimized with respect of sample pH,
      sample concentration, elution solvent strength, sample volume, and
      elution volume  In this investigation a variety of non-polar
      sorbent cartridges were also screened.  During this study, the
      octadecyl bonded silical cartridge (C18) has proven successful in
      simplifying sample preparation  The present approach proved that
      MCPA could be retained on C18 based on specific interaction.
      Further study employed methanol to extract the analyte from spiked
      water and gave a clean sample for high pressure liquid chromatog.
      equipped with ultra violet detection system. The optimized method
      was validated with three different pools of spiked samples and
      showed good reproducibility over six consecutive days as well as
      six within-day expts.
REFERENCE COUNT:         15    THERE ARE 15 CITED REFERENCES AVAILABLE
FOR THIS
```

RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

```
=> s l10 and (PDE? or pituitary of gamet? or cAMP)
         10465 PDE?
        111197 PITUITARY
          4710 PITUITARIES
        111587 PITUITARY
                 (PITUITARY OR PITUITARIES)
         18006 GAMET?
             4 PITUITARY OF GAMET?
                 (PITUITARY(1W)GAMET?)
         94120 CAMP
          1444 CAMPS
         94691 CAMP
                 (CAMP OR CAMPS)
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=> s l4 and (py<2002 or ay<2002 or pry<2002)
            94 L4
      21992753 PY<2002
       4221262 AY<2002
       3688696 PRY<2002
L13         63 L4 AND (PY<2002 OR AY<2002 OR PRY<2002)

=> d l13 ibib abs ti hit

L13  ANSWER 1 OF 63  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2008:922553  CAPLUS  Full-text
DOCUMENT NUMBER:         149:301914
TITLE:                   An improved process for the single step
isolation of
                         alkaline protease from a fermentation broth
INVENTOR(S):             Adikane, Harshvardhan Vishwanath; Thakar,
Dnyaneshwar
                         Maruti; Nene, Sanjay Narayan
PATENT ASSIGNEE(S):      Council of Scientific and Industrial Research,
India
SOURCE:                  Indian Pat. Appl., 10pp.
                         CODEN: INXXBQ
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     IN 2000DE01031       A     20080725    IN 2000-DE1031
20001117 <--
PRIORITY APPLN. INFO.:                      IN 2000-DE1031
20001117 <--
AB   A process for the single step isolation of alkaline protease from
     a fermentation broth of Conidiobolus coronatus was developed using
     com. available hydrophobic ligands.  Higher adsorption was
     obtained on Bu and Ph hydrophobic ligands (94 and 98% resp.).
```

```
     Almost 20 fold purification with 40% yield of alkaline protease
     was obtained using gradient elution in a single step operation.
TI   An improved process for the single step isolation of alkaline
protease
     from a fermentation broth
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   -----
---
PI   IN 2000DE01031       A     20080725    IN 2000-DE1031
20001117 <--
PRAI IN 2000-DE1031               20001117  <--
IT   56-40-6, Glycine, biological studies   64-19-7, Acetic acid,
biological
     studies   71-52-3, BiCarbonate, biological studies   74-89-5,
     Aminomethane, biological studies   77-86-1, Tris   631-61-8,
Ammonium
     acetate   1310-73-2, Sodium hydroxide, biological studies   2396-
01-2,
     Phenyl   2492-36-6, Butyl   2679-29-0, Hexyl   3812-32-6,
Carbonate,
     biological studies 4606-96-6, Octyl   7601-54-9, Sodium
     phosphate   7647-01-0, Hydrochloric acid, biological studies
7757-82-6,
     Sodium sulphate, biological studies   7757-93-9   7778-18-9,
Calcium
     sulphate   7778-53-2, Potassium phosphate   7778-80-5, Potassium
sulphate,
     biological studies   7783-20-2, Ammonium sulphate, biological
studies
     11129-12-7, Borate   14265-44-2, Phosphate, biological studies
     49765-51-7, Decyl
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (process for the single step isolation of alkaline protease from
a fermentation
        broth)
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http://www.cas.org/support/stngen/stndoc/properties.html

```
=> e octane/cn
E49          1     OCTANDRONIC ACID/CN
E50          1     OCTANDRONOL/CN
E51          1 --> OCTANE/CN
E52          1     OCTANE 1,2-OXIDE/CN
E53          1     OCTANE 1,8-BIS(N,N-DIMETHYL-N-
PROPYLAMMONIUM)DIBROMIDE/CN
E54          1     OCTANE 1,8-BIS(TRIMETHYLAMMONIUM)DIIODIDE/CN
E55          1     OCTANE COMPOUND WITH UREA/CN
E56          1     OCTANE DIISOCYANATE/CN
E57          1     OCTANE DIVERNOLATE/CN
E58          1     OCTANE DIVERNOLATE BISCHLOROACETATE/CN
E59          1     OCTANE RADICAL CATION/CN
E60          1     OCTANE(DISELENOIC) ACID, ION(1-)/CN

=> e octyl/cn
E61          1     OCTULOSYLONO HYDROLASE/CN
E62          1     OCTYDINE BR 1160/CN
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E63           1 --> OCTYL/CN
E64           1     OCTYL ((CIS-4-((4-(DIMETHYLAMINO)-5,6,7,8-
TETRAHYDROQUINAZOL
                    IN-2-YL)AMINO)CYCLOHEXYL)METHYL)CARBAMATE/CN
E65           1     OCTYL ((CIS-4-((4-(DIMETHYLAMINO)PYRIMIDIN-2-
YL)AMINO)CYCLOH
                    EXYL)METHYL)CARBAMATE/CN
E66           1     OCTYL ((CIS-4-((4-(DIMETHYLAMINO)QUINOLIN-2-
YL)AMINO)CYCLOHE
                    XYL)METHYL)CARBAMATE/CN
E67           1     OCTYL (+)-MANDELATE/CN
E68           1     OCTYL (-)-LACTATE/CN
E69           1     OCTYL (-)-LACTATE P-TOLUENESULFONIC ACID ESTER/CN
E70           1     OCTYL (±)-LACTATE/CN
E71           1     OCTYL (±)-MANDELATE/CN
E72           1     OCTYL (1,2,2,6,6-PENTAMETHYL-4-
PIPERIDINYLIDENE)ACETATE/CN

=> s e49-e60
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              1 OCTANE/CN
              1 "OCTANE 1,2-OXIDE"/CN
              1 "OCTANE 1,8-BIS(N,N-DIMETHYL-N-
PROPYLAMMONIUM)DIBROMIDE"/CN
              1 "OCTANE 1,8-BIS(TRIMETHYLAMMONIUM)DIIODIDE"/CN
              1 "OCTANE COMPOUND WITH UREA"/CN
              1 "OCTANE DIISOCYANATE"/CN
              1 "OCTANE DIVERNOLATE"/CN
              1 "OCTANE DIVERNOLATE BISCHLOROACETATE"/CN
              1 "OCTANE RADICAL CATION"/CN
              1 "OCTANE(DISELENOIC) ACID, ION(1-)"/CN
L14          12 ("OCTANDRONIC ACID"/CN OR OCTANDRONOL/CN OR OCTANE/CN OR
"OCTANE
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PROPYLAMMONIUM)
                 DIBROMIDE"/CN OR "OCTANE 1,8-
BIS(TRIMETHYLAMMONIUM)DIIODIDE"/CN
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DIISOCYANATE"/CN
                 OR "OCTANE DIVERNOLATE"/CN OR "OCTANE DIVERNOLATE
BISCHLOROACETA
                 TE"/CN OR "OCTANE RADICAL CATION"/CN OR
"OCTANE(DISELENOIC) ACID
                 , ION(1-)"/CN)

=> s e61-e72
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              1 "OCTYDINE BR 1160"/CN
              1 OCTYL/CN
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TETRAHYDROQUINAZOLIN-
                 2-YL)AMINO)CYCLOHEXYL)METHYL)CARBAMATE"/CN
              1 "OCTYL ((CIS-4-((4-(DIMETHYLAMINO)PYRIMIDIN-2-
YL)AMINO)CYCLOHEXY
                 L)METHYL)CARBAMATE"/CN
              1 "OCTYL ((CIS-4-((4-(DIMETHYLAMINO)QUINOLIN-2-
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YL)AMINO)CYCLOHEXYL
                )METHYL)CARBAMATE"/CN
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             1 "OCTYL (±)-LACTATE"/CN
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PIPERIDINYLIDENE)ACETATE"/CN
L15         12 ("OCTULOSYLONO HYDROLASE"/CN OR "OCTYDINE BR 1160"/CN OR
OCTYL/C
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TETRAHYDROQUINAZ
                OLIN-2-YL)AMINO)CYCLOHEXYL)METHYL)CARBAMATE"/CN OR "OCTYL
((CIS-
                4-((4-(DIMETHYLAMINO)PYRIMIDIN-2-
YL)AMINO)CYCLOHEXYL)METHYL)CARB
                AMATE"/CN OR "OCTYL ((CIS-4-((4-(DIMETHYLAMINO)QUINOLIN-
2-YL)AMI
                NO)CYCLOHEXYL)METHYL)CARBAMATE"/CN OR "OCTYL (+)-
MANDELATE"/CN
                OR "OCTYL (-)-LACTATE"/CN OR "OCTYL (-)-LACTATE P-
TOLUENESULFONI
                C ACID ESTER"/CN OR "OCTYL (±)-LACTATE"/CN OR "OCTYL (±)-
M
                ANDELATE"/CN OR "OCTYL (1,2,2,6,6-PENTAMETHYL-4-
PIPERIDINYLIDENE
                )ACETATE"/CN)
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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l14 or l15
         20474 L14
           176 L15
L16      20639 L14 OR L15

=> s l16 and (FSH or infertil? or PDE4 or cAMP or androgen? or estrogen?
or pituitary?)
         30415 FSH
         11517 INFERTIL?
          1614 PDE4
         94120 CAMP
          1444 CAMPS
         94691 CAMP
                 (CAMP OR CAMPS)
         51116 ANDROGEN?
        111400 ESTROGEN?
           878 OESTROGEN?
        111438 ESTROGEN?
                 (ESTROGEN? OR OESTROGEN?)
        111212 PITUITARY?
L17          16 L16 AND (FSH OR INFERTIL? OR PDE4 OR CAMP OR ANDROGEN? OR
ESTROG
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               EN?  OR PITUITARY?)

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       4221262 AY<2002
       3688696 PRY<2002
L18          15 L17 AND (PY<2002 OR AY<2002 OR PRY<2002)

=> d l18 ibib abs ti hit 1-5

L18  ANSWER 1 OF 15  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2004:569681  CAPLUS  Full-text
DOCUMENT NUMBER:          141:117191
TITLE:                    Seborrheic keratosis treatment using hydrogen
peroxide
INVENTOR(S):              Ancira, Margaret; Miller, Mickey
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of
U.S.
                          Ser. No. 72,829.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.          DATE
     ----------          ----   --------    -------------------      -----
---
     US 20040137077      A1     20040715    US 2003-684136
20031009 <--
     US 7381427          B2     20080603
     US 20030008018      A1     20030109    US 2002-72829
20020208 <--
     US 7138146          B2     20061121
     AU 2007203283       A1     20070802    AU 2007-203283
20070716
PRIORITY APPLN. INFO.:                       US 2001-267978P      P
20010209 <--
                                             US 2002-72829        A2
20020208
                                             AU 2002-251894       A3
20020208
AB   The subject of the present invention is seborrheic keratosis
     removal and prevention utilizing safe dependable effective
     biocompatible treatments with no scarring, bleeding, burning,
     freezing, shocking, and hypopigmentation or hyperpigmentation.
     Seborrheic keratoses are removed by: (a) obtaining a composition
     comprising hydrogen peroxide in a concentration of at least about
     23 %; and (b) applying the composition to a seborrheic keratosis
     on a seborrheic keratoses afflicted person or domesticated animal.
     Patients were treated with applications of 35 % hydrogen peroxide.
     Compns. are presented.
TI   Seborrheic keratosis treatment using hydrogen peroxide
REFERENCE COUNT:          59    THERE ARE 59 CITED REFERENCES AVAILABLE
FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE
```

FORMAT
PRAI US 2001-267978P P 20010209 <--
US 2002-72829 A2 20020208
AU 2002-251894 A3 20020208
IT Alcohols, biological studies
Amides, biological studies
Estrogens
Fatty acids, biological studies
Hormones, animal, biological studies
Ketones, biological studies
Polyoxyalkylenes, biological studies
Sulfoxides
Terpenes, biological studies
Thymus hormones
Thyroid hormones
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition further containing; seborrheic keratosis treatment using hydrogen
peroxide)

L18 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:348964 CAPLUS Full-text
DOCUMENT NUMBER: 131:126563
TITLE: Structural requirements of para-alkylphenols to bind
to estrogen receptor
AUTHOR(S): Tabira, Yukiko; Nakai, Makoto; Asai, Daisuke; Yakabe,
Yoshikuni; Tahara, Yoshiko; Shinmyozu, Teruo; Noguchi,
Masato; Takatsuki, Mineo; Shimohigashi, Yasuyuki
CORPORATE SOURCE: Laboratory of Structure-Function Biochemistry, Department of Molecular Chemistry, Graduate School of
Science, Kyushu University, Fukuoka, 812-8581, Japan
SOURCE: European Journal of Biochemistry (1999), 262(1), 240-245
CODEN: EJBCAI; ISSN: 0014-2956
PUBLISHER: Blackwell Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Octyl-and nonylphenols in the environment have been proposed to function as estrogens. To gain insight into their structural essentials in binding to the estrogen receptor, a series of phenols with saturated alkyl groups at the para position, HO-C6H4-CnH2n+1 (n = 0-12), were examined for their ability to displace [3H]17β-estradiol in the recombinant human estrogen receptor, which was expressed in Sf9 cells using the vaculovirus expression system. All tested para-alkylphenols were found to bind fully to the estrogen receptors in a dose-dependent manner. The interaction of alkylphenols with the receptor became stronger with increase in the number of the alkyl carbons and the activity was maximized with n = 9 of nonylphenol. Phenol (n = 0) exhibited

weak but full binding to the receptor, whereas anisole with a protected phenolic hydroxyl group was completely inactive. Also, alkanes such as n-octane, 2,2,4-trimethylpentane corresponding to tert-octane, and n-nonane exhibited no binding. The results indicate that the binding of para-alkylphenols to the estrogen receptor is due to the effect of covalent bonding of two constituents of the phenol and alkyl groups, which correspond to the A-ring and hydrophobic moiety of the steroid structure, resp. When alkylphenols were examined for their receptor binding conformation by 1H-NMR measurements and ab initio MO calcns., it was suggested that nonbranched alkyl groups are in an extended conformation, while branched alkyl groups are in a folded conformation. These results suggest that branched and nonbranched alkyl moieties of alkylphenols interact differently with the lipophilic ligand binding cavity of the estrogen receptor when compared to the binding of 17β-estradiol.

TI Structural requirements of para-alkylphenols to bind to estrogen receptor

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Structural requirements of para-alkylphenols to bind to estrogen receptor

SO European Journal of Biochemistry (1999), 262(1), 240-245
CODEN: EJBCAI; ISSN: 0014-2956

AB Octyl-and nonylphenols in the environment have been proposed to function as estrogens. To gain insight into their structural essentials in binding to the estrogen receptor, a series of phenols with saturated alkyl groups at the para position, HO-C6H4-CnH2n+1 (n = 0-12), were examined for their ability to displace [3H]17β-estradiol in the recombinant human estrogen receptor, which was expressed in Sf9 cells using the vaculovirus expression system. All tested para-alkylphenols were found to bind fully to the estrogen receptors in a dose-dependent manner. The interaction of alkylphenols with the receptor became stronger with increase in the number of the alkyl carbons and the activity was maximized with n = 9 of nonylphenol. Phenol (n = 0) exhibited weak but full binding to the receptor, whereas anisole with a protected phenolic hydroxyl group was completely inactive. Also, alkanes such as n-octane, 2,2,4-trimethylpentane corresponding to tert-octane, and n-nonane exhibited no binding. The results indicate that the binding of para-alkylphenols to the estrogen receptor is due to the effect of covalent bonding of two constituents of the phenol and alkyl groups, which correspond to the A-ring and hydrophobic moiety of the steroid structure, resp. When alkylphenols were examined for their receptor binding conformation by 1H-NMR measurements and ab initio MO calcns., it was suggested that nonbranched alkyl groups are in an extended conformation, while branched alkyl groups are in a folded conformation. These results suggest that branched and nonbranched alkyl moieties of alkylphenols interact differently with the lipophilic ligand binding cavity of the estrogen receptor when compared to the binding of 17β-estradiol.

ST alkylphenol binding estrogen receptor structure

IT Structure-activity relationship

(estrogen receptor-binding; structural requirements of alkylphenols to bind to estrogen receptor)

L18 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:654222 CAPLUS Full-text
DOCUMENT NUMBER: 123:80122
ORIGINAL REFERENCE NO.: 123:14215a,14218a
TITLE: Putative chemical signals from white-tailed deer
(Odocoileus virginianus). Urinary and vaginal mucus
volatiles excreted by females during breeding season
AUTHOR(S): Jemiolo, B.; Miller, K. V.; Wiesler, D.; Jelinek, I.;
Novotny, M.; Marchinton, R. L.
CORPORATE SOURCE: Dep. Chem., Indiana Univ., Bloomington, IN, 47405, USA
SOURCE: Journal of Chemical Ecology (1995), 21(6), 869-79
CODEN: JCECD8; ISSN: 0098-0331
PUBLISHER: Plenum
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Urine and vaginal mucus samples from female white-tailed deer in estrus and mid-cycle were analyzed by combined gas chromatog.-mass spectrometry. Forty-four volatiles were found in mucus and 63 in urine. The volatile common to both vaginal mucus and urine included alcs., aldehydes, furans, ketones, alkanes, and alkenes. Aromatic hydrocarbons were present only in the vaginal mucus, whereas pyrans, amines, esters, and phenols were found only in urine. Both estrous mucus and estrous urine could be identified by the presence of specific compds. not present in mid-cycle samples. Numerous compds. exhibited dependency on ovarian hormones.
TI Putative chemical signals from white-tailed deer (Odocoileus virginianus).
Urinary and vaginal mucus volatiles excreted by females during breeding season
SO Journal of Chemical Ecology (1995), 21(6), 869-79
CODEN: JCECD8; ISSN: 0098-0331
IT Estrogens
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(urinary and vaginal mucus volatiles excreted by female white-tailed deer during breeding season)

http://www.cas.org/support/stngen/stndoc/properties.html

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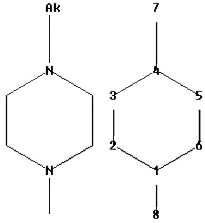


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7  8
ring nodes :
1  2  3  4  5  6
chain bonds :
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ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
1-8  4-7
exact bonds :
1-2  1-6  2-3  3-4  4-5  5-6


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS
Generic attributes :
7:
Type of chain          : Linear
Saturation             : Saturated
Number of Carbon Atoms : 7 or more



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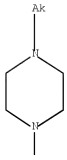

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ANSWERS

 51.3% PROCESSED  1000000 ITERATIONS                              837
ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.20

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      1947943 TO  1947943
PROJECTED ANSWERS:            1509 TO     1751

L20         837 SEA SSS FUL L19
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E2            3     MAGAR S S/AU
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E4            9     MAGAR SHARAD S/AU
E5            1     MAGAR SURENDAR/AU
E6            1     MAGAR V/AU
E7            1     MAGAR V S/AU
E8           11     MAGAR VICTOR/AU
E9          512     MAGAR VICTOR S/AU
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E11           1     MAGAR Y N/AU
E12           1     MAGAR YOGESH N/AU

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             3 "MAGAR S S"/AU
            17 "MAGAR SHARAD"/AU
             9 "MAGAR SHARAD S"/AU
L1          31 ("MAGAR S P"/AU OR "MAGAR S S"/AU OR "MAGAR SHARAD"/AU OR
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E15          0 --> SCHWARZ MAT?/AU
E16          1     SCHWARZ MATTHEW S/AU
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E18         28     SCHWARZ MATTHIAS K/AU
E19          2     SCHWARZ MATTHIAS KLAUS/AU
E20          1     SCHWARZ MATTIAS/AU
E21          1     SCHWARZ MAUREEN/AU
E22          1     SCHWARZ MAURICE/AU
E23          1     SCHWARZ MAURICE H/AU
E24          5     SCHWARZ MAURICE J/AU

=> s e16-e20
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             1 "SCHWARZ MATTIAS"/AU
L2          72 ("SCHWARZ MATTHEW S"/AU OR "SCHWARZ MATTHIAS"/AU OR
"SCHWARZ
               MATTHIAS K"/AU OR "SCHWARZ MATTHIAS KLAUS"/AU OR "SCHWARZ
MATTIA
               S"/AU)

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E27          0 --> GOUTOPOULOS AND?/AU
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E29          2     GOUTORBE BRUNO/AU
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E31          1     GOUTORBE F/AU
E32          2     GOUTORBE FRANCOIS/AU
E33          1     GOUTORBE FREDERIC/AU
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E36          2     GOUTOROVA VALENTINA B/AU

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or infertil?)
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           169 LUTEINISING
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                 (LUTEINIZING OR LUTEINISING)
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         84329 SPERM?
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L5   ANSWER 1 OF 24  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2003:335087  CAPLUS  Full-text
DOCUMENT NUMBER:         138:353989
TITLE:                   Preparation of N-(imidazolylmethyl)benzamides
and
                         imidazolylalkyl-benzoates as MEK-1 and ERK-2
kinase
                         inhibitors
INVENTOR(S):             Arkinstall, Stephen J.; Arulanandam, Antonio;
Jiang,
                         Xuliang; Magar, Sharad; Nabioullin, Roustem;
                         Zhang, John Yingsheng; Blume-Jensen, Peter
PATENT ASSIGNEE(S):      Applied Research Systems ARS Holding N.V.,
Neth.
                         Antilles
SOURCE:                  PCT Int. Appl., 97 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
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PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035626	A2	20030501	WO 2002-US33963	20021023 <--
WO 2003035626	A3	20031106		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2463101	A1	20030501	CA 2002-2463101	20021023 <--
AU 2002359291	A1	20030506	AU 2002-359291	20021023 <--
AU 2002359291	B2	20080403		
EP 1438295	A2	20040721	EP 2002-793814	20021023 <--
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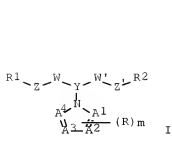
JP 2005508972	T	20050407	JP 2003-538142	20021023 <--
US 20050054706	A1	20050310	US 2004-491902	20040416 <--
US 7253199	B2	20070807		
US 20070293555	A1	20071220	US 2007-782251	20070724 <--
AU 2008202731	A1	20080717	AU 2008-202731	20080620 <--

PRIORITY APPLN. INFO.:	US 2001-336040P	P 20011023 <--
	AU 2002-359291	A3 20021023
	WO 2002-US33963	W 20021023
	US 2004-491902	A3 20040416

OTHER SOURCE(S): MARPAT 138:353989

GI



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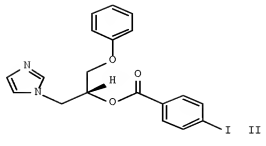

I II

AB Title compds. I [A1-4 = C, N with at least one A1-4 = C; R = halo, NO2, (hetero)alk(en/yn)yl, etc.; m = integer; Y = (hetero)alk(en/yn)yl; W, W' = hetero atom, heteroalkyl, etc.; Z, Z' = bond, alkanoyl; R1-2 = (un)substituted carbocyclic aryl, heteroarom.] are prepared For instance, (S)-glycidol was treated with phenol (THF, PPh3,DEAD) and the product treated with imidazole and finally coupled with p-iodobenzoic acid to give II. II had IC50 = 39 nM for MEK-1 kinase and 36 nM in the MEK-1/ERK-2 kinase assay. I are useful for a variety of therapies, including treating or preventing various cancers, inflammation, septic shock, preterm labor, infertility, pain, ischemia and other diseases and disorders associated with MEK-1 and/or ERK-2 activation.

TI Preparation of N-(imidazolylmethyl)benzamides and imidazolylalkyl-benzoates as MEK-1 and ERK-2 kinase inhibitors

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Arkinstall, Stephen J.; Arulanandam, Antonio; Jiang, Xuliang; Nagar, Sharad; Nabioullin, Roustem; Zhang, John Yingsheng; Blume-Jensen, Peter

PRAI US 2001-336040P P 20011023 <--
AU 2002-359291 A3 20021023
WO 2002-US33963 W 20021023
US 2004-491902 A3 20040416

L5 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:747746 CAPLUS Full-text

DOCUMENT NUMBER: 135:303763

TITLE: Preparation of pyrrolidines as inhibitors of Bax function.

INVENTOR(S): Halazy, Serge; Schwarz, Matthias; Quattropani, Anna; Thomas, Russel; Baxter, Anthony; Bombrun, Agnes

PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth. Antilles

SOURCE: PCT Int. Appl., 221 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074769	A1	20011011	WO 2001-EP3170	20010320 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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EP 1268418	A1	20030102	EP 2001-925491	20010320 <--
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JP 2003529584	T	20031007	JP 2001-572464	20010320 <--
AT 329899	T	20060715	AT 2001-925491	20010320 <--
PT 1268418	T	20060831	PT 2001-925491	20010320 <--
AU 2001252222	B2	20061102	AU 2001-252222	20010320 <--
ES 2262640	T3	20061201	ES 2001-925491	20010320 <--
US 20030171309	A1	20030911	US 2003-240000	20030428 <--
US 7018988	B2	20060328		

PRIORITY APPLN. INFO.: EP 2000-106033 A 20000327 <--
WO 2001-EP3170 W 20010320 <--
OTHER SOURCE(S): MARPAT 135:303763
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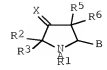

I

AB Title compds. [I; X = O, S, CR6R7, NOR6, NR6R7; A = CO, CO2, C(:NH), CONH, CSNH, SO2, SO2NH, CH2; B = CONR8R9, specified bicyclic heterocyclyl; R1 = (substituted) alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, acyl, etc.; R2-R5 = H, halo, alkyl, alkoxy; R6, R7 = H, (substituted) alkyl, alkenyl, alkynyl, alkoxy, halo, cyano, NO2, acyl, etc.; R8, R9 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl; R6R7N, R8R9N = 3-8 membered (substituted) (fused) heterocyclyl], were prepared Thus, (2S,4EZ)-2-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]carbonyl]-4-(methoxyimino)-N-pentyl-1-pyrrolidinecarboxamide [general preparation from (2S,4EZ)-1-(tert-butoxycarbonyl)-4-(methoxyimino)-2- pyrrolidinecarboxylic acid, 1-isocyanatopentane, and 1-(1,3-benzodioxol-5-ylmethyl)piperazine given] at 10 μM gave 59% inhibition of cytochrome C release triggered by Bid-induced Bax activation.

TI Preparation of pyrrolidines as inhibitors of Bax function.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Halazy, Serge; Schwarz, Matthias; Quattropani, Anna; Thomas, Russel; Baxter, Anthony; Bombrun, Agnes

PI WO 2001074769 A1 20011011

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001074769	A1	20011011	WO 2001-EP3170	20010320 <--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2401137	A1	20011011	CA 2001-2401137	

20010320 <--
EP 1268418 A1 20030102 EP 2001-925491
20010320 <--
EP 1268418 B1 20060614
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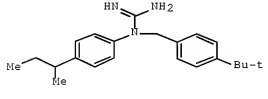
PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2003529584 T 20031007 JP 2001-572464
20010320 <--
AT 329899 T 20060715 AT 2001-925491
20010320 <--
PT 1268418 T 20060831 PT 2001-925491
20010320 <--
AU 2001252222 B2 20061102 AU 2001-252222
20010320 <--
ES 2262640 T3 20061201 ES 2001-925491
20010320 <--
US 20030171309 A1 20030911 US 2003-240000
20030428 <--
US 7018988 B2 20060328
PRAI EP 2000-106033 A 20000327 <--
WO 2001-EP3170 W 20010320 <--
ST Bax protein inhibitor pyrrolidine prepn; epilepsy treatment
pyrrolidine;
Alzheimer disease treatment pyrrolidine; Huntington disease
treatment
pyrrolidine; Parkinson disease treatment pyrrolidine; ischemia
treatment
pyrrolidine; infertility treatment pyrrolidine

L5 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:668349 CAPLUS Full-text
DOCUMENT NUMBER: 135:226791
TITLE: Preparation of arylguanidines as
neuroprotectants
INVENTOR(S): Goldin, Stanley M.; Fischer, James B.; Knapp,
Andrew
Gannett; Reddy, N. Laxma; Berlove, David;
Durant,
Graham J.; Katragadda, Subbarao; Hu, Lain-yen;
Magar, Sharad; Fan, Wenhong; Yost, Elizabeth;
Guo, Jun Qing
PATENT ASSIGNEE(S): Cambridge Neuroscience, Inc., USA
SOURCE: U.S., 39 pp., Cont.-in-part of U.S. Ser. No.
191,793,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6288123	B1	20010911	US 1995-464103	19950605 <--

WO 9520950 A1 19950810 WO 1995-US1536
19950203 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,
GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG,
MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT,
UA, US
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
TD, TG
AU 9932226 A 19990722 AU 1999-32226
19990527 <--
US 7351743 B1 20080401 US 2000-637774
20000811 <--
JP 2007161725 A 20070628 JP 2007-21455
20070131 <--
US 20070265348 A1 20071115 US 2007-880199
20070719 <--
PRIORITY APPLN. INFO.: US 1994-191793 B2
19940203 <--
WO 1995-US1536 A1
19950203 <--
AU 1995-19125 A3
19950203 <--
JP 1995-520811 A3
19950203 <--
US 1995-464103 A1
19950605 <--
US 2000-637774 A3
20000811 <--
OTHER SOURCE(S): MARPAT 135:226791
GI



I

AB (RX)R2NC(:NH)N(XR1)R3 [I; R, R1 = (un)substituted alk(en)yl, -alkoxy, -(hetero)aryl, etc.; R2, R3 = H, (un)substituted alkyl, -alkoxy, -alkylsulfonyl, etc.; X = bond, alkylene] were prepared as calcium-dependent glutamate release inhibitors. Thus, 4-(EtMeHC)C6H4NH2 was N-alkylated by 4-(Me3C)C6H4CH2Br and the hydrochloride of the product condensed with H2NCN to give title compound II.HCl. Data for biol. activity of I were given.

TI Preparation of arylguanidines as neuroprotectants

REFERENCE COUNT: 92 THERE ARE 92 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT
IN Goldin, Stanley M.; Fischer, James B.; Knapp, Andrew Gannett; Reddy, N.
Laxma; Berlove, David; Durant, Graham J.; Katragadda, Subbarao; Hu, Lain-yen; Magar, Sharad; Fan, Wenhong; Yost, Elizabeth; Guo, Jun Qing
PI US 6288123 B1 20010911

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6288123	B1	20010911	US 1995-464103	19950605 <--
WO 9520950	A1	19950810	WO 1995-US1536	19950203 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9932226	A	19990722	AU 1999-32226	19990527 <--
US 7351743	B1	20080401	US 2000-637774	20000811 <--
JP 2007161725	A	20070628	JP 2007-21455	20070131 <--
US 20070265348	A1	20071115	US 2007-880199	20070719 <--
PRAI US 1994-191793	B2	19940203	<--	
WO 1995-US1536	A1	19950203	<--	
AU 1995-19125	A3	19950203	<--	
JP 1995-520811	A3	19950203	<--	
US 1995-464103	A1	19950605	<--	
US 2000-637774	A3	20000811	<--	

L5 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:841675 CAPLUS Full-text
DOCUMENT NUMBER: 135:2286
TITLE: Synthesis and binding characteristics of N-(1-naphthyl)-N'-(3-[125I]-iodophenyl)-N'-methylguanidine ([125I]-CNS 1261): A potential SPECT agent for imaging NMDA receptor activation
AUTHOR(S): Owens, Jonathan; Tebbutt, Andrew A.; McGregor, Ailsa L.; Kodama, K.; Magar, Sharad S.; Perlman, Michael E.; Robins, David J.; Durant, Graham

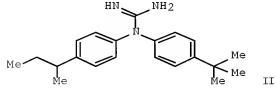
J.;
McCulloch, James
CORPORATE SOURCE: Departments of Clinical Physics, University of Glasgow, Glasgow, G11 6NT, UK
SOURCE: Nuclear Medicine and Biology (2000), 27(6), 557-564
CODEN: NMBIEO; ISSN: 0969-8051
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB N-(1-Naphthyl)-N'-(3-[125I]-iodophenyl)-N'-methylguanidine ([125I]-CNS 1261) was synthesized as a potential radioligand to image N-methyl-D-aspartate (NMDA) receptor activation. [125I]-CNS 1261 was prepared by radioiodination of N-(1-naphthyl)-N'-(3-tributylstannylphenyl)-N'-methylguanidine using Na 125I and peracetic acid. [125I]-CNS 1261 uptake in vivo reflected NMDA receptor distribution in normal rat brain, whereas in ischemic rat brain, uptake was markedly increased in areas of NMDA receptor activation. Radiolabeled CNS 1261 appears to be a good candidate for further development as a single photon emission computed tomog. tracer in the investigation of NMDA receptor activation in cerebral ischemia.
TI Synthesis and binding characteristics of N-(1-naphthyl)-N'-(3-[125I]-
iodophenyl)-N'-methylguanidine ([125I]-CNS 1261): A potential SPECT agent
for imaging NMDA receptor activation
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
AU Owens, Jonathan; Tebbutt, Andrew A.; McGregor, Ailsa L.; Kodama, K.;
Magar, Sharad S.; Perlman, Michael E.; Robins, David J.; Durant, Graham J.; McCulloch, James
SO Nuclear Medicine and Biology (2000), 27(6), 557-564
CODEN: NMBIEO; ISSN: 0969-8051

L5 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:785904 CAPLUS Full-text
DOCUMENT NUMBER: 133:335085
TITLE: Preparation of arylguanidines as neuroprotectants
INVENTOR(S): Goldin, Stanley M.; Fischer, James B.; Knapp, Andrew
Gannett; Reddy, N. Laxma; Berlove, David; Durant,
Graham J.; Katragadda, Subbarao; Hu, Lain Hu; Magar, Sharad; Fan, Wenhong; Yost, Elizabeth; Guo, Jun Qing
PATENT ASSIGNEE(S): Cambridge Neuroscience, Inc., USA
SOURCE: U.S., 40 pp., Cont.-in-part of U.S. Ser. No. 191,793,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6143791	A	20001107	US 1995-482984	19950607 <--
WO 9520950	A1	19950810	WO 1995-US1536	19950203 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9932226	A	19990722	AU 1999-32226	19990527 <--
US 6787569	B1	20040907	US 2000-637512	20000811 <--
JP 2007161725	A	20070628	JP 2007-21455	20070131 <--
PRIORITY APPLN. INFO.:			US 1994-191793	B2 19940203 <--
			WO 1995-US1536	A2 19950203 <--
			AU 1995-19125	A3 19950203 <--
			JP 1995-520811	A3 19950203 <--
			US 1995-482984	A1 19950607 <--
OTHER SOURCE(S):	MARPAT 133:335085			

GI



II

AB RR1NC(:NH)NR2R3 [I; R,R1 = (un)substituted alk(en)yl, -alkoxy, -(hetero)aryl, etc.; R2,R3 = H, (un)substituted alkyl, -alkoxy, -alkylsulfonyl, etc.] were prepared as calcium-dependent glutamate release inhibitors. Thus, 4-(EtMeHC)C6H4NH2 was N-alkylated by 4-

(Me3C)C6H4CH2Br and the hydrochloride of the product condensed with H2NCN to give title compound II.HCl. Data for biol. activity of I were given.

TI Preparation of arylguanidines as neuroprotectants

REFERENCE COUNT: 165 THERE ARE 165 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Goldin, Stanley M.; Fischer, James B.; Knapp, Andrew Gannett; Reddy, N. Laxma; Berlove, David; Durant, Graham J.; Katragadda, Subbarao; Hu, Lain Hu; Magar, Sharad; Fan, Wenhong; Yost, Elizabeth; Guo, Jun Qing

PI US 6143791 A 20001107

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6143791	A	20001107	US 1995-482984	19950607 <--
WO 9520950	A1	19950810	WO 1995-US1536	19950203 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9932226	A	19990722	AU 1999-32226	19990527 <--
US 6787569	B1	20040907	US 2000-637512	20000811 <--
JP 2007161725	A	20070628	JP 2007-21455	20070131 <--
PRAI US 1994-191793	B2	19940203 <--		
WO 1995-US1536	A2	19950203 <--		
AU 1995-19125	A3	19950203 <--		
JP 1995-520811	A3	19950203 <--		
US 1995-482984	A1	19950607 <--		

L5 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:117043 CAPLUS Full-text

DOCUMENT NUMBER: 132:151680

TITLE: Preparation of carbazoles, isoquinolines, indoles, and related compounds as follicle stimulating hormone mimetics for the treatment of infertility.

INVENTOR(S): El Tayer, Nabil; Reddy, Adulla; Buckler, David; Magar, Sharad

PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N. V.,

Neth.
Antilles
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000008015	A2	20000217	WO 1999-US17755	19990805 <--
WO 2000008015	A3	20000511		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2339018	A1	20000217	CA 1999-2339018	19990805 <--
AU 9953931	A	20000228	AU 1999-53931	19990805 <--
AU 772373	B2	20040422		
US 6235755	B1	20010522	US 1999-369222	19990805 <--
EP 1102763	A2	20010530	EP 1999-939686	19990805 <--
EP 1102763	B1	20041013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522433	T	20020723	JP 2000-563648	19990805 <--
EP 1380582	A1	20040114	EP 2003-23514	19990805 <--
EP 1380582	B1	20060614		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AT 279407	T	20041015	AT 1999-939686	19990805 <--
PT 1102763	T	20050131	PT 1999-939686	19990805 <--
ES 2228084	T3	20050401	ES 1999-939686	19990805 <--
IL 141063	A	20050619	IL 1999-141063	

19990805 <--
AT 329911 T 20060715 AT 2003-23514
19990805 <--
ES 2261844 T3 20061116 ES 2003-23514
19990805 <--
PT 1380582 T 20060831 PT 2003-23514
19990808 <--
US 6423723 B1 20020723 US 2000-723495
20001128 <--
US 20020147345 A1 20021010 US 2002-156431
20020528 <--
US 6653338 B2 20031125
AU 2004202858 A1 20040722 AU 2004-202858
20040625 <--
AU 2004202858 B2 20060706
PRIORITY APPLN. INFO.: US 1998-95712P P
19980807 <--
AU 1999-53931 A3
19990805 <--
EP 1999-939686 A3
19990805 <--
US 1999-369222 A3
19990805 <--
WO 1999-US17755 W
19990805 <--
US 2000-723495 A3
20001128 <--
OTHER SOURCE(S): MARPAT 132:151680
AB R5ZYR4XR3WNR1R2 [R1, R3, R4, R5 = H, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkoxycarbonyl, thioalkyl, acyl, acyloxy, aryl, cycloalkyl, heterocyclyl; R2 = H, (substituted) cycloalkyl, heterocyclyl, aryl, heteroaryl; NR1R2 = (substituted) heterocyclyl, heteroaryl; W = CO, NHCO, NHCOCH2, C:NH, CS, SO2, (substituted) CH2; X, Y = CH, N; Z = CO, NH, C:N, SO2, CONH], were prepared Thus, 1-[(2-oxo-6-pentyl-2H-pyran)-3-carbonyl]pyrrolidine-2-carboxylic acid 3-(9-ethylcarbazolyl)amide (prepared from BOC-Pro-OH, 3-amino-9-ethylcarbazole, and 2-oxo-6-pentyl-2H-pyran-3-carboxylic acid) stimulated estradiol production in the rat granulosa cell assay with EC50 = 1.4 μM.
TI Preparation of carbazoles, isoquinolines, indoles, and related compounds
as follicle stimulating hormone mimetics for the treatment of infertility.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IN El Tayer, Nabil; Reddy, Adulla; Buckler, David; Magar, Sharad
PI WO 2000008015 A2 20000217
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2000008015 A2 20000217 WO 1999-US17755
19990805 <--
WO 2000008015 A3 20000511
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,

CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,
IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG,
MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,
TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2339018 A1 20000217 CA 1999-2339018 19990805 <--
AU 9953931 A 20000228 AU 1999-53931 19990805 <--
AU 772373 B2 20040422
US 6235755 B1 20010522 US 1999-369222 19990805 <--
EP 1102763 A2 20010530 EP 1999-939686 19990805 <--
EP 1102763 B1 20041013
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO
JP 2002522433 T 20020723 JP 2000-563648 19990805 <--
EP 1380582 A1 20040114 EP 2003-23514 19990805 <--
EP 1380582 B1 20060614
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI, CY
AT 279407 T 20041015 AT 1999-939686 19990805 <--
PT 1102763 T 20050131 PT 1999-939686 19990805 <--
ES 2228084 T3 20050401 ES 1999-939686 19990805 <--
IL 141063 A 20050619 IL 1999-141063 19990805 <--
AT 329911 T 20060715 AT 2003-23514 19990805 <--
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PT 1380582 T 20060831 PT 2003-23514 19990808 <--
US 6423723 B1 20020723 US 2000-723495 20001128 <--
US 20020147345 A1 20021010 US 2002-156431 20020528 <--
US 6653338 B2 20031125
AU 2004202858 A1 20040722 AU 2004-202858 20040625 <--
AU 2004202858 B2 20060706
PRAI US 1998-95712P P 19980807 <--
AU 1999-53931 A3 19990805 <--

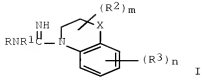
EP 1999-939686 A3 19990805 <--
US 1999-369222 A3 19990805 <--
WO 1999-US17755 W 19990805 <--
US 2000-723495 A3 20001128 <--

L5 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:113097 CAPLUS Full-text
DOCUMENT NUMBER: 132:151671
TITLE: Preparation of indoline derivatives and 1,2,3,4-tetrahydroquinoline derivatives useful for the treatment or prophylaxis of neurological injury and neurodegenerative disorders
INVENTOR(S): Reddy, N. Laxma; Maillard, Michael; Berlove, David; Magar, Sharad; Durant, Graham J.
PATENT ASSIGNEE(S): Cambridge Neuroscience, Inc., USA
SOURCE: U.S., 41 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6025355	A	20000215	US 1997-858399	19970519 <--
US 6358993	B1	20020319	US 1999-425582	19991022 <--
US 20020099084	A1	20020725	US 2001-38178	20011109 <--
US 6514990	B2	20030204		
US 20030153763	A1	20030814	US 2002-321402	20021217 <--
US 6770668	B2	20040803		

PRIORITY APPLN. INFO.:		
	US 1996-601992	B2 19960215 <--
	WO 1997-US2678	A1 19970214 <--
	US 1997-858399	A3 19970519 <--
	US 1999-425582	A1 19991022 <--
	US 2001-38178	A1 20011109 <--

OTHER SOURCE(S): MARPAT 132:151671
GI



I

AB The title compds., e.g. I (R, R1 = H, alkyl, alkenyl, alkoxy, alkylthio, etc.; R2, R3 = H, halo, OH, alkyl, etc.; X = sulfinyl, sulfonyl; m, n = 0-4), useful for the treatment or prophylaxis of neurol. injury and neurodegenerative disorders, were prepared E.g., N-(1-naphthyl)-4-(2,3-dihydro[1,4]benzothiazinyl)carboximidamide was prepared Anticonvulsant activity of some of the compds. was determined

TI Preparation of indoline derivatives and 1,2,3,4-tetrahydroquinoline derivatives useful for the treatment or prophylaxis of neurological injury and neurodegenerative disorders

REFERENCE COUNT: 198 THERE ARE 198 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Reddy, N. Laxma; Maillard, Michael; Berlove, David; Magar, Sharad ; Durant, Graham J.

PI US 6025355 A 20000215

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6025355	A	20000215	US 1997-858399	19970519 <--
US 6358993	B1	20020319	US 1999-425582	19991022 <--
US 20020099084	A1	20020725	US 2001-38178	20011109 <--
US 6514990	B2	20030204		
US 20030153763	A1	20030814	US 2002-321402	20021217 <--
US 6770668	B2	20040803		
PRAI US 1996-601992	B2	19960215	<--	
WO 1997-US2678	A1	19970214	<--	
US 1997-858399	A3	19970519	<--	
US 1999-425582	A1	19991022	<--	
US 2001-38178	A1	20011109	<--	

L5 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:788751 CAPLUS Full-text

DOCUMENT NUMBER: 130:47495

TITLE: Therapeutic acenaphthyl guanidines, and preparation thereof

INVENTOR(S): Magar, Sharad; Durant, Graham J.; Hu, Lain-Yen; Goldin, Stanley M.; Reddy, N. Laxma; Fischer, James B.; Katragadda, Subbarao; Knapp,

Andrew
Gannett; Margolin, Lee David
PATENT ASSIGNEE(S): Cambridge Neuroscience, Inc., USA
SOURCE: U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 155,930,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5847006	A	19981208	US 1995-454927	19950531 <--
US 5403861	A	19950404	US 1992-833421	19920210 <--
EP 940139	A2	19990908	EP 1999-107574	19920210 <--
EP 940139	A3	20000119		
EP 940139	B1	20050202		
R: AT, CH, DE, FR, GB, IT, LI				

PRIORITY APPLN. INFO.: US 1991-652104 B2 19910208 <--
US 1992-833421 A2 19920210 <--
US 1993-155930 B2 19931122 <--
EP 1992-907382 A3 19920210 <--
OTHER SOURCE(S): MARPAT 130:47495
AB N,N'-diaryl substituted guanidines having therapeutic utility are provided. The compds. of the invention include Ar1N(R)C(NH)N(R1)Ar (R, R1 represent hydrogen, other group; Ar, Ar1 = selected aryl groups, ≥1 being acenaphthyl).
TI Therapeutic acenaphthyl guanidines, and preparation thereof
REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IN Magar, Sharad; Durant, Graham J.; Hu, Lain-Yen; Goldin, Stanley M.; Reddy, N. Laxma; Fischer, James B.; Katragadda, Subbarao; Knapp, Andrew Gannett; Margolin, Lee David
PI US 5847006 A 19981208

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5847006	A	19981208	US 1995-454927	19950531 <--
US 5403861	A	19950404	US 1992-833421	19920210 <--
EP 940139	A2	19990908	EP 1999-107574	19920210 <--
EP 940139	A3	20000119		

EP 940139 B1 20050202
R: AT, CH, DE, FR, GB, IT, LI
PRAI US 1991-652104 B2 19910208 <--
US 1992-833421 A2 19920210 <--
US 1993-155930 B2 19931122 <--
EP 1992-907382 A3 19920210 <--

L5 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:505475 CAPLUS Full-text
DOCUMENT NUMBER: 129:189095
ORIGINAL REFERENCE NO.: 129:38417a,38420a
TITLE: Synthesis and Pharmacological Evaluation of N,N'-Diarylguanidines as Potent Sodium Channel Blockers and Anticonvulsant Agents
AUTHOR(S): Reddy, N. Laxma; Fan, Wenhong; Magar, Sharad S.; Perlman, Michael E.; Yost, Elizabeth; Zhang, Lu; Berlove, David; Fischer, James B.; Burke-Howie, Kathy; Wolcott, Teresa; Durant, Graham J.
CORPORATE SOURCE: Cambridge NeuroScience Inc., Cambridge, MA, 02139, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(17), 3298-3302
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Synthesis and structure-activity relationships (SAR) are described for a series of N,N'-diarylguanidines related to N-acenaphth-5-yl-N'-(4-methoxy-1-naphthyl)guanidine as anticonvulsants through blockade of sodium channels. SAR studies on compound N-acenaphth-5-yl-N'-(4-methoxy-1-naphthyl)guanidine led to several simpler diphenylguanidines with improved in vitro and in vivo activity. Compds. were screened for blockade of sodium channels in a veratridine-induced [14C]guanidinium influx assay (type IIA sodium channels) and for anticonvulsant activity in the audiogenic DBA/2 mouse model. Results indicated that N,N'-diphenylguanidines substituted with flexible and moderate size lipophilic groups were preferred over aryl and/or hydrophilic groups for biol. activity. Among the compds. studied, n-butyl- and/or n-butoxy-containing guanidines showed superior biol. activity. A possible relationship between in vitro and in vivo activity of this compound series and their measured/calculated lipophilicity was investigated. Compds. of this series showed only weak NMDA ion channel-blocking activity indicating that the anticonvulsant activity of these compds. is unlikely to be mediated by NMDA ion channels but, more likely, by acting at voltage-gated sodium channels.

TI Synthesis and Pharmacological Evaluation of N,N'-Diarylguanidines as
Potent Sodium Channel Blockers and Anticonvulsant Agents
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
AU Reddy, N. Laxma; Fan, Wenhong; Magar, Sharad S.; Perlman, Michael E.; Yost, Elizabeth; Zhang, Lu; Berlove, David; Fischer,

James B.;
Burke-Howie, Kathy; Wolcott, Teresa; Durant, Graham J.
SO Journal of Medicinal Chemistry (1998), 41(17), 3298-3302
CODEN: JMCMAR; ISSN: 0022-2623

L5 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:119668 CAPLUS Full-text
DOCUMENT NUMBER: 128:316907
ORIGINAL REFERENCE NO.: 128:62629a,62632a
TITLE: Synthesis and Pharmacological Evaluation of N-(2,5-Disubstituted phenyl)-N'-(3-substituted phenyl)-N'-methylguanidines As N-Methyl-D-aspartate Receptor Ion-Channel Blockers. [Erratum to document cited in CA128:212660]
AUTHOR(S): Hu, Lain-Yen; Guo, Junqing; Magar, Sharad S.; Fischer, James B.; Burke-Howie, Kathleen J.; Durant, Graham J.
CORPORATE SOURCE: Cambridge NeuroSci., Inc., Cambridge, MA, 02139, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(6), 1006
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The generic structure for Table 4 has been corrected
TI Synthesis and Pharmacological Evaluation of N-(2,5-Disubstituted phenyl)-N'-(3-substituted phenyl)-N'-methylguanidines As N-Methyl-D-aspartate Receptor Ion-Channel Blockers. [Erratum to document cited in CA128:212660]
AU Hu, Lain-Yen; Guo, Junqing; Magar, Sharad S.; Fischer, James B.; Burke-Howie, Kathleen J.; Durant, Graham J.
SO Journal of Medicinal Chemistry (1998), 41(6), 1006
CODEN: JMCMAR; ISSN: 0022-2623

=> d 15 ibib abs ti hit 11-24

L5 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:35396 CAPLUS Full-text
DOCUMENT NUMBER: 128:212660
ORIGINAL REFERENCE NO.: 128:41941a,41944a
TITLE: Synthesis and pharmacological evaluation of N-(2,5-disubstituted phenyl)-N'-(3-substituted phenyl)-N'-methylguanidines as N-methyl-D-aspartate receptor ion-channel blockers
AUTHOR(S): Hu, Lain-Yen; Guyo, Junqing; Magar, Sharad S.; Fischer, James B.; Burke-Howie, Kathleen J.; Durant, Graham J.
CORPORATE SOURCE: Cambridge NeuroSci., Inc., Cambridge, MA, 02139, USA

SOURCE: Journal of Medicinal Chemistry (1997), 40(26), 4281-4289
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In the mammalian central nervous system, the N-methyl-D-aspartate (NMDA) subclass of glutamate receptors may play an important role in brain diseases such as stroke, brain or spinal cord trauma, epilepsy, and certain neurodegenerative diseases. Compds. which specifically antagonize the actions of the neurotransmitter glutamate at the NMDA receptor ion-channel site offer a novel approach to treating these disorders. Cerestat (aptiganel, CNS 1102) is currently undergoing clin. trial for the treatment of traumatic brain injury and stroke. Previously, the authors reported that analogs of N-1-naphthyl-N'-(3-ethylphenyl)-N'-methylguanidine bound to the NMDA receptor ion-channel site with high potency and selectivity. Recently, mols. active at both σ receptors and NMDA receptor sites were investigated. A series of substituted diphenylguanidines which are structurally related to N-1-naphthyl-N'-(3-ethylphenyl)-N'-methylguanidine was prepared Compds. containing appropriate substitution pattern in one of the Ph rings of diphenylguanidines displayed high affinity. N-(2,5-dibromophenyl)-N'-(3-ethylphenyl)-N'-methylguanidine (I) had potency at both σ receptors and NMDA receptor sites; I also showed high efficacy in vivo in a neonatal rat excitotoxicity model. Further studies indicated that substituent effects were important in this compound series, and 2,5-disubstituted-Ph was the preferred substitution pattern for high-affinity binding at NMDA receptor sites. N-(2-Bromo-5(methylthio)phenyl)-N'-(3-ethylphenyl)-N'-methylguanidine was highly active at NMDA receptor sites. The binding affinity of some guanidines was further enhanced with the appropriate substitution. Two compds. bound to NMDA receptor sites with high potency and selectivity (Ki vs [3H]MK-801: 1.87 and 1.65 nM, resp.,); these compds. are active in vivo in various animal models of neuroprotection. The structure-activity relationships for these compds. at the NMDA receptor ion-channel site are discussed.

TI Synthesis and pharmacological evaluation of N-(2,5-disubstituted phenyl)-N'-(3-substituted phenyl)-N'-methylguanidines as N-methyl-D-aspartate receptor ion-channel blockers

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AU Hu, Lain-Yen; Guyo, Junqing; Magar, Sharad S.; Fischer, James B.; Burke-Howie, Kathleen J.; Durant, Graham J.

SO Journal of Medicinal Chemistry (1997), 40(26), 4281-4289
CODEN: JMCMAR; ISSN: 0022-2623

L5 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:568120 CAPLUS Full-text
DOCUMENT NUMBER: 127:234258
ORIGINAL REFERENCE NO.: 127:45717a
TITLE: Indolinyl- and tetrahydroquinolylcarboxamidines with

anticonvulsant activity

INVENTOR(S): Reddy, N. Laxma; Maillard, Michael; Berlove, David; Magar, Sharad; Durant, Graham J.

PATENT ASSIGNEE(S): Cambridge Neuroscience, Inc., USA; Reddy, N. Laxma; Maillard, Michael; Berlove, David; Magar, Sharad; Durant, Graham J.

SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730054	A1	19970821	WO 1997-US2678	19970214 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9722780	A	19970902	AU 1997-22780	19970214 <--
AU 733475	B2	20010517		
EP 925300	A1	19990630	EP 1997-906923	19970214 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000504730	T	20000418	JP 1997-529602	19970214 <--
US 6358993	B1	20020319	US 1999-425582	19991022 <--
US 20020099084	A1	20020725	US 2001-38178	20011109 <--
US 6514990	B2	20030204		
US 20030153763	A1	20030814	US 2002-321402	20021217 <--
US 6770668	B2	20040803		

PRIORITY APPLN. INFO.:		
US 1996-601992	A	19960215 <--
WO 1997-US2678	W	19970214 <--
US 1997-858399	A3	

19970519 <--
US 1999-425582 A1
19991022 <--
US 2001-38178 A1
20011109 <--
OTHER SOURCE(S): MARPAT 127:234258
AB Title compds. (>250 compds.) were prepared Thus, 1-aminonaphthalene was treated with BrCN to give 1-naphthylcyanamide which was treated with indoiin mesylate to give N-(1-naphthyl)-1-indolinylcarboxamidine (I). I at 2 mg/kg i.p. caused 82% inhibition of audiogenic seizures in mice. The title compds. are particularly useful for the treatment or prophylaxis of neurol. injury and neurodegenerative disorders.
TI Indolinyl- and tetrahydroquinolylcarboxamidines with anticonvulsant activity
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IN Reddy, N. Laxma; Maillard, Michael; Berlove, David; Magar, Sharad ; Durant, Graham J.
PI WO 9730054 A1 19970821

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9730054	A1	19970821	WO 1997-US2678	19970214 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9722780	A	19970902	AU 1997-22780	19970214 <--
AU 733475	B2	20010517		
EP 925300	A1	19990630	EP 1997-906923	19970214 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000504730	T	20000418	JP 1997-529602	19970214 <--
US 6358993	B1	20020319	US 1999-425582	19991022 <--
US 20020099084	A1	20020725	US 2001-38178	20011109 <--
US 6514990	B2	20030204		
US 20030153763	A1	20030814	US 2002-321402	20021217 <--

	US 6770668	B2	20040803	
PRAI	US 1996-601992	A	19960215	<--
	WO 1997-US2678	W	19970214	<--
	US 1997-858399	A3	19970519	<--
	US 1999-425582	A1	19991022	<--
	US 2001-38178	A1	20011109	<--

L5 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:220303 CAPLUS Full-text
TITLE: N,N'-diarylguanidines: Synthesis and their anti-seizure activity in the audiogenic (DBA 2) mouse model.
AUTHOR(S): Reddy, N. Laxma; Fan, Wenhong; Magar, Sharad S.; Yost, Elizabeth; Durant, Graham J.
CORPORATE SOURCE: Cambridge NeuroScience, Inc., Cambridge, MA, 02139, USA
SOURCE: Book of Abstracts, 211th ACS National Meeting, New Orleans, LA, March 24-28 (1996), MEDI-057. American Chemical Society: Washington, D. C. CODEN: 62PIAJ
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB In the continuation of our research in developing guanidines as potent anti-ischemic agents (Reddy, NL et. al, Bioorg. & Med. Chemical Lett, 1995, 2259-2262), we synthesized several novel diarylguanidines that blocked voltage-gated neuronal Type II sodium channels as determined by inhibition of the flux of 14C-guanidinium ion in the cultured CHO cells. These compds. also blocked K+-evoked Ca2+-dependent glutamate release in the synaptosomal membranes. Further, this compound series demonstrated in vivo antiseizure activity in the audiogenic (DBA 2) mouse model. Synthesis, in vitro and in vivo activities of this compound series will be presented at the meeting.
TI N,N'-diarylguanidines: Synthesis and their anti-seizure activity in the audiogenic (DBA 2) mouse model.
AU Reddy, N. Laxma; Fan, Wenhong; Magar, Sharad S.; Yost, Elizabeth; Durant, Graham J.
SO Book of Abstracts, 211th ACS National Meeting, New Orleans, LA, March 24-28 (1996), MEDI-057 Publisher: American Chemical Society, Washington, D. C. CODEN: 62PIAJ

L5 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:994190 CAPLUS Full-text
DOCUMENT NUMBER: 124:29429
ORIGINAL REFERENCE NO.: 124:5646h,5647a
TITLE: Preparation of arylguanidines as glutamate release inhibitors
INVENTOR(S): Goldin, Stanley M.; Fischer, James B.; Knapp, Andrew Gannett; Reddy, N. Laxma; Berlove, David;

Durant,

	Graham J.; Katragadda, Subbarao; Hu, Lain-Yen; Magar, Sharad; et al.
PATENT ASSIGNEE(S):	Cambridge Neuroscience, Inc., USA
SOURCE:	PCT Int. Appl., 149 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9520950	A1	19950810	WO 1995-US1536	19950203 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2182302	A1	19950810	CA 1995-2182302	19950203 <--
AU 9519125	A	19950821	AU 1995-19125	19950203 <--
ZA 9500878	A	19960116	ZA 1995-878	19950203 <--
EP 751767	A1	19970108	EP 1995-911627	19950203 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09509156	T	19970916	JP 1995-520811	19950203 <--
US 6174924	B1	20010116	US 1995-462013	19950605 <--
US 6288123	B1	20010911	US 1995-464103	19950605 <--
US 6143791	A	20001107	US 1995-482984	19950607 <--
AU 9932226	A	19990722	AU 1999-32226	19990527 <--
US 6787569	B1	20040907	US 2000-637512	20000811 <--
US 7351743	B1	20080401	US 2000-637774	20000811 <--
JP 2007161725	A	20070628	JP 2007-21455	20070131 <--
US 20070265348	A1	20071115	US 2007-880199	20070719 <--

PRIORITY APPLN. INFO.:	US 1994-191793	A

19940203 <--

AU 1995-19125 A3

19950203 <--

JP 1995-520811 A3

19950203 <--

WO 1995-US1536 W

19950203 <--

US 1995-464103 A1

19950605 <--

US 1995-482984 A1

19950607 <--

US 2000-637774 A3

20000811 <--

OTHER SOURCE(S): MARPAT 124:29429

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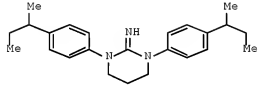

I

AB RR1NC(:NH)NR2R3 [R,R1 = (un)substituted alk(en)yl, alkoxy, (hetero)aryl, etc.; R2,R3 = H, (amino)alkyl, alkoxy, (hetero)aryl, etc.] were prepared Thus, 4-(EtMeCH)C6H4NH(CH2)3NHC6H4(CHMeEt)-4 (preparation given) was cyclocondensed with BrCN to give title compound I which gave 75% inhibition of sound-induced seizures in DBA/2 mice at 4mg/kg i.p.

TI Preparation of arylguanidines as glutamate release inhibitors

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Goldin, Stanley M.; Fischer, James B.; Knapp, Andrew Gannett; Reddy, N.
Laxma; Berlove, David; Durant, Graham J.; Katragadda, Subbarao; Hu, Lain-Yen; Magar, Sharad; et al.

PI WO 9520950 A1 19950810

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9520950	A1	19950810	WO 1995-US1536	19950203 <--

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT,

UA, US
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
TD, TG

CA 2182302	A1	19950810	CA 1995-2182302	19950203 <--
AU 9519125	A	19950821	AU 1995-19125	19950203 <--
ZA 9500878	A	19960116	ZA 1995-878	19950203 <--
EP 751767	A1	19970108	EP 1995-911627	19950203 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

JP 09509156	T	19970916	JP 1995-520811	19950203 <--
US 6174924	B1	20010116	US 1995-462013	19950605 <--
US 6288123	B1	20010911	US 1995-464103	19950605 <--
US 6143791	A	20001107	US 1995-482984	19950607 <--
AU 9932226	A	19990722	AU 1999-32226	19990527 <--
US 6787569	B1	20040907	US 2000-637512	20000811 <--
US 7351743	B1	20080401	US 2000-637774	20000811 <--
JP 2007161725	A	20070628	JP 2007-21455	20070131 <--
US 20070265348	A1	20071115	US 2007-880199	20070719 <--
PRAI US 1994-191793	A	19940203	<--	
AU 1995-19125	A3	19950203	<--	
JP 1995-520811	A3	19950203	<--	
WO 1995-US1536	W	19950203	<--	
US 1995-464103	A1	19950605	<--	
US 1995-482984	A1	19950607	<--	
US 2000-637774	A3	20000811	<--	

L5 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:763860 CAPLUS Full-text
DOCUMENT NUMBER: 123:160853
ORIGINAL REFERENCE NO.: 123:28383a,28386a
TITLE: Therapeutic guanidines
INVENTOR(S): Magar, Sharad; Durant, Graham J.; Hu, Lain-Yen; Goldin, Stanley M.; Reddy, N. Laxma; Fischer, James B.; Katragadda, Subbarao; Knapp, Andrew Gannett; Margolin, Lee David
PATENT ASSIGNEE(S): Cambridge Neuroscience, Inc., USA
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9514467	A1	19950601	WO 1994-US13541	19941122 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2177084	A1	19950601	CA 1994-2177084	19941122 <--
AU 9512122	A	19950613	AU 1995-12122	19941122 <--
AU 703138	B2	19990318		
ZA 9409253	A	19960104	ZA 1994-9253	19941122 <--
EP 746316	A1	19961211	EP 1995-903152	19941122 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09505600	T	19970603	JP 1994-515219	19941122 <--

PRIORITY APPLN. INFO.: US 1993-155930 A 19931122 <--
WO 1994-US13541 W 19941122 <--
OTHER SOURCE(S): MARPAT 123:160853

AB N,N'-diaryl substituted guanidines, Ar1NRC(:NH)NR1Ar, wherein R and R1 represent H or another group and Ar and Ar1 represent selected aryl groups, and at least one being acenaphthyl, are prepared and are used to modulate, i.e., inhibit or potentiate the release of neurotransmitters, or decrease or preferably lengthen the time course of action of neurotransmitters from neuronal tissue.

TI Therapeutic guanidines
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
IN Magar, Sharad; Durant, Graham J.; Hu, Lain-Yen; Goldin, Stanley M.; Reddy, N. Laxma; Fischer, James B.; Katragadda, Subbarao; Knapp, Andrew Gannett; Margolin, Lee David
PI WO 9514467 A1 19950601

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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PI   WO 9514467          A1    19950601    WO 1994-US13541
19941122 <--
         W:  AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES,
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             GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD,
MG,
             MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT,
UA,
             US, UZ
         RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
LU,
             MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
SN,
             TD, TG
     CA 2177084          A1    19950601    CA 1994-2177084
19941122 <--
     AU 9512122          A     19950613    AU 1995-12122
19941122 <--
     AU 703138           B2    19990318
     ZA 9409253          A     19960104    ZA 1994-9253
19941122 <--
     EP 746316           A1    19961211    EP 1995-903152
19941122 <--
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL,
PT, SE
     JP 09505600         T     19970603    JP 1994-515219
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PRAI US 1993-155930      A     19931122  <--
     WO 1994-US13541     W     19941122  <--

L5   ANSWER 16 OF 24  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         1995:758935  CAPLUS  Full-text
DOCUMENT NUMBER:          123:132889
ORIGINAL REFERENCE NO.:   123:23345a,23348a
TITLE:                    Substituted guanidines as NMDA antagonists in
                          treatment of neurological conditions
INVENTOR(S):              Durant, Graham J.; Hu, Lain-Yen; Magar, Sharad
PATENT ASSIGNEE(S):       Cambridge Neuroscience, Inc., USA
SOURCE:                   PCT Int. Appl., 38 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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     WO 9514461           A1    19950601    WO 1994-US13245
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PRIORITY APPLN. INFO.:                      US 1993-156773      A
19931123 <--
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OTHER SOURCE(S):         MARPAT 123:132889
AB   Substituted guanidines RR1NC(:NH)NR2R3 [I; R, R1, R2 = H,
     (substituted) alkyl, alkenyl, alkynyl, alkoxy, thioalkyl,
     aminoalkyl, aryl, aralkyl; R3 = (substituted) aryl, thioalkyl,
     alkylsulfinyl, alkylsulfonyl, haloalkoxy] and pharmaceutically
     acceptable salts thereof, are effective for treating disorders
     involving excessive excitation of nerve cells by NMDA receptor
     agonists.  PCP radioligand-binding assays and σ-receptor binding
     assays were performed with 9 compds., e.g. I (R = 1-naphthyl, R1 =
     H, R2 = Me, R3 = 3-SMe-C6H4).
TI   Substituted guanidines as NMDA antagonists in treatment of
neurological
     conditions
REFERENCE COUNT:         7     THERE ARE 7 CITED REFERENCES AVAILABLE
FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT
IN   Durant, Graham J.; Hu, Lain-Yen; Magar, Sharad
PI   WO 9514461 A1  19950601
     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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PI   WO 9514461           A1     19950601    WO 1994-US13245
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RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
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WO 1994-US13245	W	19941122 <--		

L5 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:339509 CAPLUS Full-text
DOCUMENT NUMBER: 122:96529
ORIGINAL REFERENCE NO.: 122:18023a,18026a
TITLE: Substituted guanidines for treatment of central nervous system disease
INVENTOR(S): Durant, Graham J.; Magar, Sharad; Hu, Lain-Yen
PATENT ASSIGNEE(S): Cambridge Neuroscience, Inc., USA
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9427591	A1	19941208	WO 1994-US6008	19940527 <--

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BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

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OTHER SOURCE(S): MARPAT 122:96529
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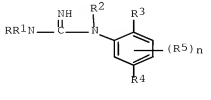

I

AB Treatment of the CNS diseases, which involve excitation of nerve cells by agonists of NMDA receptors, comprises administration of substituted guanidines (I; R = R1 = R2 = H, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, aryl, ets; R3 = R4 = R5 = halogen, OH, azido, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, aryl, etc.; n = 0-3) or their salts. The ED80 and the percentage maximum protection against damage to the CNS for some of the I compds. are presented.

TI Substituted guanidines for treatment of central nervous system disease

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Durant, Graham J.; Magar, Sharad; Hu, Lain-Yen

PI WO 9427591 A1 19941208

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9427591	A1	19941208	WO 1994-US6008	19940527 <--
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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CA 2163361	C	20080617		
AU 9470473	A	19941220	AU 1994-70473	19940527 <--
AU 695337	B2	19980813		
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CN 1188121	C	20050209		
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JP 3610368	B2	20050112		
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     JP 1995-500988       A3    19940527  <--
     WO 1994-US6008       W     19940527  <--

L5   ANSWER 18 OF 24  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         1994:134065  CAPLUS  Full-text
DOCUMENT NUMBER:          120:134065
ORIGINAL REFERENCE NO.:   120:23595a,23598a
TITLE:                    Preparation of substituted guanidines
INVENTOR(S):              Durant, Graham J.; Magar, Sharad S.
PATENT ASSIGNEE(S):       Cambridge Neuroscience, Inc., USA
SOURCE:                   PCT Int. Appl., 32 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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     US 5298657           A     19940329    US 1992-854496
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     EP 634997            A1    19950125    EP 1993-907550
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     AU 9746807           A     19980312    AU 1997-46807
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PRIORITY APPLN. INFO.:                      US 1992-854496      A
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OTHER SOURCE(S): MARPAT 120:134065
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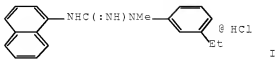

I

AB Title compds. are prepared by reaction of R1R2NCN where R1 and R2 = C1-8 alkyl, C3-12 cycloalkyl, C2-8 alkenyl, C2-8 alkynyl, C6-14 aryl, C11-18 aralkyl, C4-18 heteroarom. R1R2N = C4-18 heterocyclyl, with R3R4NH where R3, R4 = H, C1-8 alkyl, C3-18 cycloalkyl, C2-8 alkenyl, C2-8 alkynyl, etc. N-methyl-N-(3-ethylphenyl)cyanamide was added to AlCl3 followed by naphthylamine-HCl to give after workup title compound I-HCl.
TI Preparation of substituted guanidines
IN Durant, Graham J.; Magar, Sharad S.
PI WO 9319042 A1 19930930

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9319042	A1	19930930	WO 1993-US2424	19930317 <--
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
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WO 1993-US2424	A	19930317 <--		

L5 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:225477 CAPLUS Full-text
DOCUMENT NUMBER: 118:225477
ORIGINAL REFERENCE NO.: 118:38715a,38718a
TITLE: N-(3-Azidophenyl)-N-methyl-N'-([4-1H]- and [4-3H]-1-naphthyl)guanidine. A potent and selective ligand designed as a photoaffinity label for the phencyclidine site of the N-methyl-D-aspartate receptor
AUTHOR(S): Gee, Kyle R.; Durant, Graham J.; Holmes, Darren L.; Magar, Sharad S.; Weber, Eckard; Wong, Scott T.; Keana, John F. W.
CORPORATE SOURCE: Dep. Chem., Univ. Oregon, Eugene, OR, 97403, USA
SOURCE: Bioconjugate Chemistry (1993), 4(3), 226-9
CODEN: BCCHES; ISSN: 1043-1802
DOCUMENT TYPE: Journal
LANGUAGE: English
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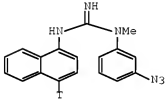

I

AB A novel radiolabeled photoaffinity ligand has been synthesized for the phencyclidine (PCP) site of the N-methyl-D-aspartate (NMDA) receptor. N-(3-Azidophenyl)-N-methyl-N'([4-3H]-1-naphthyl)guanidine (I) was prepared with a specific activity of 25 Ci/mmol by diazotization of N-(3-aminophenyl)-N-methyl-N'-([4-3H]-1-naphthyl)guanidine (II) by treatment with sodium azide. The guanidine II was obtained by catalytic tritiation of N-(4-bromo-1-naphthyl)-N'-methyl-N'-(3-nitrophenyl)guanidine (III). The nontritiated analog of I (IV) was prepared beginning with N-methyl-N'-1-naphthyl-N-(3-nitrophenyl)guanidine (V). The guanidines V and III were prepared in moderate yield by the aluminum chloride-catalyzed reaction of N-methyl-3-nitroaniline hydrochloride with 1-naphthylcyanamide and 4-bromo-1-naphthylcyanamide, resp. The azide IV showed high selectivity and affinity (IC50 = 100 nM vs [3H]MK801; 300 nM vs [3H]ditolylguanidine) for the PCP site of the NMDA receptor in guinea pig brain homogenate. Photolabeling expts. with I, however, failed to radiolabel a significant amount of receptor polypeptide.

TI N-(3-Azidophenyl)-N-methyl-N'-([4-1H]- and [4-3H]-1-

naphthyl)guanidine. A
potent and selective ligand designed as a photoaffinity label for
the
phencyclidine site of the N-methyl-D-aspartate receptor
AU Gee, Kyle R.; Durant, Graham J.; Holmes, Darren L.; Magar, Sharad
S.; Weber, Eckard; Wong, Scott T.; Keana, John F. W.
SO Bioconjugate Chemistry (1992), 4(3), 226-9
CODEN: BCCHES; ISSN: 1043-1802

L5 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1992:571741 CAPLUS Full-text
DOCUMENT NUMBER: 117:171741
ORIGINAL REFERENCE NO.: 117:29705a,29708a
TITLE: Synthesis of phorbol C-ring analogs: a
biomimetic
model study on the phorbol to 12-
hydroxydaphnetoxin
conversion.
AUTHOR(S): Magar, Sharad S.; Desai, R. C.; Fuchs, P. L.
CORPORATE SOURCE: Chem. Dep., Purdue Univ., West Lafayette, IN,
47907,
USA
SOURCE: Journal of Organic Chemistry (1992), 57(20),
5360-9
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:171741
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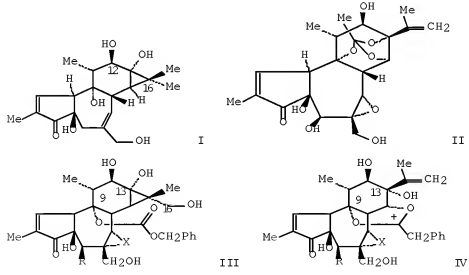

AB An eight-step synthesis of phorbol C-ring analogs is described.
The results of a model study on the phorbol (I) to 12-hydroxy

daphnetoxin (II) biomimetic conversion using a C(9) ester-assisted cyclopropyl carbinyl rearrangement of carbonate III (R = H, X = bond; R = OH, X = O) to dioxelenium ion IV are presented. Under the basic conditions used, the dominant reaction pathway is the participation of the C(13)-hydroxyl group leading to cleavage of the wrong cyclopropane bond to generate an enone, rather than the desired orthoester. The key step in these synthetic studies is the use of the allyldimethylsilyl functionality as a latent form of hydroxyl group, which facilitates the introduction of the hydroxyl group at cyclic tertiary centers.

TI Synthesis of phorbol C-ring analogs: a biomimetic model study on the phorbol to 12-hydroxydaphnetoxin conversion.

AU Magar, Sharad S.; Desai, R. C.; Fuchs, P. L.

SO Journal of Organic Chemistry (1992), 57(20), 5360-9
CODEN: JOCEAH; ISSN: 0022-3263

L5 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1992:193740 CAPLUS Full-text

DOCUMENT NUMBER: 116:193740

ORIGINAL REFERENCE NO.: 116:32813a,32816a

TITLE: Bis-alkylation of dimetalated phenylsulfonylmethyl triflone. A n+1 annulation strategy for synthesis of cyclic vinyl sulfones

AUTHOR(S): Magar, S. S.; Fuchs, P. L.

CORPORATE SOURCE: Dep. Chem., Purdue Univ., West Lafayette, IN, 47907, USA

SOURCE: Tetrahedron Letters (1992), 33(6), 745-8
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 116:193740

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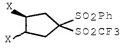

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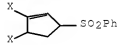

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L8   ANSWER 1 OF 22  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2003:511145  CAPLUS  Full-text
DOCUMENT NUMBER:         139:85352
TITLE:                   Preparation of triazoles as oxytocin
antagonists
INVENTOR(S):             Quattropani, Anna; Schwartz, Matthias;
                         Thomas, Russell J.; Coulter, Thomas
PATENT ASSIGNEE(S):      Applied Research Systems Ars Holding N.V.,
Neth.
                         Antilles
SOURCE:                  PCT Int. Appl., 217 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

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          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
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     CA 2469042           A1    20030703    CA 2002-2469042
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AU 2002364291 A1 20030709 AU 2002-364291
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AU 2002364291 B2 20070802
EP 1458381 A1 20040922 EP 2002-799064
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
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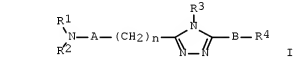
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
JP 2005517662 T 20050616 JP 2003-554194
20021219 <--
AT 311185 T 20051215 AT 2002-799064
20021219 <--
ES 2249636 T3 20060401 ES 2002-799064
20021219 <--
US 20050187275 A1 20050825 US 2005-498356
20050329 <--
US 7468385 B2 20081223
PRIORITY APPLN. INFO.: EP 2001-778 A
20011220 <--
WO 2002-EP14594 W
20021219
OTHER SOURCE(S): MARPAT 139:85352
GI



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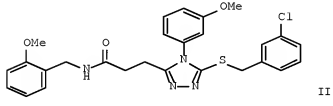

II

AB The title compds. [I; R1, R2 = H, alkyl, arylalkyl, etc.; NR1R2 = (un)substituted 5-8 membered (un)saturated or aromatic ring containing one or more heteroatoms selected from O, N, S; A = CO, SO2; R3 = H, alkyl, arylalkyl, etc.; B = S, O, NR5; R4, R5 = H, alkyl, acyl, etc.; n = 2-10], useful useful in the treatment and/or prevention of disease states mediated by oxytocin and/or vasopressin such as preterm labor, premature birth, dysmenorrhea, inappropriate secretion of vasopressin, congestive heart failure, arterial hypertension, liver cirrhosis, nephrotic syndrome and ocular hypertension, were prepared and formulated. In particular, the present invention is related to triazoles I displaying a substantial modulatory, in particular antagonistic activity, of the oxytocin and/or vasopressin receptor. E.g., a multi-step synthesis of II (starting with AMEBA II resin and 2-

methoxybenzylamine) which showed Ki of 0.045 μM against human oxytocin receptor binding, was given.

TI Preparation of triazoles as oxytocin antagonists

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Quattropani, Anna; Schwarz, Matthias; Thomas, Russell J.; Coulter, Thomas

PRAI EP 2001-778 A 20011220 <--
WO 2002-EP14594 W 20021219

L8 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:977813 CAPLUS Full-text

DOCUMENT NUMBER: 138:55968

TITLE: Preparation of (biphenylylcarbonyl)(oxadiazolyl or thiadiazolyl)pyrrolidinone oximes as oxytocin receptor antagonists for treatment of preterm labor, premature birth, and dysmenorrhea

INVENTOR(S): Schwarz, Matthias; Page, Patrick; Pomel, Vincent; Quattropani, Anna; Thomas, Russell J.

PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles

SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102799	A2	20021227	WO 2002-EP6629	20020614 <--
WO 2002102799	A3	20030403		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,

GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2449578 A1 20021227 CA 2002-2449578
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AU 2002319237 A1 20030102 AU 2002-319237
20020614 <--

AU 2002319237 B2 20080103

EE 200400022 A 20040415 EE 2004-22
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BR 2002011030 A 20040622 BR 2002-11030
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HU 2004000238 A2 20040728 HU 2004-238
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EP 1444225 A2 20040811 EP 2002-748785
20020614 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004534804 T 20041118 JP 2003-506272
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CN 1639155 A 20050713 CN 2002-815944
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ZA 2003009402 A 20041203 ZA 2003-9402
20031203 <--

NO 2003005399 A 20040217 NO 2003-5399
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BG 108424 A 20050331 BG 2003-108424
20031208 <--

IN 2003DN02125 A 20060120 IN 2003-DN2125
20031208 <--

MX 2003011441 A 20040701 MX 2003-11441
20031210 <--

US 20040220238 A1 20041104 US 2004-480992
20040519 <--

US 7115639 B2 20061003

US 20060229343 A1 20061012 US 2006-449802
20060609 <--

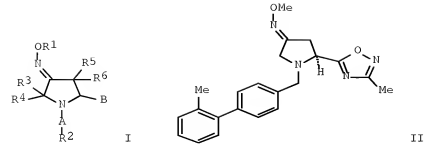
PRIORITY APPLN. INFO.: EP 2001-113632 A
20010618 <--

WO 2002-EP6629 W
20020614

US 2004-480992 A1
20040519

OTHER SOURCE(S): MARPAT 138:55968

GI



I II

AB The present invention is related the preparation and use of title compds. I [wherein A = CO, CO2, SO2, SO2NH, or CH2; B = oxadiazole or thiadiazole ring; R1 = alkyl, alkenyl, alkynyl, (hetero)aryl, or alkyl(hetero)aryl; or OR1 = heterocyclic ring optionally fused with a (hetero)aryl or cycloalkyl ring; R2 = (cyclo)alkyl, alkenyl, alkynyl, (alkyl)aryl, (alkyl)heteroaryl, heteroarylalkyl, acyl, etc.; R3-R6 = independently H, halo, alkyl, or alkoxy; or geometrical isomers, enantiomers, diastereomers, racemates, or pharmaceutically acceptable salts thereof], as well as pharmaceutical formulations containing I, as oxytocin receptor antagonists. For example, (2S,4EZ)-1-(tert-butoxycarbonyl)-4-(methoxyimino)-2-pyrrolidinecarboxylic acid and acetamidoxime (preparation of reactants given) in DCM were stirred overnight at room temperature to give the oxadiazole intermediate (60%). N-deprotection using HCl gas, followed by addition of 2'-methyl[1,1'-biphenyl]-4-carboxylic acid and DMAP and separation of the (E)- and (Z)-isomers by column chromatog. afforded (3E,5S)- and (3Z,5S)-II in 34% and 33% yield, resp. The latter displayed binding affinity for the human oxytocin receptor (hOT-R) in vitro with IC50 of 0.009 μM, inhibited oxytocin-induced Ca2+ mobilization mediated by hOT-R in vitro with IC50 of 0.004 μM, and reduced oxytocin-induced uterine contractions in non-pregnant female rats by 74.4% ± 4.2% at doses of 30 mg/kg p.o. I are useful in the treatment and/or prevention of disease states mediated by oxytocin, including preterm labor, premature birth, and dysmenorrhea.

TI Preparation of (biphenylylcarbonyl)(oxadiazolyl or thiadiazolyl)pyrrolidinone oximes as oxytocin receptor antagonists for treatment of preterm labor, premature birth, and dysmenorrhea

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Schwarz, Matthias; Page, Patrick; Pomel, Vincent; Quattropani, Anna; Thomas, Russell J.

PRAI EP 2001-113632 A 20010618 <--
WO 2002-EP6629 W 20020614
US 2004-480992 A1 20040519

L8 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:736228 CAPLUS Full-text

DOCUMENT NUMBER: 137:247923
TITLE: Preparation of pyrrolidine ester derivatives with
oxytocin modulating activity
INVENTOR(S): Schwarz, Matthias; Quattropani, Anna; Scheer, Alexander; Dorbais, Jerome; Pomel, Vincent
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074741	A1	20020926	WO 2002-EP3005	20020319 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2440002	A1	20020926	CA 2002-2440002	20020319 <--
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AU 2002256685	B2	20080124		
EP 1390347	A1	20040225	EP 2002-726184	20020319 <--
EP 1390347	B1	20080507		
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JP 2004525132	T	20040819	JP 2002-573750	20020319 <--
EP 1829861	A2	20070905	EP 2007-12082	20020319 <--
EP 1829861	A3	20090121		
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC,				

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AT 394371 T 20080515 AT 2002-726184
20020319 <--
ES 2303854 T3 20080901 ES 2002-726184
20020319 <--
US 20040147511 A1 20040729 US 2004-471290
20040223 <--
US 7189754 B2 20070313
US 20070129381 A1 20070607 US 2007-620359
20070105 <--
PRIORITY APPLN. INFO.: EP 2001-106888 A
20010320 <--
EP 2002-726184 A3
20020319
WO 2002-EP3005 W
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US 2004-471290 A3
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OTHER SOURCE(S): MARPAT 137:247923
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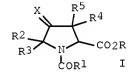

AB Pyrrolidine esters I [X = CR6R7, NOR6, NNR6R7, where R6, R7 = H, alkyl, (thio)alkoxy, halo, cyano, (hetero)cycloalkyl, aryl, etc. or NR6R7 = heterocyclyl; R = alkyl, alkenyl, alkynyl, (hetero)cyclyl, (hetero)aryl, etc.; R1 = alkyl, (hetero)aryl, cycloalkyl, acyl, etc.; R2-R5 = H, halo, alkyl], including isomers, enantiomers, diastereomers and racemate forms and pharmaceutically-acceptable salts, were prepared for use in pharmaceutical compns. for the treatment and/or prevention of premature labor, premature birth and dysmenorrhea. In particular, the present invention is related to the use of pyrrolidine esters I to antagonize the oxytocin receptor. Thus, Me (2S,4E/4Z)-4-(methoxyimino)-1-[(2'- methyl[1,1'-biphenyl]-4-yl)carbonyl]-2-pyrrolidinecarboxylate, prepared via coupling of Me (2S,4EZ)-4-(methoxyimino)-2-pyrrolidinecarboxylate with 2'-methyl(1,1'-biphenyl)-4-carboxylic acid, showed IC50 = 0.036 and 0.012 μM (4E/4Z isomers resp.) for binding of the human oxytocin receptor.

TI Preparation of pyrrolidine ester derivatives with oxytocin modulating activity

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Schwarz, Matthias; Quattropani, Anna; Scheer, Alexander; Dorbais, Jerome; Pomel, Vincent

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PRAI EP 2001-106888       A     20010320  <--
     EP 2002-726184       A3    20020319
     WO 2002-EP3005       W     20020319
     US 2004-471290       A3    20040223

L8   ANSWER 4 OF 22  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2002:314906  CAPLUS  Full-text
DOCUMENT NUMBER:         136:340491
TITLE:                   Preparation of sulfanilide derivatives as
oxytocin
                         and/or vasopressin receptor antagonists
INVENTOR(S):             Quattropani, Anna; Schwarz, Matthias;
                         Jorand-Lebrun, Catherine; Church, Dennis;
Scheer,
                         Alexander
PATENT ASSIGNEE(S):      Applied Research Systems Ars Holding N.V.,
Neth.
                         Antilles
SOURCE:                  PCT Int. Appl., 187 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

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              PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
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          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH,
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              BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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     AU 140192002         A     20020429    AU 2002-14019
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     EP 1335901           A1    20030820    EP 2001-982432
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              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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20011015 <--
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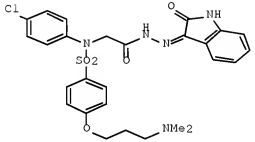
AU 2002214019 B2 20070125 AU 2002-214019
20011015 <--
US 20040072816 A1 20040415 US 2003-399040
20030925 <--
US 7312358 B2 20071225
AU 2007201854 A1 20070517 AU 2007-201854
20070426 <--
PRIORITY APPLN. INFO.: EP 2000-122588 A
20001017 <--
AU 2002-214019 A3
20011015 <--
WO 2001-EP11865 W
20011015 <--
OTHER SOURCE(S): MARPAT 136:340491
GI



II

AB Title compds. of formula R1SO2NR2CHR3CONR4R5 [I; wherein R1 and R2 = independently (un)substituted (hetero)aryl; R3 = H, (cyclo)alkyl, alkyl(hetero)aryl, alkenyl, or alkynyl; R4 and R5 = independently H, alkyl, alkenyl, alkynyl, heterocyclyl, (hetero)aryl, or alkyl(hetero)aryl; or R4R5N = heterocyclyl optionally fused with (hetero)aryl or cycloalkyl ring; or R4 = H or alkyl and R5 = N:CR6R7; R6 = (un)substituted (hetero)aryl; R7 = H, alkyl, alkyl(hetero)aryl, alkenyl, alkynyl, acyl, aminocarbonyl, alkoxycarbonyl, (hetero)aryl, carboxyl, CN, or sulfonyl] were prepared via solution phase or solid phase protocols as oxytocin and/or vasopressin receptor antagonists. I are useful in the treatment and/or prevention of pre-term labor, premature birth, dysmenorrhea, inappropriate secretion of vasopressin, congestive heart failure, arterial hypertension, liver cirrhosis, nephrotic syndrome, and ocular hypertension. For example, nucleophilic substitution of 4-fluoro-N-(4-chlorophenyl)benzenesulfonamide with 3-dimethylamino-1-propanol and NaH in dioxane, followed by Mitsunobu reaction with Me glycolate, afforded Me [4-chloro[[4-[3-(dimethylamino)propoxy]phenyl]sulfonyl]anilino]acetate (83%). The ester was converted to the hydrazide (34%), which was treated with isatin to give the hydrazinooxoethyl benzenesulfonamide II (61%). The latter exhibited binding affinity to the oxytocin receptor

with Ki of 0.0006 μM, inhibited oxytocin mediated Ca2+-mobilization by FLIPR with IC50 of 0.0136 μM, and inhibited oxytocin-induced uterine contractions in non-pregnant 9-10 wk old Charles River CD(SD) Br female rats by 67.4% ± 7.1% at doses of 30 mg/kg.

TI Preparation of sulfanilide derivatives as oxytocin and/or vasopressin receptor antagonists

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Quattropani, Anna; Schwartz, Matthias; Jorand-Lebrun, Catherine; Church, Dennis; Scheer, Alexander

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002032864	A1	20020425	WO 2001-EP11865	20011015 <--
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JP 4080868	B2	20080423		
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US 20040072816	A1	20040415	US 2003-399040	20030925 <--
US 7312358	B2	20071225		
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PRAI EP 2000-122588	A	20001017	<--	
AU 2002-214019	A3	20011015	<--	

WO 2001-EP11865 W 20011015 <--

L8 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:5511 CAPLUS Full-text
DOCUMENT NUMBER: 136:209930
TITLE: Chemokine receptors - the next therapeutic target for HIV?
AUTHOR(S): Schwarz, Matthias; Wells, Timothy N. C.; Proudfoot, Amanda E. I.
CORPORATE SOURCE: Serono Pharmaceutical Research Institute, Geneva, Switz.
SOURCE: Receptors and Channels (2001), 7(6), 417-428
CODEN: RCHAE4; ISSN: 1060-6823
PUBLISHER: Harwood Academic Publishers
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. To date, the available therapies for the treatment of HIV infection are targeted against proteins encoded by the virus itself. Thus, combination drug therapies for HIV with reverse transcriptase and protease inhibitors have resulted in spectacular redns. of viremia, often leading to a remarkable improvement in symptoms and recovery from disease in infected people. There is still however, a great need for improved therapies since many patients are unable to take these drugs, either for reasons of intolerance, strain resistance, complexity of regimen or prohibitive cost. Multiple therapies aimed at different events in the HIV life cycle will ensure switching of treatments to combat resistant viruses, and also allow treatment flexibility if patients are unable to tolerate particular therapies. One event that could provide a key to reducing or even eliminating viral infection would be to prevent the virus from entering the host cell. Intense efforts are now underway to produce drugs that target chemokine receptors, one of the essential components for HIV cell entry. HIV needs two receptors on the host cell surface for efficient attachment and infection. The first is CD4 and the second, identified in 1996, is a member of the family of chemokine receptors, members of the G-protein coupled 7TM superfamily, which are involved in the trafficking of leukocytes in immune surveillance and inflammation. Many small, orally bioavailable mols. that block various 7TM receptors are used to treat a panoply of diseases including ulcers, allergies, migraines, and schizophrenia. These mols. are the cornerstone of the pharmaceutical industry's contribution to the fight against so many diseases. Small mol. inhibitors of the HIV-coreceptors are now entering the first stages of clin. trials as new therapeutics for the fight against AIDS.
TI Chemokine receptors - the next therapeutic target for HIV?
REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
AU Schwarz, Matthias; Wells, Timothy N. C.; Proudfoot, Amanda E. I.
SO Receptors and Channels (2001), 7(6), 417-428
CODEN: RCHAE4; ISSN: 1060-6823

L8 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:730700 CAPLUS Full-text
DOCUMENT NUMBER: 135:288686
TITLE: Synthesis of substituted N-acyl/sulfonyl pyrrolidine
derivatives as bax inhibitors
INVENTOR(S): Halazy, Serge; Schwarz, Matthias; Quattropani, Anna; Thomas, Russel; Baxter, Anthony; Scheer, Alexander
PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072705	A1	20011004	WO 2001-EP3171	20010320 <--
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US 7211601 B2 20070501
HK 1054031 A1 20070504 HK 2003-106333
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PRIORITY APPLN. INFO.: EP 2000-106034 A
20000327 <--
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OTHER SOURCE(S): MARPAT 135:288686
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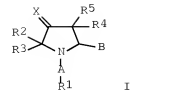

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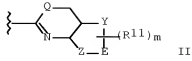

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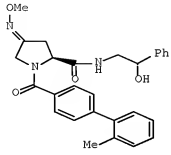

III

AB Title compds. I [X = CR6R7, NOR6, NNR6R7; A = C:O, C:OO, C:NH, C:ONH, C:SNH, S:O, S:ONH, CH; B = amide or II; Q = NR10, O, S; n = 0 - 2; Y, Z, E form together with the 2 C to which they are attached a 5-6 membered (hetero)aryl; R1 = alk(en/yn)yl, (hetero)aryl, cycloalkyl, acyl, etc.; R2-5 = H, halo, alkyl, alkoxy; R6-7 = H, alk(en/yn)yl, (thio)alkoxy, halogen, CN, NO2, acyl, alkoxycarbonyl, aminocarbonyl, (hetero)cycloalkyl, etc.; R11 = H, alk(en/yn)yl, OH, SH, etc. with some provisions] were prepared and used as bax inhibitors. Over 400 compds. were disclosed. E.g., (2S)-1-(tert-butoxycarbonyl)-4-(methoxyimino)-2-pyrrolidinecarboxylic acid (preparation given) was condensed with (S)-2-amino-1-phenylethanol (THF, i-BuOCOCl, -25°C - room temperature, 16 h) and the coupled product deprotected (DCM, HCl) to give the pyrrolidine. This intermediate was condensed with 4-(2-methylphenyl)benzoic acid (DMF, ClCOCOCl, Et3N, room temperature) to give a mixture of oxime ethers which were separated by chromatog. to give III. III had IC50 = 0.07 μM for the oxytocin receptor. I are useful in the treatment and/or prevention of disease states mediated by oxytocin, including premature labor, premature birth and dysmenorrhea.

TI Synthesis of substituted N-acyl/sulfonyl pyrrolidine derivatives as bax inhibitors

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Halazy, Serge; Schwarz, Matthias; Quattropani, Anna; Thomas, Russel; Baxter, Anthony; Scheer, Alexander

PI WO 2001072705 A1 20011004

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072705	A1	20011004	WO 2001-EP3171	20010320 <--

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     WO 2001-EP3171       W     20010320  <--
     IN 2002-MN1184       A3    20020828
     US 2003-239912       A3    20030210

L8   ANSWER 7 OF 22  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2001:615529  CAPLUS  Full-text
DOCUMENT NUMBER:          135:180704
TITLE:                    Synthesis alkylamidoheteroacylamide derivatives
as
                          Bax-a inhibitors for the treatment of apoptosis
INVENTOR(S):              Halazy, Serge; Schwarz, Matthias; Antonsson,
                          Bruno; Bombrun, Agnes; Martinou, Jean-claude;
Church,
                          Dennis
PATENT ASSIGNEE(S):       Applied Research Systems Ars Holding N.V.,
Neth.
                          Antilles
SOURCE:                   Eur. Pat. Appl., 57 pp.
                          CODEN: EPXXDW
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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OTHER SOURCE(S): MARPAT 135:180704
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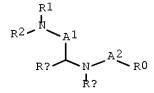

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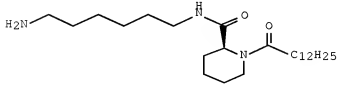

II

AB Title compds. I [A1, A2 = C(O), SO2; Ra = alkyl; Rb = Me, or Ra, Rb taken together with the atoms to which they are attached form a 5-membered saturated ring optionally containing a sulfur atom or a six-membered saturated ring optionally fused with an aryl or heteroaryl group; R1 = H, alkyl; R2 = (Rd-X1)m-Re, m = 0 - 8; Rd = (hetero)aryl, (cyclo)alk(en)yl, alkynyl; X1 = bond, O, NH (or substituted derivs.), S, Si, SO, SO2; Re = (hetero)arylalk(en/yn)yl, alkyl, etc.; or R1, R2 together with the N atom to which they are attached form an (un)substituted 4-12

membered (un)saturated (heterocyclic)ring; R0 = Rf-X2-Rf'; Rf, Rf' = (hetero)aryl, cycloalkenyl, (cyclo)alkyl, etc.; X2 = a bond, O, S, Si, SO, SO2] were prepared Examples include 2 synthetic procedures, data for 139 compds., 5 sample formulations and 3 bioassays. The claimed process is illustrated by the synthesis of II. 2(S)-1,2-piperidinedicarboxylic acid 1-(9H-fluoren-9-ylmethyl) ester was coupled to 6-(aminohexyl)carbamic acid t-Bu ester (HATU, DIEA, DCM). The resulting adduct was deprotected (piperidine, DMF) and N-acylated with tridecanoic acid (HATU, DIEA, DCM). Removal of the Boc group (TFA, DCM) afforded II, isolated as the bis(trifluoroacetate) salt. In an assay of mitochondrial cytochrome C release, II @ 5 μM, resulted in 47% inhibition of Bax activation. I are used for the treatment/prevention of neuronal disorders (e.g. Alzheimer's disease), diseases associated with polyglutamine tracts (e.g. Huntington's disease), stroke, etc.

TI Synthesis alkylamidoheteroacylamide derivatives as Bax-a inhibitors for the treatment of apoptosis

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN Halazy, Serge; Schwarz, Matthias; Antonsson, Bruno; Bombrun, Agnes; Martinou, Jean-claude; Church, Dennis

PI EP 1125925 A1 20010822

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PI EP 1125925	A1	20010822	EP 2000-810128	20000215 <--
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L8 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:555788 CAPLUS Full-text
DOCUMENT NUMBER: 134:39469
TITLE: Ginkgolide biosynthesis
AUTHOR(S): Schwarz, Matthias; Arigoni, Duilio
CORPORATE SOURCE: Eidgenossische Technische Hochschule, Zurich, Switz.
SOURCE: Comprehensive Natural Products Chemistry (1999), Volume 2, 367-400. Editor(s): Cane, David E. Elsevier Science B.V.:
Amsterdam, Neth.
CODEN: 69AGYB
DOCUMENT TYPE: Conference; General Review
LANGUAGE: English
AB A review with 70 refs. is given on the biosynthesis of . Within the isopentenyl diphosphate (IPP) biosynthesis, the incorporation of labeled glucose samples, the deoxyxylulose (triose-phosphate/pyruvate) pathway, and the coexistence of 2 different pathways for IPP biosynthesis are discussed. The formation of the tricyclic intermediates from geranylgeranyl diphosphate and the formation of the ginkgolides from the tricyclic hydrocarbon intermediates are described. The new scheme of ginkgolide biosynthesis is at variance with the major postulates of a former biogenetic hypothesis, such as the absolute configuration of the tricyclic intermediates or the events involved in the cleavage of ring A and the formation of the t-Bu group.
TI Ginkgolide biosynthesis
REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
AU Schwarz, Matthias; Arigoni, Duilio
SO Comprehensive Natural Products Chemistry (1999), Volume 2, 367-400. Editor(s): Cane, David E. Publisher: Elsevier Science B.V.,
Amsterdam, Neth.
CODEN: 69AGYB

L8 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:514958 CAPLUS Full-text
DOCUMENT NUMBER: 133:266950
TITLE: Intramolecular stabilization of carbene complexes
(CO)4W=C(NRR')Si(aryl)2X (X = H, CMe=CHMe, NEt2) by
interaction of the metal center with the silicon
substituent X
AUTHOR(S): Schwarz, Matthias; Kickelbick, Guido; Schubert, Ulrich
CORPORATE SOURCE: Institut fur Anorganische Chemie, Technische Universitat Wien, Vienna, A-1060, Austria
SOURCE: European Journal of Inorganic Chemistry (2000), (8), 1811-1817
CODEN: EJICFO; ISSN: 1434-1948
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:266950
AB The degree of intramol. stabilization by the substituent X in the carbene complexes (CO)4W:C(NRR')Si(aryl)2X was studied by d. functional calcns. and reactivity studies. Calcns. carried out for (CO)4W:C(NH2)SiH2X (X = H, Ph, Me, CMe:CHMe) or (CO)4W:C(NH2)OMe show that the agostic Si-H interaction in (CO)4W:C(NH2)SiH3 transfers as much electron d. to the metal as the π-interaction of the olefinic group in (CO)4W:C(NH2)SiH2CMe:CHMe. An agostic interaction is not observed if the Si atom is replaced by a C atom. Interaction of the Ph group in (CO)4W:C(NH2)SiH2Ph is much weaker and can be described as a weak π-interaction. Owing to the agostic Si-H interaction, (CO)5W:C(NHR)SiHMes2 (R = Me, Et) does not eliminate HSiR'3 upon thermolysis, as observed in the corresponding complexes (CO)5W:C(NHR)SiR'3, but instead gives the 16-electron complex (CO)4W:C(NHR)SiHMes2. When (CO)5W:C(NMe2)SiPh2CMe:CHMe or (CO)5W:C(NHMe)SiPh2NEt2 are thermolyzed or photolyzed, CO is eliminated and either the olefinic or amino group coordinates intramolecularly to the empty coordination site. The corresponding reaction was not observed when the stable 16-electron complexes (CO)4W:C(NR2)SiPh3 were allowed to react with olefins or tertiary amines resp. The x-ray structure anal. of (CO)4W:C(NMe2)SiPh2CMe:CHMe is reported.
TI Intramolecular stabilization of carbene complexes (CO)4W=C(NRR')Si(aryl)2X
(X = H, CMe=CHMe, NEt2) by interaction of the metal center with the silicon substituent X
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
AU Schwarz, Matthias; Kickelbick, Guido; Schubert, Ulrich
SO European Journal of Inorganic Chemistry (2000), (8), 1811-1817
CODEN: EJICFO; ISSN: 1434-1948

L8 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2009 ACS on STN

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ACCESSION NUMBER:         2000:350133  CAPLUS  Full-text
DOCUMENT NUMBER:          133:281705
TITLE:                    Benzofused heterocycles via solid-phase SNAr
reactions
AUTHOR(S):                Schwarz, Matthias K.; Gallop, Mark A.
CORPORATE SOURCE:         Serono Pharmaceutical Research Institute,
Geneva,
                          CH-1228, Switz.
SOURCE:                   Solid-Phase Organic Synthesis (2000),
                          81-117.  Editor(s): Burgess, Kevin.  John Wiley
&
                          Sons, Inc.: New York, N. Y.
                          CODEN: 68ZWAH
DOCUMENT TYPE:            Conference; General Review
LANGUAGE:                 English
AB    A review with 69 refs.
TI    Benzofused heterocycles via solid-phase SNAr reactions
REFERENCE COUNT:          79    THERE ARE 79 CITED REFERENCES AVAILABLE
FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT
AU    Schwarz, Matthias K.; Gallop, Mark A.
SO    Solid-Phase Organic Synthesis (2000), 81-117.  Editor(s):
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L9   ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2004:308436  CAPLUS  Full-text
DOCUMENT NUMBER:          140:339340
TITLE:                    Preparation of piperazine derivatives for the
                          treatment of mammalian infertility
INVENTOR(S):              Magar, Sharad; Goutopoulos, Andreas; Liao,
                          Yihua; Schwarz, Matthias; Russell, Thomas J.
PATENT ASSIGNEE(S):       Applied Research Systems Ars Holding N.V.,
Neth.
                          Antilles
SOURCE:                   PCT Int. Appl., 62 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
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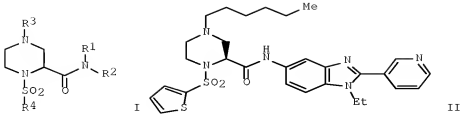
CA 2499732	A1	20040415	CA 2003-2499732	20030919
AU 2003299124	A1	20040423	AU 2003-299124	20030919
EP 1542993	A1	20050622	EP 2003-798936	20030919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006503857	T	20060202	JP 2004-540809	20030919
NO 2005001844	A	20050415	NO 2005-1844	20050415
US 20060223813	A1	20061005	US 2006-528437	20060410
PRIORITY APPLN. INFO.:			US 2002-412308P	P 20020920
			WO 2003-EP50640	W 20030919
OTHER SOURCE(S):	MARPAT 140:339340			

GI



I II

AB The invention provides piperazine-2-carboxamides I [R1, R2 = H, alkyl, aryl, etc.; R3 = alkyl, alkenyl, aryl, etc.; R4 = alkyl, alkenyl, aryl] that are potent FSH receptor (FSH) agonists. E.g., a 5-step synthesis of the carboxamide II, starting from (2R)-piperazine-2-carboxylic acid.2HCl, which showed ED50 of 40 nM in FSH assay, was given. The pharmaceutical composition comprising the compound I is claimed.

TI Preparation of piperazine derivatives for the treatment of mammalian infertility

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Preparation of piperazine derivatives for the treatment of mammalian infertility

IN Magar, Sharad; Goutopoulos, Andreas; Liao, Yihua; Schwarz, Matthias; Russell, Thomas J.

AB The invention provides piperazine-2-carboxamides I [R1, R2 = H, alkyl, aryl, etc.; R3 = alkyl, alkenyl, aryl, etc.; R4 = alkyl, alkenyl, aryl] that are potent FSH receptor (FSH) agonists. E.g., a 5-step synthesis of the carboxamide II, starting from (2R)-piperazine-2-carboxylic acid.2HCl, which showed ED50 of 40 nM in FSH assay, was given. The pharmaceutical composition comprising the compound I is claimed.

ST piperazinecarboxamide prepn mammalian infertility FSH receptor agonist

IT FSH receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of piperazine-2-carboxamides for the treatment of male suffering from spermatogenesis disorder)

IT Fertility disorders
Human
In vitro fertilization
(preparation of piperazine-2-carboxamides for the treatment of mammalian infertility)

IT 1055727-90-6
RL: PRPH (Prophetic)
(Preparation of piperazine derivatives for the treatment of mammalian infertility)

IT 679795-44-9P 679795-45-0P 679795-46-1P 679795-47-2P 679795-48-3P
679795-49-4P 679795-50-7P 679795-51-8P 679795-52-9P 679795-53-0P
679795-54-1P 679795-55-2P 679795-56-3P 679795-57-4P 679795-58-5P
679795-59-6P 679795-60-9P 679795-61-0P 679795-62-1P 679795-63-2P
679795-64-3P 679795-65-4P 679795-66-5P 679795-67-6P 679795-68-7P
679795-69-8P 679795-70-1P 679795-71-2P 679795-72-3P 679795-73-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of piperazine-2-carboxamides for the treatment of mammalian
infertility)
IT 2762-32-5, 2-Piperazinecarboxylic acid 16629-19-9, 2-Thiophenesulfonyl
chloride 679795-76-7, 1-Ethyl-2-(pyridin-3-yl)-1H-benzimidazol-5-ylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperazine-2-carboxamides for the treatment of mammalian
infertility)
IT 219312-90-0P 679795-74-5P 679795-75-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of piperazine-2-carboxamides for the treatment of mammalian
infertility)

L9 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:634973 CAPLUS Full-text
TITLE: Proline and pipecolic acid-based agonists of the
follicle-stimulating hormone receptor
AUTHOR(S): Goutopoulos, Andreas; Reddy, Adulla; Liao, Yihua; Magar, Sharad; Murray, Robert; Weiser, Weishui;
Nabioullin, Roustem; Rosenthal, Judy; Buckler, David;
Cheng, Shirley; Liu, Jane; McKenna, Sean; Jiang,
Xiuliang; Evans, David; Tepper, Mark; El Tayar, Nabil
CORPORATE SOURCE: Serono Reproductive Biology Institute, Rocland, MA,
02370, USA
SOURCE: Abstracts of Papers, 226th ACS National Meeting, New
York, NY, United States, September 7-11, 2003 (2003),

MEDI-365. American Chemical Society: Washington, D.
C.
CODEN: 69EKY9
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB FSH (FSH) is a native glycoprotein hormone that is necessary for follicle growth. This action is mediated via a specific G protein-coupled receptor, the FSH receptor (FSHR), present in the membrane of granulosa cells within the follicles of the ovary. Decreased levels of FSH result in reduced fertility or infertility. In an effort to develop a small-mol. FSH receptor agonist, a series of substituted prolines was found to mimic the action of FSH in cells expressing the FSHR. An SAR around this series was developed and is described herein. A piperidine homolog was found to have a tenfold increased potency than its proline congener. This compound induced cAMP production in CHO cells expressing the FSH receptor, but not in parental cells, or in cells expressing the other two glycoprotein hormone receptors (LHR and TSHR). In addition, this compound similarly to FSH, induced estradiol release from rat granulosa cells.
TI Proline and pipecolic acid-based agonists of the follicle-stimulating
hormone receptor
AU Goutopoulos, Andreas; Reddy, Adulla; Liao, Yihua; Magar, Sharad; Murray, Robert; Weiser, Weishui; Nabioullin, Roustem; Rosenthal, Judy;
Buckler, David; Cheng, Shirley; Liu, Jane; McKenna, Sean; Jiang, Xiuliang;
Evans, David; Tepper, Mark; El Tayar, Nabil
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L9 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:618206 CAPLUS Full-text
TITLE: Small Molecule FSH-mimetics
AUTHOR(S): El Tayar, Nabil; Reddy, Adulla; Liao, Yihua; Magar,
Sharad; Murray, Robert; Kozack, Richard; Weiser,
Weishui; Nabioullin, Roustem; Rosenthal, Judy;
Buckler, David; Cheng, Shirley; Liu, Jane; McKenna,

Sean; Jiang, Xuliang; Evans, David; Tepper, Mark;
Goutopoulos, Andreas

CORPORATE SOURCE: Department of Medicinal Chemistry, Serono Reproductive
Biology Institute, Rockland, MA, 02370, USA

SOURCE: Abstracts of Papers, 224th ACS National Meeting,
Boston, MA, United States, August 18-22, 2002 (2002),
MEDI-355. American Chemical Society: Washington, D.
C.
CODEN: 69CZPZ

DOCUMENT TYPE: Conference; Meeting Abstract

LANGUAGE: English

AB FSH (FSH) is a native glycoprotein hormone that is necessary for follicle growth. This action is mediated via a specific Gs protein coupled receptor, the FSH receptor (FSHR), present in the membranes of granulosa cells within follicles. An effort to discover a small orally bioavailable mol. that mimics the activity of FSH by activating the FSHR was initiated with the screening of com. and inhouse libraries. The positives identified in the screen led to a -hit-to-lead' effort around a proline-containing series. The prototype of this series, AS700024, induced cAMP production in CHO cells expressing the human FSHR, but not in parental cells or in cells expressing the human LHR. In addition AS700024, similarly to FSH, induced estradiol release from rat granulosa cells, and also increased the proliferative activity of these cells. Studies leading to the identification of AS700024 and SAR developed around the hit mol. will be discussed.

TI Small Molecule FSH-mimetics

TI Small Molecule FSH-mimetics

AU El Tayar, Nabil; Reddy, Adulla; Liao, Yihua; Magar, Sharad; Murray, Robert; Kozack, Richard; Weiser, Weishui; Nabioullin, Roustem; Rosenthal,
Judy; Buckler, David; Cheng, Shirley; Liu, Jane; McKenna, Sean; Jiang,
Xuliang; Evans, David; Tepper, Mark; Goutopoulos, Andreas

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